/CB/

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
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FILE COVERS 1907 - 20 Oct 2009 VOL 151 ISS 17
FILE LAST UPDATED: 19 Oct 2009 (20091019/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

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=> s US20070129368/pn
L1 1 US20070129368/PN

=> d l1

L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:516308 BIBLIOGRAPHIC DATA NOT AVAILABLE

=> file reg

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=> tra l1 1- rn
REQUESTED FIELD CODE NOT PRESENT IN ANSWER(S) SPECIFIED.

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.48       6.17

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FILE LAST UPDATED: 19 Oct 2009  (20091019/ED)
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ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
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CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
```

```
              e.g., D SCAN or DISPLAY SCAN)
STD ---------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT ---------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
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     FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009
L1             1 S US20070129368/PN

     FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009

=> d l1 full
'FULL' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

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BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
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IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

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=> d his
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     (FILE 'HOME' ENTERED AT 18:06:31 ON 20 OCT 2009)

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L1            1 S US20070129368/PN

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     FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

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=> d l1

L1   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2005:516308   BIBLIOGRAPHIC DATA NOT AVAILABLE


=> s WO2005058803/pn
L2            1 WO2005058803/PN
                (WO2005058803/PN)

=> d l2

L2   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2005:516308   BIBLIOGRAPHIC DATA NOT AVAILABLE


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Uploading C:\Program Files\STNEXP\Queries\10581947\10581947-substructure-1.str
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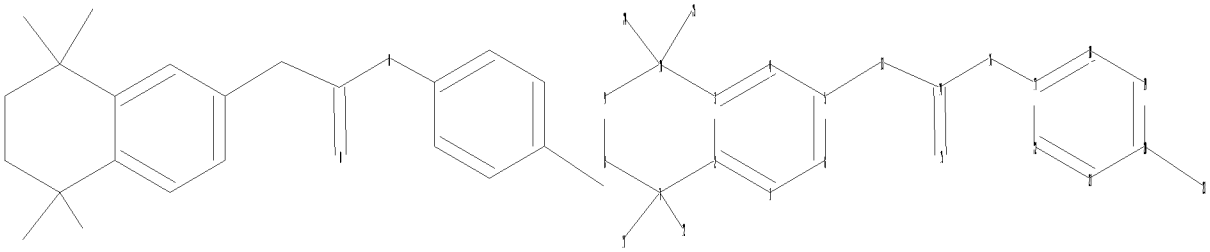

```
chain nodes :
11  12  13  14  15  16  23  24  25
ring nodes :
1  2  3  4  5  6  7  8  9  10  17  18  19  20  21  22
chain bonds :
5-13  7-11  7-12  10-24  10-25  13-14  14-15  14-16  16-17  20-23
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10  17-18  17-22  18-19  19-20
 20-21  21-22
exact/norm bonds :
2-7  3-10  7-8  8-9  9-10  14-15  14-16  16-17
exact bonds :
5-13  7-11  7-12  10-24  10-25  13-14  20-23
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  17-18  17-22  18-19  19-20  20-21  21-22


Match level :
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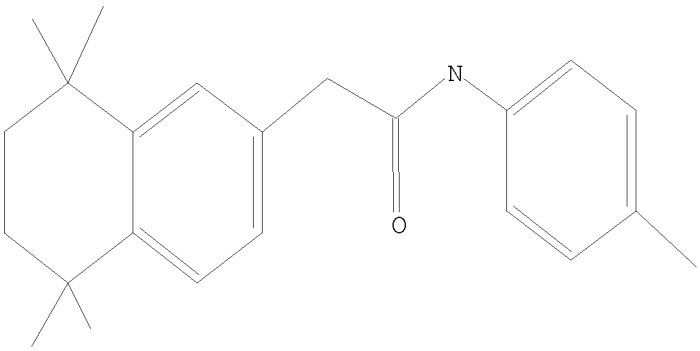
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS

L3   STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l3
   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 18:15:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   29 TO ITERATE

100.0% PROCESSED   29 ITERATIONS   7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 257 TO   903
PROJECTED ANSWERS: 7 TO   298

L4   7 SEA SSS SAM L3

L5   5 L4

=> s l3 full
   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 18:15:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 458 TO ITERATE

100.0% PROCESSED 458 ITERATIONS 126 ANSWERS
SEARCH TIME: 00.00.01

L6 126 SEA SSS FUL L3

L7 41 L6

=> d scan

L7 41 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
IC ICM A61K031-195
ICS C07C229-00
CC 1-12 (Pharmacology)
Section cross-reference(s): 25
TI Active enantiomer of RARγ-specific agonist
ST naphthylacetamidobenzoate RAR gamma agonist skin disease;
acetamidobenzoate retinoic receptor agonist skin disease
IT Retinoic acid receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(RAR-γ; naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT Keratosis
(actinic; naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT Skin, neoplasm
Skin, neoplasm
(inhibitors; naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT Acne
Psoriasis
(naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT Antitumor agents
Antitumor agents
(skin; naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT Antitumor agents
(squamous cell carcinoma; naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT 262433-64-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT 262433-54-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
IT 106-95-6, Allyl bromide, reactions 403-21-4, 3-Fluoro-4-nitrobenzoic acid 20445-31-2, (+)-Mosher's acid 142650-43-9 168301-02-8

```
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (naphthylacetamidobenzoate derivative as RARγ-specific agonist for
        treatment of dermatol. disorders)
IT   262433-55-6P   262433-56-7P     262433-57-8P
     262433-58-9P     262433-59-0P   262433-60-3P
     262433-61-4P   262433-62-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (naphthylacetamidobenzoate derivative as RARγ-specific agonist for
        treatment of dermatol. disorders)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d his

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     FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009
L1            1 S US20070129368/PN

     FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009
L2            1 S WO2005058803/PN
L3              STRUCTURE UPLOADED
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:03 ON 20 OCT 2009
L4            7 S L3

     FILE 'CAPLUS' ENTERED AT 18:15:04 ON 20 OCT 2009
L5            5 S L4
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:09 ON 20 OCT 2009
L6          126 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 18:15:10 ON 20 OCT 2009
L7           41 S L6 FULL

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.50     203.77

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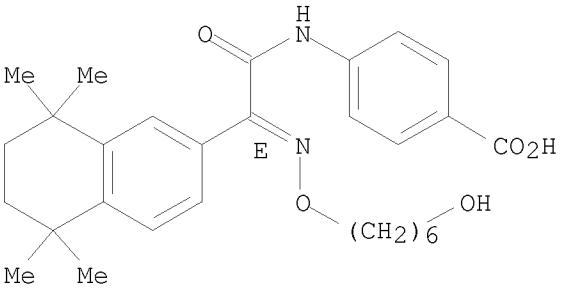
http://www.cas.org/support/stngen/stndoc/properties.html

=> d scan l6

L6   126 ANSWERS   REGISTRY COPYRIGHT 2009 ACS on STN
IN   Benzoic acid, 4-[[[[(6-hydroxyhexyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-
     tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI)
MF   C29 H38 N2 O5

Double bond geometry as shown.

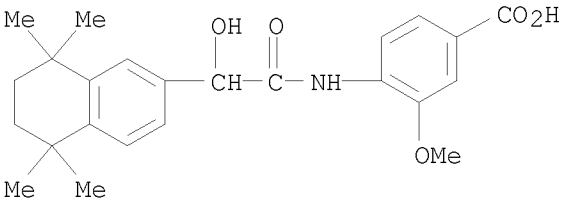


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d scan l6

L6   126 ANSWERS   REGISTRY COPYRIGHT 2009 ACS on STN
IN   Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-
     naphthalenyl)acetyl]amino]-3-methoxy-
MF   C24 H29 N O5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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     (FILE 'HOME' ENTERED AT 18:06:31 ON 20 OCT 2009)

     FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009
L1            1 S US20070129368/PN

     FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009
L2            1 S WO2005058803/PN
L3              STRUCTURE UPLOADED
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:03 ON 20 OCT 2009
L4            7 S L3

     FILE 'CAPLUS' ENTERED AT 18:15:04 ON 20 OCT 2009
L5            5 S L4
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:09 ON 20 OCT 2009
L6          126 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 18:15:10 ON 20 OCT 2009
L7           41 S L6 FULL

     FILE 'REGISTRY' ENTERED AT 18:15:39 ON 20 OCT 2009

=> s l7 and py<=2004
'2004' NOT A VALID FIELD CODE
              0 PY<=2004
L8            0 L7 AND PY<=2004

=> d l7 abs ibib hitstr 1-
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y



YOU HAVE REQUESTED DATA FROM 41 ANSWERS - CONTINUE? Y/(N):y

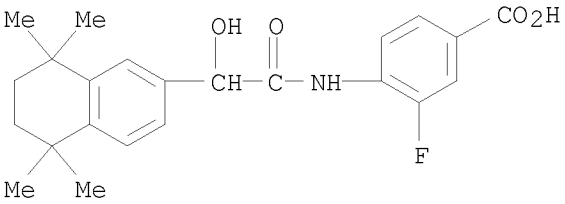
L7   ANSWER 1 OF 41  CAPLUS  COPYRIGHT 2009 ACS on STN
AB   A series of retinoids designed to interfere with the repositioning of H12
     have been synthesized to identify novel RARγ antagonists based on
     the structure of known RARγ agonists.  The transcriptional
     activities of the novel ligands were revealed by cell-based reporting
     assays, using engineered cells containg RAR subtype-selective fusions of
     the RAR ligand-binding domains with the yeast GAL4 activator DNA-binding
     domain and the cognate luciferase reporter gene.  Whereas none of the
     ligands exhibited features of a selective RARγ antagonist, some of
     them are endowed with interesting activities.  In particular 24a acts as a
     pan-RAR agonist that induces at high concentration a higher transactivation
     potential on RARα than TTNPB and synergizes at low concentration with
     TTNPB-bound RARα but not RARβ or RARγ.  Similarly, 24c
     synergizes with TTNPB-bound RARγ and exhibits RARα,β
     antagonist activity.  Compds. 24b and 25b are strong
```

RARα,β-selective antagonists without agonist or antagonist activities for RARγ. Compds. 24b and 24c display weak RXR antagonist activity. In addition several pan-antagonists and partial agonist/antagonists have been defined.

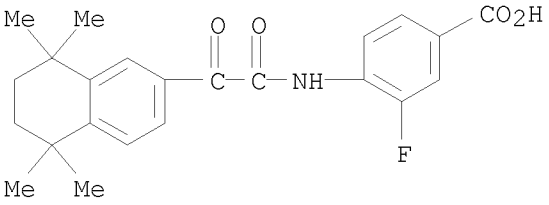
ACCESSION NUMBER: 2009:725893 CAPLUS
DOCUMENT NUMBER: 151:260011
TITLE: Retinoid receptor subtype-selective modulators through synthetic modifications of RARγ agonists
AUTHOR(S): Alvarez, Susana; Alvarez, Rosana; Khanwalkar, Harshal; Germain, Pierre; Lemaire, Geraldine; Rodriguez-Barrios, Fatima; Gronemeyer, Hinrich; de Lera, Angel R.
CORPORATE SOURCE: Departamento de Quimica Organica, Universidade de Vigo, Vigo, 36310, Spain
SOURCE: Bioorganic & Medicinal Chemistry (2009), 17(13), 4345-4359
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 185629-22-5 1178898-29-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(retinoid receptor subtype-selective antagonists preparation through modifications of RARγ agonists)

RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 1178898-29-7 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The present invention provides methods for generating mucosal tissue homing immunosuppressive T-cells comprising treating naive T-cells with retinoids and/or retinoid agonists. Methods are also provided for treating a mammal having an inflammatory or immunol. disease by administering a therapeutically ED of retinoids and/or retinoid agonists. Addnl. methods are also provided for boosting the immune system of a

mammal by inhibiting the generation of immunosuppressive T-cells by administering a therapeutically ED of a retinoid receptor antagonist to the mammal.

ACCESSION NUMBER: 2008:1360582 CAPLUS
DOCUMENT NUMBER: 149:525397
TITLE: Methods for controlling inflammatory and immunological diseases using retinoids and/or retinoid agonists
INVENTOR(S): Kim, Chang H.; Lim, Hyung W.; Kang, Seung G.
PATENT ASSIGNEE(S): Purdue Research Foundation, USA
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008137488	A1	20081113	WO 2008-US62125	20080501

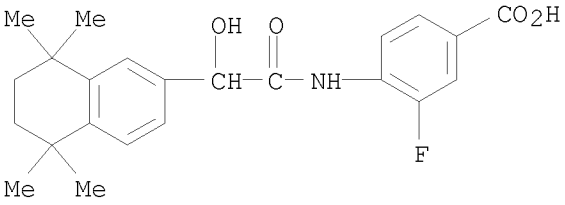
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-915162P P 20070501

IT 185629-22-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(BMS 961; methods for controlling inflammatory and immunol. diseases using retinoid receptor agonists to generate mucosal tissue homing immunosuppressive T cells)

RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

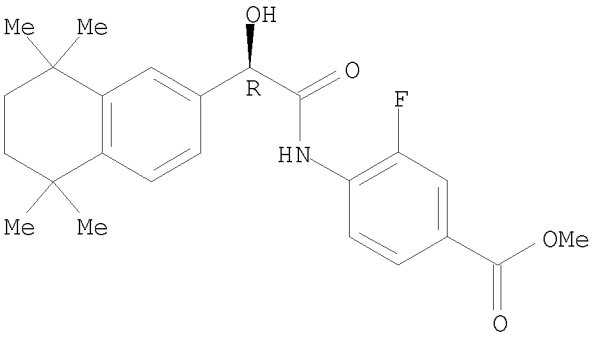


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB A review of the article 1,2,4-Triazole.
ACCESSION NUMBER: 2008:994388 CAPLUS
DOCUMENT NUMBER: 149:306796
TITLE: 1,2,4-Triazole
AUTHOR(S): Gesquiere, Jean-Claude; Siedem, Christopher S.
CORPORATE SOURCE: Fr.

SOURCE: e-EROS Encyclopedia of Reagents for Organic Synthesis (2001), No pp. given. John Wiley & Sons, Ltd.: Chichester, UK.
CODEN: 69KUHI
URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/104554785/HOME
DOCUMENT TYPE: Conference; General Review; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:306796
IT 301674-62-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(1,2,4-Triazole)
RN 301674-62-4 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The invention discloses a method for generating a human atopic disease-like phenotype, preferably an atopic dermatitis-like phenotype in a mammal, comprising administering to the mammal at least one compound selected from physiol. active vitamin D3 (1α,25 (OH)2-D3) and agonistic analogs thereof. The invention also discloses a method for treating and/or preventing an atopic disease in a patient comprising administering to the patient an effective amount of at least one vitamin D3 antagonist.
ACCESSION NUMBER: 2008:124360 CAPLUS
DOCUMENT NUMBER: 148:206668
TITLE: Vitamin D3-based methods for generating mammalian models of atopic diseases and screening for their treatment
INVENTOR(S): Chambon, Pierre; Metzger, Daniel; Li, Mei
PATENT ASSIGNEE(S): Association pour la Recherche a l'LGBMC, Fr.
SOURCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008012645	A2	20080131	WO 2007-IB2102	20070724
WO 2008012645	A3	20080605		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 1891944 A1 20080227 EP 2006-291201 20060724

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

EP 2046309 A2 20090415 EP 2007-804636 20070724

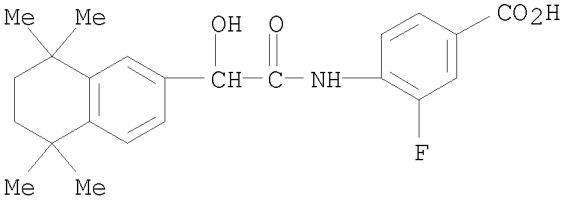
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

PRIORITY APPLN. INFO.: EP 2006-291201 A 20060724
US 2006-832864P P 20060724
WO 2007-IB2102 W 20070724

IT 185629-22-5
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(BMS 961; vitamin D3-based methods for generating mammalian models of atopic diseases, drug screening methods and treatment methods)

RN 185629-22-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

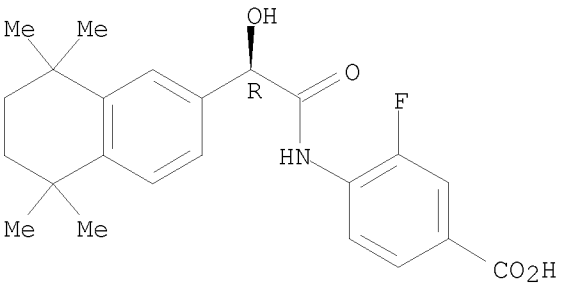


IT 262433-54-5
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(vitamin D3-based methods for generating mammalian models of atopic diseases, drug screening methods and treatment methods)

RN 262433-54-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB A method and an apparatus are provided for forming the shape of a ligand mol. capable of binding with a biopolymer by a modeling/simulation based on the three-dimensional structure information of the biopolymer. The method comprises disposing virtual atoms in a ligand binding region of the biopolymer; calculating the van der Waals potential between the biopolymer and the virtual atoms; and removing a van der Waals potential portion in which any unstable virtual atom is involved from the calculated van der Waals potential. The method was applied to dihydrofolic acid reductase, retinoic acid receptor γ and bacteriorhodopsin, and the ligand shape obtained by this method was found to be well in accordance with the resp. known ligand, methotrexate, BMS961 and retinal.

ACCESSION NUMBER: 2005:1171087 CAPLUS
DOCUMENT NUMBER: 143:418629
TITLE: Method and apparatus for forming shape of ligand molecule for biopolymer
INVENTOR(S): Handa, Chiaki; Ozawa, Tomonaga; Ozawa, Motoyasu; Maruyama, Hidetoshi; Momose, Denichi
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005103994	A1	20051103	WO 2005-JP7595	20050421

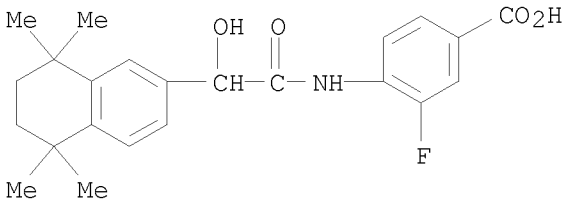
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-128864 A 20040423

IT 185629-22-5, BMS 961
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(modeling and simulation method and apparatus for forming shape of ligand mol. for biopolymer)

RN 185629-22-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

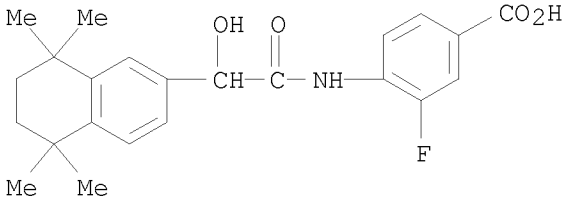
L7 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:516308 BIBLIOGRAPHIC DATA NOT AVAILABLE
AN 2005:516308 BIBLIOGRAPHIC DATA NOT AVAILABLE
BIBLIOGRAPHIC DATA NOT AVAILABLE

L7 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:427081 BIBLIOGRAPHIC DATA NOT AVAILABLE
AN 2005:427081 BIBLIOGRAPHIC DATA NOT AVAILABLE
BIBLIOGRAPHIC DATA NOT AVAILABLE

L7 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The invention discloses compns. comprising a retinoid X receptor agonist and an agent capable of activating protein kinase A. The invention also discloses methods for treating hyperproliferative diseases (e.g. leukemia, breast cancer) by administering a retinoid X receptor agonist and an agent capable of activating protein kinase A. Prepn of 4-[1-(5,6-dihydro-3,5,5-trimethyl-8-isopropyl-2-naphthalenyl)ethenyl] benzoic acid is described.
ACCESSION NUMBER: 2003:749997 CAPLUS
DOCUMENT NUMBER: 139:255334
TITLE: Compositions and methods using an RXR agonist and a protein kinase A activator for the treatment of hyperproliferative diseases
INVENTOR(S): Benoit, Gerard; Gronemeyer, Hinrich; Lanotte, Michel; Gottardis, Marco
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Institut National de la Sante et de la Recherche Medicale; Centre National de la Recherche Scientifique; Universite Louis Pasteur
SOURCE: U.S., 35 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6624154	B1	20030923	US 2000-556675		20000421
PRIORITY APPLN. INFO.:			US 1999-130649P	P	19990423

OTHER SOURCE(S): MARPAT 139:255334
IT 185629-22-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RXR agonist and protein kinase A activator for treatment of hyperproliferative diseases, and use with other agents)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 108 THERE ARE 108 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

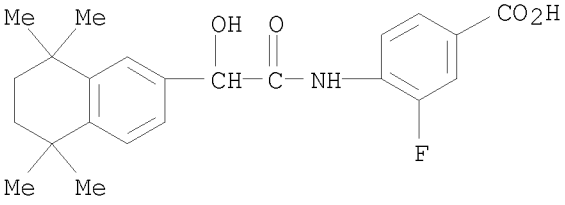
AB Maturation of dendritic cells (DCs) is a critical step for the induction of an immune response. We have examined the role of retinoid nuclear receptor pathways in this process. Retinoids induce DC apoptosis, in the absence of inflammatory signals, through retinoic acid receptor (RAR)α/retinoic X receptor (RXR) heterodimers. In contrast, via a cross talk with inflammatory cytokines, retinoids increase DNA binding activity of nuclear factor κB in DCs, trigger membrane major histocompatibility complex class II and costimulatory mol. expression, induce the differentiation of immature DCs into mature DCs, and enhance antigen-specific T cell response. This maturation of DCs is mediated via a RXR-dependent/RAR-independent pathway and via an RARα/RXR pathway distinct from the one responsible for apoptosis. Apoptosis and activation, mediated through distinct nuclear retinoid receptor pathways, can be dissociated from each other with selective synthetic retinoids. We identify a novel cellular function for retinoids and suggest that selective retinoids might be of interest for controlling antigen presentation.

ACCESSION NUMBER: 2003:661125 CAPLUS
DOCUMENT NUMBER: 139:306456
TITLE: Retinoids regulate survival and antigen presentation by immature dendritic cells
AUTHOR(S): Geissmann, Frederic; Revy, Patrick; Brousse, Nicole; Lepelletier, Yves; Folli, Claudia; Durandy, Anne; Chambon, Pierre; Dy, Michel
CORPORATE SOURCE: UPRES EA 219, Service d'Anatomie Pathologique, Institut Federatif de Recherche Necker-Enfants Malades, Hopital Necker-Enfants Malades, Paris, 75743/15, Fr.
SOURCE: Journal of Experimental Medicine (2003), 198(4), 623-634
CODEN: JEMEAV; ISSN: 0022-1007
PUBLISHER: Rockefeller University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 185629-22-5, BMS961
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(retinoids regulate survival and antigen presentation by immature dendritic cells)

RN 185629-22-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

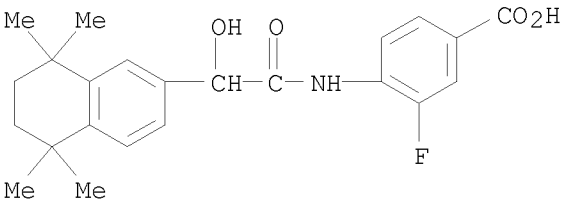
AB Virtual library screening (VLS) is emerging as a valuable drug lead discovery tool. ICM-VLS implementation of this technol. was evaluated on a benchmark set of nuclear hormone receptors (NRs), an important therapeutic target family. Over 5000 structurally diverse compds., including 78 known NR ligands, were screened against 18 crystal structures and one computer model of 10 NR ligand binding domains in their active or inactive states. The results confirm the ability of the VLS method to generate highly focused subsets of the input chemical library, enriched 33- to 100-fold for all but one receptor studied. However, receptor flexibility remains to be fully addressed, and the choice of the specific conformation used for screening may determine the success of the exercise. The authors observe that for a particular ligand, VLS can often identify the correct target within the receptor family, although the technol. is unable to reliably discriminate between the closely related receptor isoforms. Addnl., the results suggest that VLS may be applied successfully without an exptl. structure of the receptor by using a homol. model. These data represent a realistic snapshot of the state-of-the-art of NR-targeted VLS and define the recent progress and the remaining limitations of the technol.

ACCESSION NUMBER: 2003:421336 CAPLUS
DOCUMENT NUMBER: 139:127969
TITLE: Nuclear Hormone Receptor Targeted Virtual Screening
AUTHOR(S): Schapira, Matthieu; Abagyan, Ruben; Totrov, Maxim
CORPORATE SOURCE: Molsoft LLC, La Jolla, CA, 92037, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(14), 3045-3059
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 185629-22-5, BMS961 262433-54-5, BMS270394
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(nuclear hormone receptor targeted virtual screening)

RN 185629-22-5 CAPLUS

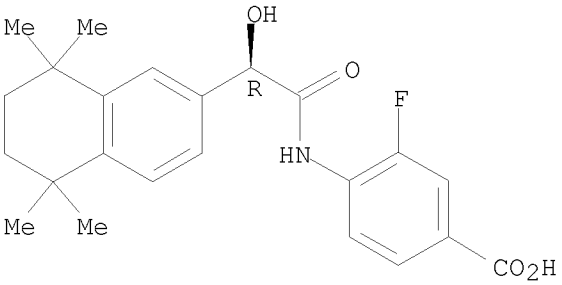
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 262433-54-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



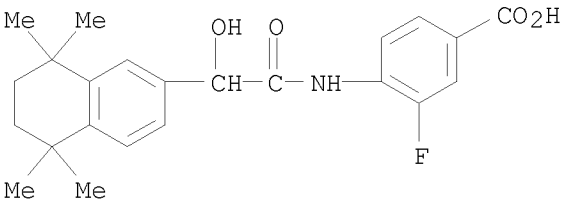
OS.CITING REF COUNT: 63 THERE ARE 63 CAPLUS RECORDS THAT CITE THIS RECORD (65 CITINGS)
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB Fusion and hypoplasia of the first two branchial arches, a defect typically observed in retinoic acid (RA) embryopathy, is generated in cultured mouse embryos upon treatment with BMS453, a synthetic compound that exhibits retinoic acid receptor β (RARβ) agonistic properties in transfected cells. By contrast, no branchial arch defects are observed following treatment with synthetic retinoids that exhibit RARα or RARγ agonistic properties. The BMS453-induced branchial arch defects are mediated through RAR activation, as they are similar to those generated by a selective pan-RAR agonist, are prevented by a selective pan-RAR antagonist and cannot be mimicked by exposure to a pan-RXR agonist alone. They are enhanced in the presence of a pan-RXR agonist, and cannot be generated in Rarb-null embryos. Furthermore, they are accompanied, in the morphol. altered region, by ectopic expression of Rarb and of several other direct RA target genes. Therefore, craniofacial abnormalities characteristic of the RA embryopathy are mediated through ectopic activation of RARβ/RXR heterodimers, in which the ligand-dependent activity of RXR is subordinated to that of RARβ. Endodermal cells lining the first two branchial arches respond to treatment with the RARβ agonist, in contrast to neural crest cells and ectoderm, which suggests that a faulty endodermal regionalization is directly responsible for RA-induced branchial arch dysmorphologies. Addnl., we provide the first in vivo evidence that the synthetic RARβ agonist BMS453 exhibits an antagonistic activity on the two other RAR isotypes.

ACCESSION NUMBER: 2003:420577 CAPLUS
DOCUMENT NUMBER: 139:225738
TITLE: Retinoic acid-induced developmental defects are mediated by RARβ/RXR heterodimers in the pharyngeal endoderm
AUTHOR(S): Matt, Nicolas; Ghyselinck, Norbert B.; Wendling, Olivia; Chambon, Pierre; Mark, Manuel
CORPORATE SOURCE: Institut de Genetique et de Biologie Moleculaire et Cellulaire, CNRS/INSERM/ULP, College de France, BP 10142, Illkirch, 67404, Fr.
SOURCE: Development (Cambridge, United Kingdom) (2003), 130(10), 2083-2093
CODEN: DEVPED; ISSN: 0950-1991
PUBLISHER: Company of Biologists Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 185629-22-5, BMS961
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (retinoic acid-induced developmental defects are mediated by RARβ/RXR heterodimers in pharyngeal endoderm)

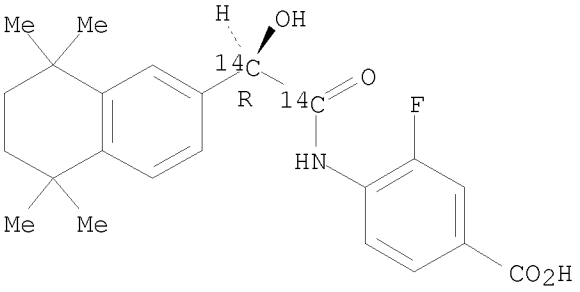
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 42 THERE ARE 42 CAPLUS RECORDS THAT CITE THIS RECORD (42 CITINGS)
REFERENCE COUNT: 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

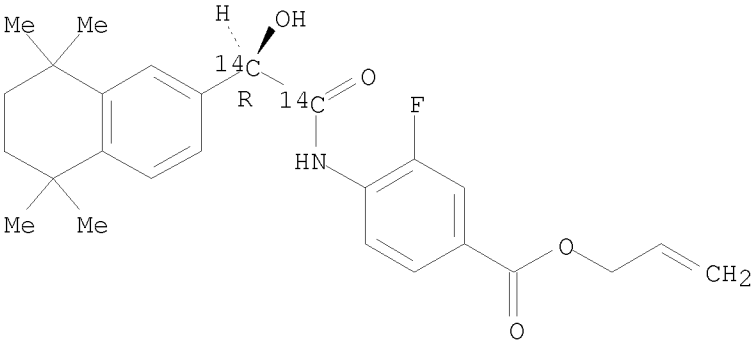
L7 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB Carbon-14 labeled (R)-3-fluoro-4-(2'-(5'', 6'', 7'', 8''-tetrahydro-5'', 5'', 8'', 8''-tetramethyl-2''-naphthyl)-[2'-hydroxy-14C])[carbonyl-14C]acetamidobenzoic acid (I), was prepared in a six step radioactive synthesis from di-Et [carboxylate-14C1,2] oxalate. The penultimate compound was purified by chiral HPLC, which following deprotection yielded I in an overall radiochem. yield of 6.8%. The specific activity of the final product was found to be 24.5 μCi/mg with a radiochem. purity of >99% as determined by HPLC. Derivatization of I via trimethylsilyl diazomethane to the corresponding Me ester, followed by chiral HPLC anal., demonstrated that I had an optical purity of >99% ee.
ACCESSION NUMBER: 2003:190698 CAPLUS
DOCUMENT NUMBER: 139:100910
TITLE: Synthesis of carbon-14 labeled (R)-3-fluoro-4-(2'-(5'', 6'', 7'', 8''-tetrahydro-5'', 5'', 8'', 8''-tetramethyl-2''-naphthyl)-[2'-hydroxy-14C])[carbonyl-14C]acetamidobenzoic acid
AUTHOR(S): Dischino, Douglas D.; Lee, Che-Wah; Belema, Makonen; Zusi, Christopher
CORPORATE SOURCE: Department of Chemical Synthesis, Bristol-Myers Squibb, Wallingford, CT, 06492, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2003), 46(2), 159-165
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:100910
IT 558452-46-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Me ester derivatization of; preparation of highly pure carbon-14 labeled fluoro(tetrahydrotetramethylnaphthyl)(hydroxycarbonyl)acetamidobenzoic acid via six-step radiochem. synthetic route)
RN 558452-46-3 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-hydroxy(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl-14C2]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



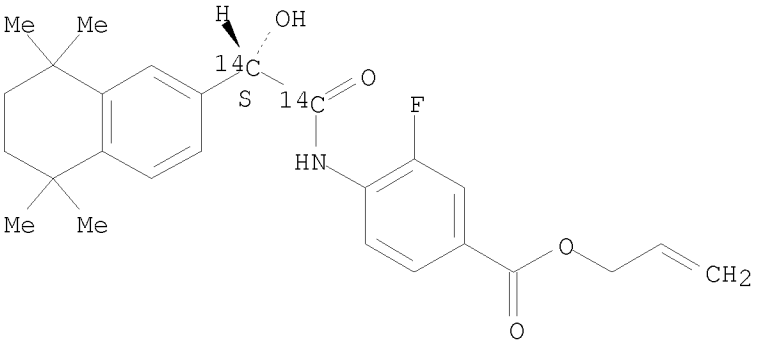
IT 558452-51-0P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(deprotection of; preparation of highly pure carbon-14 labeled fluoro(tetrahydrotetramethylnaphthyl)(hydroxycarbonyl)acetamidobenzoic acid via six-step radiochem. synthetic route)
RN 558452-51-0 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-hydroxy(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl-14C2]amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



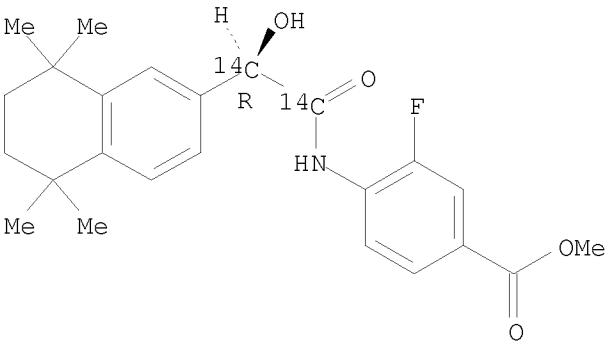
IT 558452-52-1P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of highly pure carbon-14 labeled fluoro(tetrahydrotetramethylnaphthyl)(hydroxycarbonyl)acetamidobenzoic acid via six-step radiochem. synthetic route)
RN 558452-52-1 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2S)-hydroxy(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl-14C2]amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

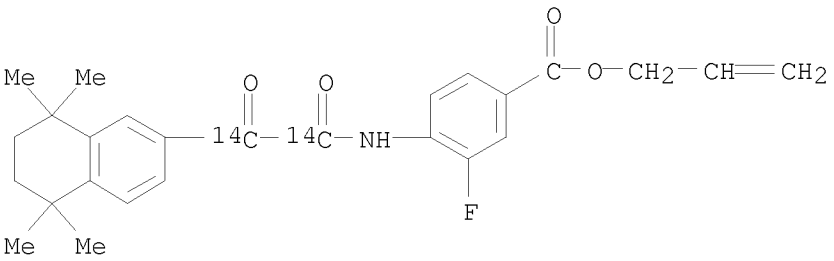


IT 558452-53-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of highly pure carbon-14 labeled fluoro(tetrahydrotetramethylnaphthyl)(hydroxycarbonyl)acetamidobenzoic acid via six-step radiochem. synthetic route)
RN 558452-53-2 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-hydroxy(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl-14C2]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 558452-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reduction of; preparation of highly pure carbon-14 labeled fluoro(tetrahydrotetramethylnaphthyl)(hydroxycarbonyl)acetamidobenzoic acid via six-step radiochem. synthetic route)
RN 558452-50-9 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[oxo(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl-14C2]amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB The invention provides chemotherapeutic combinations of selected cytotoxic agents and RARα/β selective agonists or RAR pan antagonists for use in treating cancer and lowering the effective cytotoxic dose of the selected cytotoxic agent.

ACCESSION NUMBER: 2002:777643 CAPLUS
DOCUMENT NUMBER: 137:273185
TITLE: Synergistic combinations of retinoid receptor ligands and selected cytotoxic agents for treatment of cancer
INVENTOR(S): Vivat-Hannah, Valerie Sandrine; Lorenzi, Matthew V.; Gottardis, Marco M.; Zusi, Fred Christopher
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

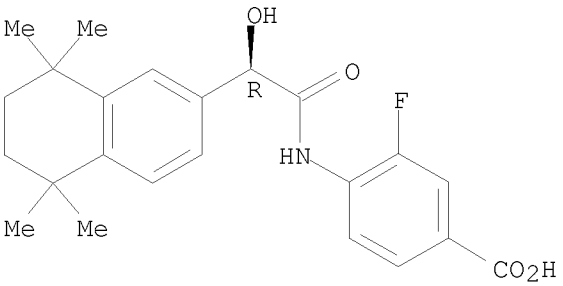
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002078620	A2	20021010	WO 2002-US8718		20020322
WO 2002078620	A3	20030417			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2441335	A1	20021010	CA 2002-2441335		20020322
AU 2002305072	A1	20021015	AU 2002-305072		20020322
EP 1383491	A2	20040128	EP 2002-733871		20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
CN 1498105	A	20040519	CN 2002-807001		20020322
TR 200400812	T3	20040721	TR 2004-812		20020322
BR 2002007681	A	20040727	BR 2002-7681		20020322
HU 2004000255	A2	20040830	HU 2004-255		20020322
JP 2004528316	T	20040916	JP 2002-576888		20020322
IN 2003DN01251	A	20051125	IN 2003-DN1251		20030708
MX 2003008403	A	20040129	MX 2003-8403		20030917
US 20040122080	A1	20040624	US 2004-472690		20040219
PRIORITY APPLN. INFO.:			US 2001-277754P	P	20010322
			WO 2002-US8718	W	20020322

IT 262433-54-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(retinoid receptor ligand-cytotoxic agent synergistic combinations for treatment of cancer)

RN 262433-54-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

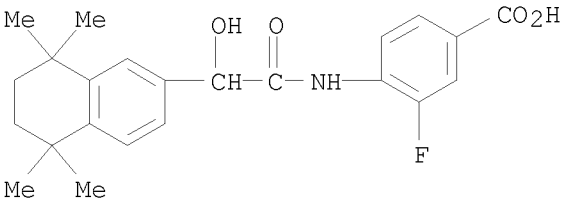
L7 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB To investigate the roles of retinoic acid (RA) receptors (RARs) in the physiol. of epidermis that does not express RARβ, conditional spatio-temporally controlled somatic mutagenesis was used to selectively ablate RARα in keratinocytes of RARγ-null mice. Keratinocyte proliferation was maintained in adult mouse epidermis lacking both RARα and RARγ, as well as in RARβ-null mice. All RAR-mediated signaling pathways are therefore dispensable in epidermis for homeostatic keratinocyte renewal. However, topical treatment of mouse skin with selective retinoids indicated that RXR/RARγ heterodimers, in which RXR transcriptional activity was subordinated to that of its RARγ partner, were required for retinoid-induced epidermal hyperplasia, whereas RXR homodimers and RXR/RARα heterodimers were not involved. RA-induced keratinocyte proliferation was studied in mutant mice in which RXRα, RXRα and RARα, RARγ, or RXRα and RARγ genes were specifically disrupted in either basal or suprabasal keratinocytes. The authors demonstrate that the topical retinoid signal is transduced by RXRα/RARγ heterodimers in suprabasal keratinocytes, which, in turn, stimulate proliferation of basal keratinocytes via a paracrine signal that may be heparin-binding EGF-like growth factor.

ACCESSION NUMBER: 2002:554369 CAPLUS
DOCUMENT NUMBER: 137:273479
TITLE: Physiological and retinoid-induced proliferations of epidermis basal keratinocytes are differently controlled
AUTHOR(S): Chapellier, Benoit; Mark, Manuel; Messaddeq, Nadia; Calleja, Cecile; Warot, Xavier; Brocard, Jacques; Gerard, Christelle; Li, Mei; Metzger, Daniel; Ghyselinck, Norbert B.; Chambon, Pierre
CORPORATE SOURCE: Institut de Genetique et de Biologie Moleculaire et Cellulaire, CNRS/INSERM/ULP, College de France, CU de Strasbourg, 67404, Fr.
SOURCE: EMBO Journal (2002), 21(13), 3402-3413
CODEN: EMJODG; ISSN: 0261-4189
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

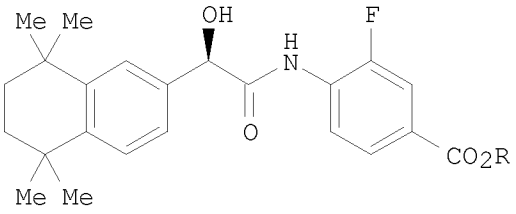
IT 185629-22-5, BMS 961
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(physiol. and retinoid-induced proliferations of epidermis basal keratinocytes are differently controlled)

RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

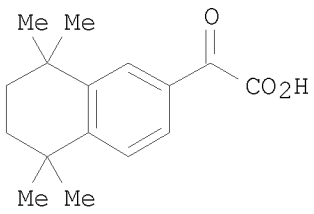


OS.CITING REF COUNT: 49 THERE ARE 49 CAPLUS RECORDS THAT CITE THIS RECORD (50 CITINGS)
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

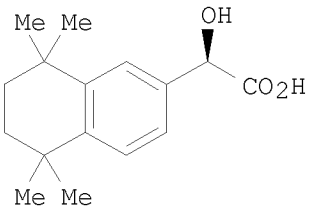
L7 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
GI



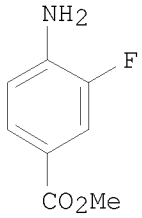
I



II



III



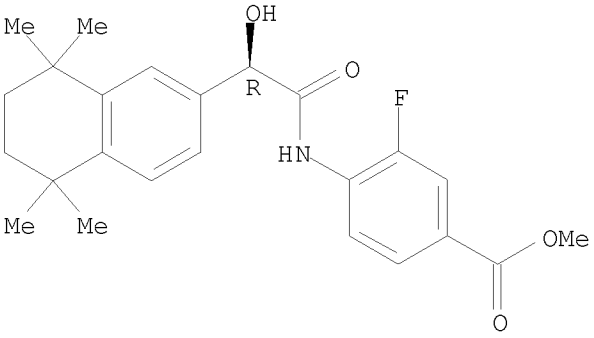
IV

AB A novel synthesis of BMS-270394 (I, R = H), a nuclear retinoic acid receptor (RARγ) agonist, is reported. The synthesis includes an enantioselective reduction of α-ketoacid II to the corresponding chiral α-hydroxy acid III using a NaBH4/L-tartaric acid mixture and a novel coupling between III and an electron-deficient aniline IV, which was activated via its N-sulfinyl derivative to form chiral I (R = Me). The synthesis was completed by a racemization-free hydrolysis of I (R = Me) to I (R = H) using KOSiMe3 in acetonitrile.

ACCESSION NUMBER: 2002:548593 CAPLUS
DOCUMENT NUMBER: 137:247474
TITLE: A Practical Synthesis of the RARγ Agonist, BMS-270394
AUTHOR(S): Chidambaram, Ramakrishnan; Kant, Joydeep; Zhu, Jason; Lajeunesse, Jean; Sirard, Pierre; Ermann, Peter; Schierling, Peter; Lee, Peter; Kronenthal, David
CORPORATE SOURCE: Department of Process Research and Development, Bristol-Myers Squibb, New Brunswick, NJ, 08903, USA
SOURCE: Organic Process Research & Development (2002), 6(5), 632-636
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

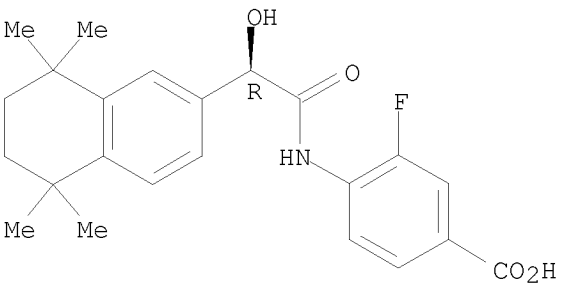
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:247474
IT 301674-62-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(practical synthesis of the RARγ agonist, BMS-270394)
RN 301674-62-4 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 262433-54-5P, BMS-270394
RL: SPN (Synthetic preparation); PREP (Preparation)
(practical synthesis of the RARγ agonist, BMS-270394)
RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The chiral tetrahydronaphthalenyl ester I (R1 = Et) and the corresponding acid I (R1 = H) were prepared as intermediates in the synthesis of the

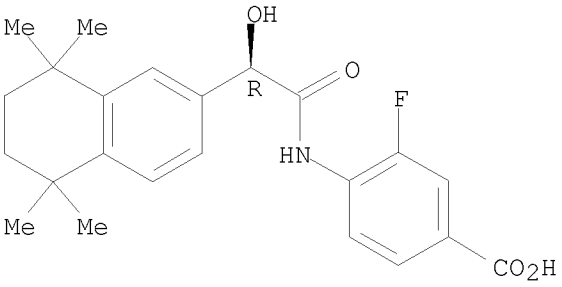
retinoic acid receptor gamma-specific agonist II. Enantioselective reduction of (tetrahydronaphthalenyl)oxoacetate III (R2 = Et) to I (R1 = Et) was carried out using Aureobasidium pullulans SC 13849 in 98% yield and with an enantiomeric excess (e.e.) of 96%. Among microorganisms screened for the reduction of (tetrahydronaphthalenyl)acetic acid III (R2 = H) to hydroxy acid I (R1 = H), Candida maltosa SC 16112 and two strains of Candida utilis (SC 13983, SC 13984) gave reaction yields of >53% with e.e.s of >96%.

ACCESSION NUMBER: 2002:287783 CAPLUS
DOCUMENT NUMBER: 137:278894
TITLE: Enantioselective microbial reduction of 2-oxo-2-(1',2',3',4'-tetrahydro-1',1',4',4'-tetramethyl-6'-naphthalenyl)acetic acid and its ethyl ester
AUTHOR(S): Patel, Ramesh N.; Chu, Linda; Chidambaram, Ramakrishna; Zhu, Jason; Kant, Joydeep
CORPORATE SOURCE: Process Research & Development, Bristol-Myers Squibb Pharmaceutical Research Institute, New Brunswick, NJ, 08903, USA
SOURCE: Tetrahedron: Asymmetry (2002), 13(4), 349-355
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:278894

IT 262433-54-5P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(enantioselective microbial reduction in preparation of chiral intermediates in formal synthesis of [[fluoro(hydroxy)tetrahydronaphthalenyl]acetyl]aminobenzoic acid)

RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

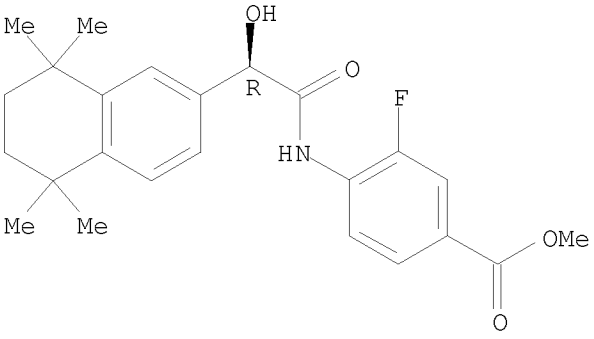
Absolute stereochemistry.



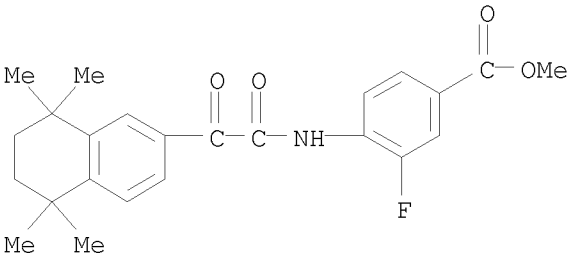
IT 301674-62-4P
RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(enantioselective preparation of [(tetrahydronaphthalenyl)hydroxyacetylamino]benzoate via microbial reduction of [(tetrahydronaphthalenyl)oxoacetylamino]benzoate)

RN 301674-62-4 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)

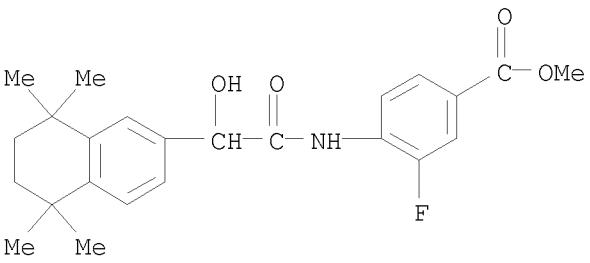
Absolute stereochemistry.



IT 185629-33-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective preparation of [(tetrahydronaphthalenyl)hydroxyacetylamino]benzoate via microbial reduction of [(tetrahydronaphthalenyl)oxoacetylamino]benzoate)
RN 185629-33-8 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)



IT 185629-34-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of [(tetrahydronaphthalenyl)hydroxyacetylamino]benzoate via reduction of [(tetrahydronaphthalenyl)oxoacetylamino]benzoate)
RN 185629-34-9 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

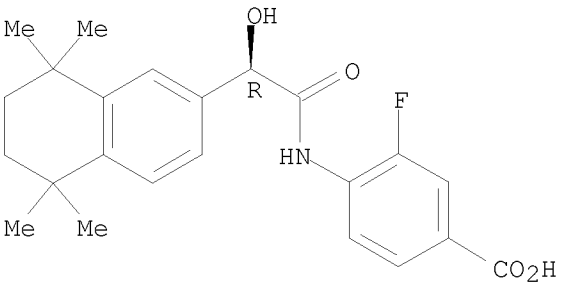
AB Apo and holo forms of retinoic acid receptors, and other nuclear receptors, display differential sensitivity to proteolytic digestion that likely reflects the distinct conformational states of the free and liganded forms of the receptor. The authors have developed a method for rapid peptide mapping of holo-retinoic acid receptor γ that utilizes matrix-assisted laser-desorption-ionization time-of-flight MS to identify peptide fragments that are derived from the partially proteolyzed holo-receptor. The peptide maps of retinoic acid receptor γ bound by four different agonists were identical, suggesting that all four ligands induced a similar conformational change within the ligand-binding domain of the receptor. In all cases, this agonist-induced conformational change promoted the direct association of retinoic acid receptor γ with the transcriptional co-activator p300 and inhibited interaction of the receptor with the nuclear receptor co-repressor. SR11253, a compound previously reported to exert mixed retinoic acid receptor γ agonist/antagonist activities in cultured cells, was found to bind directly to, but only weakly altered the protease-sensitivity of, the receptor and failed to promote interaction of the receptor with p300 or induce dissociation of receptor-nuclear receptor co-repressor complexes. This technique should be generally applicable to other members of the nuclear receptor superfamily that undergo an induced structural alteration upon agonist or antagonist binding, DNA binding and/or protein-protein interaction.

ACCESSION NUMBER: 2002:183571 CAPLUS
DOCUMENT NUMBER: 136:304192
TITLE: Mass-spectrometric analysis of agonist-induced retinoic acid receptor γ conformational change
AUTHOR(S): Peterson, Valerie J.; Barofsky, Elisabeth; Deinzer, Max L.; Dawson, Marcia I.; Feng, Kai-Chia; Zhang, Xiao-Kun; Madduru, Machender R.; Leid, Mark
CORPORATE SOURCE: Laboratory of Molecular Pharmacology, Department of Pharmaceutical Sciences, College of Pharmacy, Oregon State University, Corvallis, OR, 97331, USA
SOURCE: Biochemical Journal (2002), 362(1), 173-181
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 262433-54-5, BMS 270394
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(MALDI-TOF mass-spectrometric anal. of agonist-induced retinoate RARγ receptor conformational change in relation to proteolysis and signaling)

RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



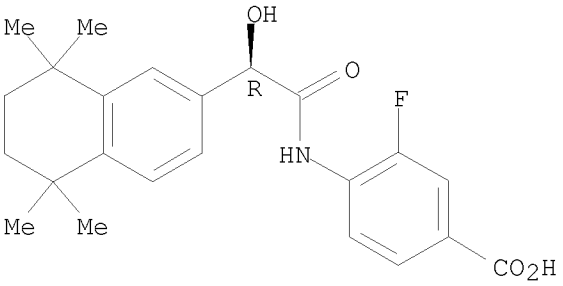
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB The focus of this study was to develop retinoic acid receptor (RAR) RARα/β selective agonists with anticancer efficacy and reduced toxicity associated with RARγ activity. In these studies, we report the identification and characterization of high-affinity RARα/β selective agonists with limited RARγ activity. These compds. inhibited human tumor cell line proliferation with similar efficacy to that observed for a pan-RAR agonist. However, for most tumor cell lines, the efficacy of these compds. was restricted to the micromolar range. To determine whether the RARα/β selective agonists could be additive or synergistic with existing agents, we investigated the effects of combining RARα/β selective agonists with various cytotoxic agents. Our results showed that the α/β selective retinoids dramatically lowered the ED of Taxol needed to induce cytotoxicity of a wide range of tumor cell lines. This synergy was specific to tubulin-modifying agents and could not be observed with a variety of other cytotoxic agents of diverse function. Examination of pathways common to Taxol and retinoid signaling revealed that this synergy was related in part to effects on Bcl-2 expression/phosphorylation as well as the activity of the c-Jun NH2-terminal kinase and activator protein-1. In contrast, the tubulin polymerization induced by Taxol was not further affected by cotreatment with a variety of retinoid receptor ligands. These observations indicate that potent RARα/β selective agonists may be of therapeutic benefit in combination with Taxol therapy.

ACCESSION NUMBER: 2002:12739 CAPLUS
DOCUMENT NUMBER: 136:272795
TITLE: Synergistic cytotoxicity exhibited by combination treatment of selective retinoid ligands with taxol (paclitaxel)
AUTHOR(S): Vivat-Hannah, Valerie; You, Dan; Rizzo, Cheryl; Daris, Jean-Paul; Lapointe, Philippe; Zusi, F. Christopher; Marinier, Anne; Lorenzi, Matthew V.; Gottardis, Marco M.
CORPORATE SOURCE: Department of Oncology Drug Discovery, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Cancer Research (2001), 61(24), 8703-8711
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 262433-54-5, BMS-270394
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergistic cytotoxicity exhibited by combination treatment of selective retinoid ligands with paclitaxel)
RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB Vitamin A (retinol) deficiency results in impaired response to infection and increased mortality. The inventors show that retinol activates immature dendritic cells (DC) and enhances antigen presentation via a cross-talk with inflammatory cytokines, whereas it increases DC death in the absence of these cytokines. These effects, that are mediated through retinoic acids and distinct nuclear retinoid receptor pathways, can be dissociated from each other with selective synthetic retinoids. The invention identifies a novel cellular target and function for retinoids, provides compns. and methods for modulating the immune system and for treating or preventing various phys. disorders in animals, preferably via controlling activation and/or apoptosis in antigen-presenting cells using selective retinoids.

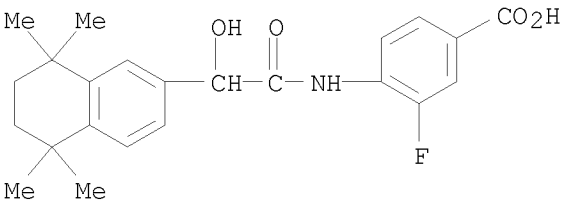
ACCESSION NUMBER: 2001:780660 CAPLUS
DOCUMENT NUMBER: 135:327340
TITLE: Retinoid compositions and methods for use in modulating immune system function
INVENTOR(S): Geissmann, Frederic; Lepelletier, Yves; Dy, Michel; Durandy, Anne; Revy, Patrick; Chambon, Pierre
PATENT ASSIGNEE(S): Fr.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078700	A2	20011025	WO 2001-IB484	20010412
WO 2001078700	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020090352	A1	20020711	US 2001-832922	20010412

PRIORITY APPLN. INFO.: US 2000-196921P P 20000413

IT 185629-22-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); BIOL (Biological study)
(retinoid for modulating immune system function)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

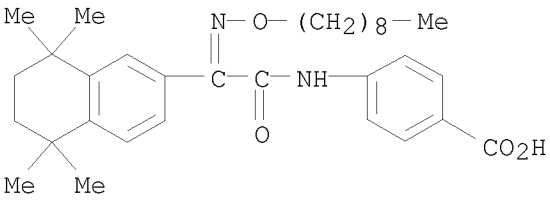
L7 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The invention concerns the use of at least a compound selected among retinoid-type mols. for preparing a composition for preventive or curative treatment of bacterial colonization, deterioration in pathol. conditions caused by said colonization and secondary skin infections induced by said bacteria and more particularly by the Staphylococcus aureus. The invention also concerns the use of at least a compound selected among retinoid-type mols. in a skin cleansing composition, and a cosmetic treatment method for cleaning the skin or correcting its smell by applying said composition on the skin. The MIC of 6-[3-(1-adamantyl)-4-methoxy-5-hydroxyphenyl]-2-naphthoic acid (I) against S. aureus was 0.14 μM. A tablet contained I 0.001, starch 0.114, dicalcium phosphate 0.020, silica 0.020, lactose 0.30, talc 0.010, and magnesium stearate 0.005 g.
ACCESSION NUMBER: 2001:581692 CAPLUS
DOCUMENT NUMBER: 135:157685
TITLE: Pharmaceutical compositions containing retinoid-type compounds as antibacterial agents
INVENTOR(S): Voegel, Johannes; Cavey, Marie-Therese
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056554	A2	20010809	WO 2001-FR280	20010130
WO 2001056554	A3	20011220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2804323	A1	20010803	FR 2000-1206	20000131
FR 2804323	B1	20060707		

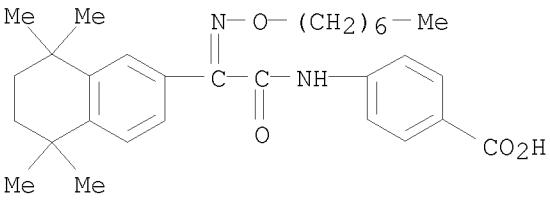
CA 2399087	A1	20010809	CA 2001-2399087		20010130
BR 2001008115	A	20021022	BR 2001-8115		20010130
EP 1255543	A2	20021113	EP 2001-903998		20010130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003521510	T	20030715	JP 2001-556246		20010130
NZ 520316	A	20040528	NZ 2001-520316		20010130
AU 777629	B2	20041021	AU 2001-31936		20010130
ZA 2002005738	A	20030327	ZA 2002-5738		20020718
NO 2002003630	A	20020930	NO 2002-3630		20020730
MX 2002007371	A	20040730	MX 2002-7371		20020730
US 20030055110	A1	20030320	US 2002-207777		20020731
US 6858647	B2	20050222			
PRIORITY APPLN. INFO.:			FR 2000-1206	A	20000131
			WO 2001-FR280	W	20010130

IT 353264-58-1 353264-62-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing retinoid-type compds. as antibacterial agents)

RN 353264-58-1 CAPLUS
CN Benzoic acid, 4-[[2-[(nonyloxy)imino]-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 353264-62-7 CAPLUS
CN Benzoic acid, 4-[[2-[(heptyloxy)imino]-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	14	THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The retinoid 6-[3-(1-adamantyl)-4-hydroxyphenyl]-2-naphthalenecarboxylic acid (AHPN) is reported to have anticancer activity in vivo. Induction of cell cycle arrest and apoptosis in cancer cell lines refractory to standard retinoids suggests a retinoid-independent mechanism of action for AHPN. Conformational studies suggested that binding of AHPN does not induce an unusual conformation in retinoic acid receptor (RAR) γ. The 3-chloro AHPN analog MM11453 inhibited the growth of both

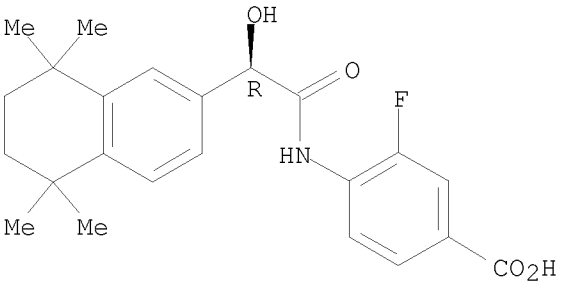
retinoid-resistant (HL-60R leukemia, MDA-MB-231 breast, and H292 lung) and retinoid-sensitive (MCF-7 breast, LNCaP prostate, and H460 lung) cancer cell lines by inducing apoptosis at similar concns. Before apoptosis, MM11453 induced transcription factor TR3 expression and loss of mitochondrial membrane potential characteristic of apoptosis. MM11453 lacked the ability to significantly activate RARs and retinoid X receptor α to initiate (TREpal)2-tk-CAT reporter transcription. These results, differential proteolysis-sensitivity assays, and glutathione S-transferase-pulldown expts. demonstrate that, unlike AHPN or the natural or standard synthetic retinoids, MM11453 does not behave as a RAR or retinoid X receptor α transcriptional agonist. These studies strongly suggest that AHPN exerts its cell cycle arrest and apoptotic activity by a signaling pathway independent of retinoid receptor activation.

ACCESSION NUMBER: 2001:465871 CAPLUS
DOCUMENT NUMBER: 135:282801
TITLE: Apoptosis induction in cancer cells by a novel analogue of 6-[3-(1-adamantyl)-4-hydroxyphenyl]-2-naphthalenecarboxylic acid lacking retinoid receptor transcriptional activation activity
AUTHOR(S): Dawson, Marcia I.; Hobbs, Peter D.; Peterson, Valerie J.; Leid, Mark; Lange, Christopher W.; Feng, Kai-Chia; Chen, Guo-Quan; Gu, Jian; Li, Hui; Kolluri, Siva Kumar; Zhang, Xiao-Kun; Zhang, Yuxiang; Fontana, Joseph A.
CORPORATE SOURCE: Department of Medicinal Chemistry, Molecular Medicine Research Institute, Mountain View, CA, 94043, USA
SOURCE: Cancer Research (2001), 61(12), 4723-4730
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 262433-54-5, BMS270394
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(apoptosis induction in cancer cells by AHPN analog lacking retinoid receptor transcriptional activation activity)

RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 44 THERE ARE 44 CAPLUS RECORDS THAT CITE THIS RECORD (44 CITINGS)
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

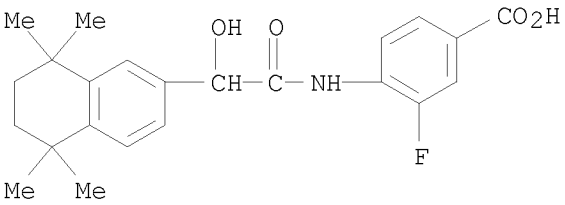
L7 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The invention provides compns. comprising a retinoid X receptor agonist and an agent capable of activating protein kinase A. The invention also

provides methods of treating hyperproliferative diseases by administering a retinoid X receptor agonist and an agent capable of activating protein kinase A.

ACCESSION NUMBER: 2000:772398 CAPLUS
DOCUMENT NUMBER: 133:344604
TITLE: Compositions and methods using a retinoid X receptor agonist and a protein kinase A activator for treatment of hyperproliferative diseases
INVENTOR(S): Benoit, Gerard; Gronemeyer, Hinrich; Lanotte, Michel; Gottardis, Marco
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Institut National de la Sante et de la Recherche Medicale; Centre National de la Recherche Scientifique; Universite Louis Pasteur
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064260	A1	20001102	WO 1999-US8908	19990423
W: AU, CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2369910	A1	20001102	CA 1999-2369910	19990423
AU 9941815	A	20001110	AU 1999-41815	19990423
AU 773928	B2	20040610		
EP 1173061	A1	20020123	EP 1999-925558	19990423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002542268	T	20021210	JP 2000-613263	19990423
PRIORITY APPLN. INFO.:			WO 1999-US8908 W	19990423

IT 185629-22-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(retinoid X receptor agonist and protein kinase A activator for treatment of hyperproliferative disease)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The human retinoic acid receptor (hRAR) belongs to the family of nuclear

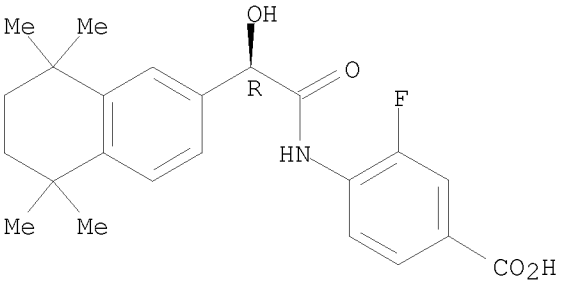
receptors that regulate transcription in a ligand-dependent way. The isotypes RARα,β and γ are distinct pharmacol. targets for retinoids that are involved in the treatment of various skin diseases and cancers, in particular breast cancer and acute promyelocytic leukemia. Therefore, synthetic retinoids have been developed aiming at isotype selectivity and reduced side-effects. We report the crystal structures of three complexes of the hRARγ ligand-binding domain (LBD) bound to agonist retinoids that possess selectivity either for RARγ (BMS184394) or for RARβ/γ (CD564), or that are potent for all RAR-isotypes (panagonist BMS181156). The high resolution data (1.3-1.5 Å) provide a description at the atomic level of the ligand pocket revealing the mol. determinants for the different degrees of ligand selectivity. The comparison of the complexes of the chemical closely related retinoids BMS184394 and CD564 shows that the side-chain of Met272 adopts different conformations depending on the presence of a hydrogen bond between its sulfur atom and the ligand. This accounts for their different isotype selectivity. On the other hand, the difference between the pan- and the RARβ,γ-selective agonist is probably due to a steric discrimination at the level of the 2-naphthoic acid moiety of CD564. Based on this study, we propose a model for a complex with the RARγ-specific agonist CD666 that shows the possible applications for structure-based drug design of RAR isotype-selective retinoids. (c) 2000 Academic Press.

ACCESSION NUMBER: 2000:605439 CAPLUS
DOCUMENT NUMBER: 134:476
TITLE: Structural basis for isotype selectivity of the human retinoic acid nuclear receptor
AUTHOR(S): Klaholz, Bruno P.; Mitschler, Andre; Moras, Dino
CORPORATE SOURCE: Laboratoire de Biologie et Genomique Structurales, Institut de Genetique et de Biologie Moleculaire et Cellulaire, CNRS/INSERM/ULP, Illkirch, F-67404, Fr.
SOURCE: Journal of Molecular Biology (2000), 302(1), 155-170
CODEN: JMOBAK; ISSN: 0022-2836
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 262433-54-5, BMS270394 288573-98-8, BMS270395
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structural basis for isotype selectivity of human retinoic acid nuclear receptor)

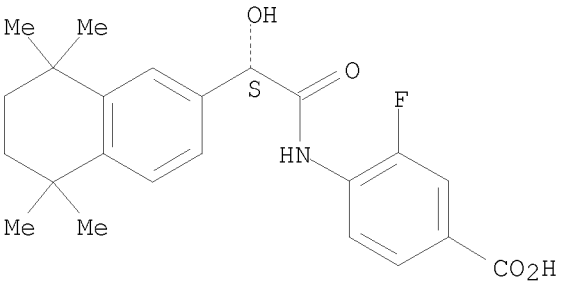
RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 288573-98-8 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2S)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

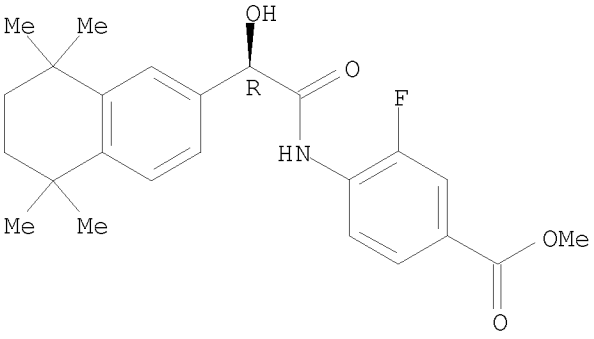
Absolute stereochemistry.



OS.CITING REF COUNT: 63 THERE ARE 63 CAPLUS RECORDS THAT CITE THIS RECORD (63 CITINGS)
REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB A practical procedure to prepare enantiomerically pure α-hydroxy amides from chiral α-hydroxy acids and electron-deficient anilines via N-sulfinylanilines was developed.
ACCESSION NUMBER: 2000:528809 CAPLUS
DOCUMENT NUMBER: 133:309734
TITLE: Reaction of electron-deficient N-sulfinylanilines with chiral α-hydroxy acids: a new process for the synthesis of enantiomerically pure α-hydroxy amides
AUTHOR(S): Chidambaram, R.; Zhu, J.; Penmetsa, K.; Kronenthal, D.; Kant, J.
CORPORATE SOURCE: Department of Process Research, Bristol-Myers Squibb, Pharmaceutical Research Institute, New Brunswick, NJ, 08903-0191, USA
SOURCE: Tetrahedron Letters (2000), 41(32), 6017-6020
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:309734
IT 301674-62-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of α-hydroxy amides by reaction of electron-deficient N-sulfinylanilines with chiral α-hydroxy acids)
RN 301674-62-4 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB The pleiotropic effects of the natural and synthetic retinoids are mediated by the activation of the two subfamilies of nuclear receptors, the retinoic acid receptors (RARs) and the retinoic X receptors (RXRs). At the mol. level, these events begin with the specific ligand recognition by a nuclear receptor subtype. The adaptation of ligands to the receptor binding site leads to an optimal number of interactions for binding and selectivity which justifies elucidation of the structural requirements of the ligand binding pocket. To explore the contribution of H6-H7 loop folding in the ligand-induced conformational changes explained by the mouse-trap model, four RARα mutants were constructed. Ligand binding and transactivation studies revealed that three residues from the H6-H7 loop (Gly301, Phe302 and Gly303) are critical for the conformational adaptation of both synthetic agonists and antagonists. Model building and anal. of both RARα-ATRA and RARα-CD 367 complexes demonstrate that accommodation of CD 367 results in a less tight contact of the saturated ring of this ligand with the amino acid side chains of the receptor ligand-binding pocket compared with that of ATRA. According to the flexibility of the agonists tested (ATRA > TTNPB = Am 580 > CD 367), we observed a decrease in binding that was dependent on ligand structure rigidity. In contrast, the binding and transactivating activities of the L266A mutant confirmed the structural constraints imposed by synthetic ligands on binding affinity for the receptor and revealed that subtle local rearrangements induced by specific conformational adaptation changes result in different binding affinities. Our results illustrate the dynamic nature of the interaction between RARα and its ligands and demonstrate the critical role of the H6-H7 loop in the binding of both synthetic retinoid agonists and antagonists.

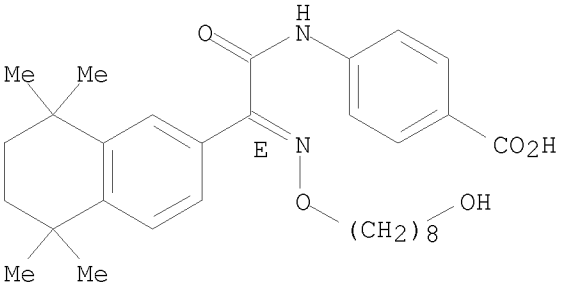
ACCESSION NUMBER: 2000:439081 CAPLUS
DOCUMENT NUMBER: 133:188067
TITLE: Critical role of the H6-H7 loop in the conformational adaptation of all-trans retinoic acid and synthetic retinoids within the ligand-binding site of RARα
AUTHOR(S): Mailfait, S.; Thoreau, E.; Belaiche, D.; Formstecher, P.; Sablonniere, B.
CORPORATE SOURCE: INSERM U459, Faculte de Medecine Henri Warembourg, Lille, 59045, Fr.
SOURCE: Journal of Molecular Endocrinology (2000), 24(3), 353-364
CODEN: JMLEEI; ISSN: 0952-5041
PUBLISHER: Society for Endocrinology
DOCUMENT TYPE: Journal

LANGUAGE: English

IT 182205-87-4, CD 2815 182205-89-6, CD 2817
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(critical role of H6-H7 loop in conformational adaptation of retinoids within ligand-binding site of RARα)

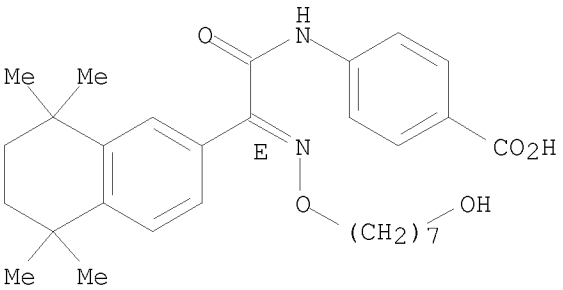
RN 182205-87-4 CAPLUS
CN Benzoic acid, 4-[[(2E)-[[(8-hydroxyoctyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 182205-89-6 CAPLUS
CN Benzoic acid, 4-[[(2E)-[[(7-hydroxyheptyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB The human retinoic acid receptor (hRAR) is a member of the nuclear receptor superfamily that regulates the transcription of target genes in a ligand-dependent manner. The three hRAR isotypes are targets for retinoids that are used in the treatment of various diseases, including breast cancer and skin diseases. Drug efficiency and safety depend on the pharmacol. activity of enantiomers, which can differ because of the chiral environment generated by the target. The authors report the crystal structures of the hRARγ ligand-binding domain bound to two enantiomers, the active BMS270394 and the inactive BMS270395, solved at 1.6 Å and 1.7 Å resolution, resp. The crystal structures reveal that in both enantiomers, the hydroxyl moiety attached to the chiral center forms a hydrogen bond to the Met-272 sulfur atom, thus imposing a conformation of BMS270395 that differs significantly from that observed for

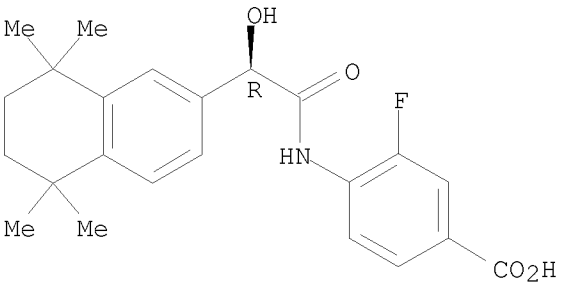
BMS270394 and other known retinoids. BMS270395 adopts an energetically unfavorable conformation, accounting for its inactivity; in contrast, the conformation of BMS270394 is close to an energy min. The authors high-resolution data allow rationalization of enantiomer discrimination by the receptor and provide a model system for the pharmacol. properties of enantiomeric pairs.

ACCESSION NUMBER: 2000:412005 CAPLUS
DOCUMENT NUMBER: 133:171785
TITLE: Enantiomer discrimination illustrated by high-resolution crystal structures of the human nuclear receptor hRARγ
AUTHOR(S): Klaholz, Bruno P.; Mitschler, Andre; Belema, Makonen; Zusi, C.; Moras, Dino
CORPORATE SOURCE: Laboratoire de Biologie et Genomique Structurales, Institut de Genetique et de Biologie Moleculaire et Cellulaire, Centre National de la Recherche Scientifique/Institut National de la Sante et de la Recherche Medicale/Universite Louis Pasteur, Illkirch, F-67404, Fr.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2000), 97(12), 6322-6327 CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 262433-54-5, BMS 270394 288573-98-8, BMS 270395
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(retinoid enantiomer discrimination illustrated by high-resolution crystal structures of human nuclear retinoic acid receptor gamma (hRARγ))

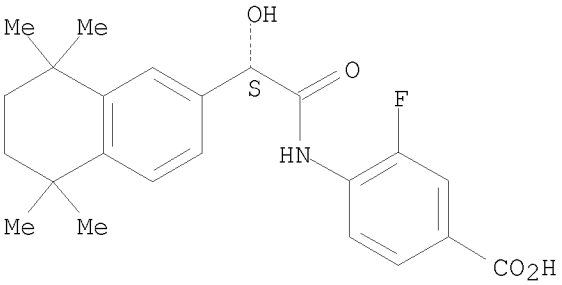
RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



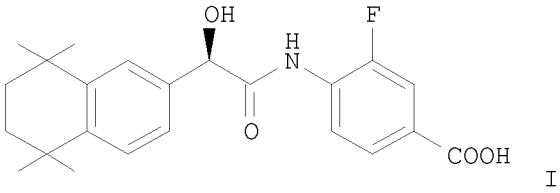
RN 288573-98-8 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2S)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 45 THERE ARE 45 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Disclosed is the (R)-enantiomer of formula I, which has unexpectedly been found to possess all of the biol. activity of the racemic compound disclosed in the prior art as an RARγ-specific agonist, for the treatment of dermatol. disorders, such as acne, psoriasis, premalignant lesions, and actinic keratosis. A method for the prevention of spontaneous squamous cell carcinoma in immunocompromised human transplant patients comprises systemic administration of a therapeutically effective amount of the compound I. The compound I at doses of 15 mg/kg or higher reduced both the number and size of papillomas in a mouse skin carcinogenesis model, while 13-cis-retinoic acid at 50 mg/kg was inactive under these conditions.

ACCESSION NUMBER: 2000:209902 CAPLUS
DOCUMENT NUMBER: 132:246379
TITLE: Active enantiomer of RARγ-specific agonist
INVENTOR(S): Belema, Makonen; Zusi, Fred C.; Tramposch, Kenneth M.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016769	A1	20000330	WO 1999-US21920	19990921

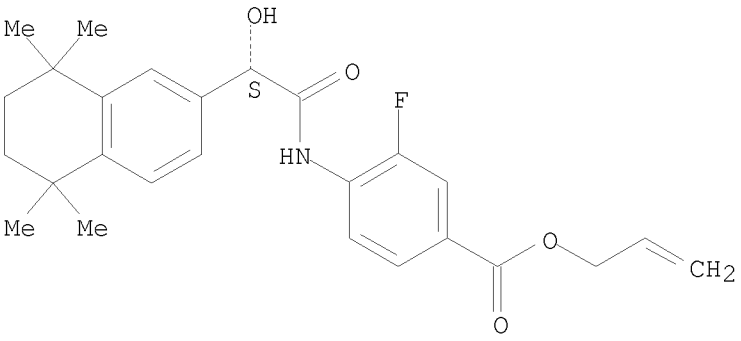
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,

MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2344919	A1	20000330	CA 1999-2344919		19990921
AU 9960565	A	20000410	AU 1999-60565		19990921
EP 1115395	A1	20010718	EP 1999-969335		19990921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6331570	B1	20011218	US 1999-401356		19990921
JP 2002526445	T	20020820	JP 2000-573730		19990921
PRIORITY APPLN. INFO.:			US 1998-101747P	P	19980924
			US 1999-125891P	P	19990324
			WO 1999-US21920	W	19990921

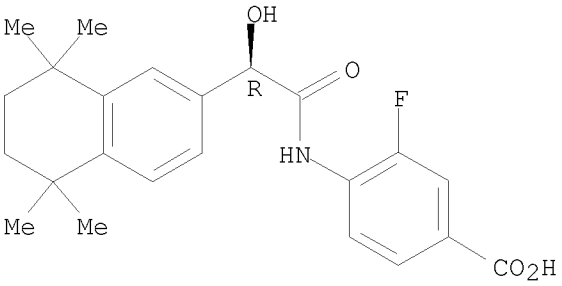
IT 262433-64-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
RN 262433-64-7 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2S)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

Absolute stereochemistry.



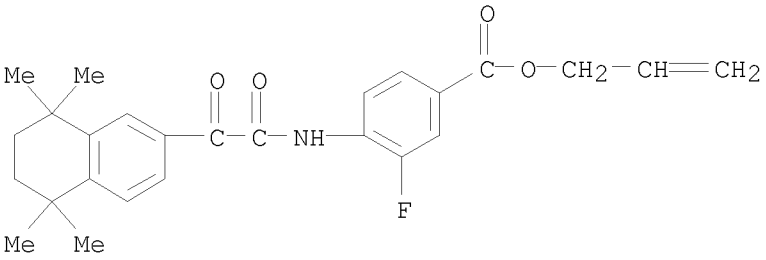
IT 262433-54-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)
RN 262433-54-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

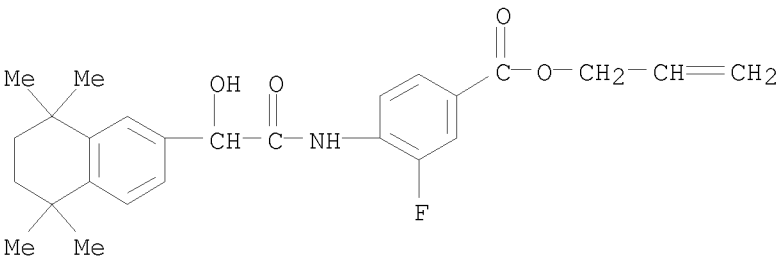


IT 262433-56-7P 262433-57-8P 262433-58-9P
262433-59-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(naphthylacetamidobenzoate derivative as RARγ-specific agonist for treatment of dermatol. disorders)

RN 262433-56-7 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

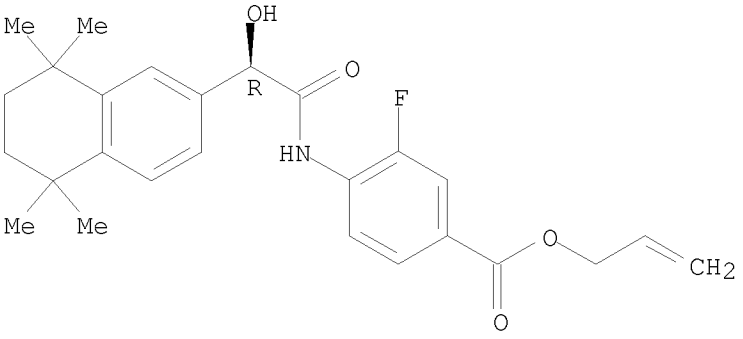


RN 262433-57-8 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



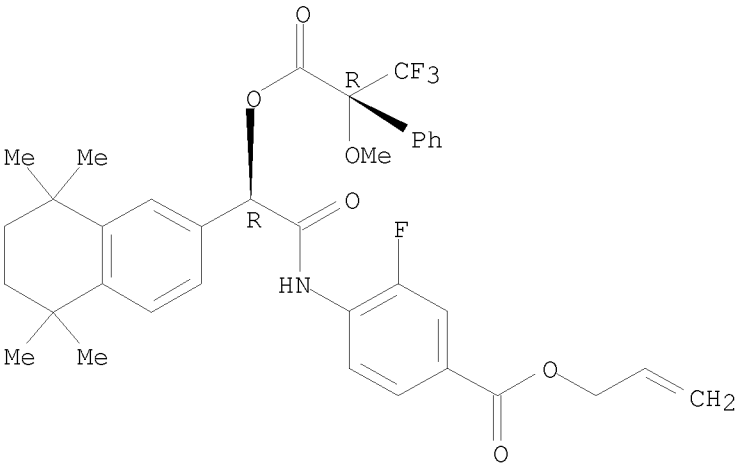
RN 262433-58-9 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[(2R)-2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 262433-59-0 CAPLUS
CN Benzeneacetic acid, α-methoxy-α-(trifluoromethyl)-, (1R)-2-[[2-fluoro-4-[(2-propen-1-yloxy)carbonyl]phenyl]amino]-2-oxo-1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethyl ester, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

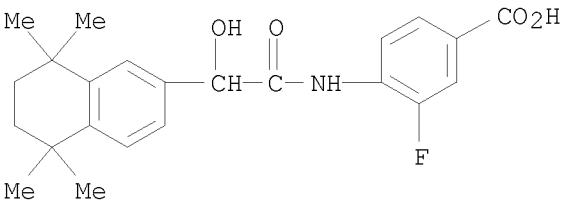


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB Many synthetic retinoids have been generated that exhibit a distinct pattern of agonist/antagonist activities with the three retinoic acid receptors (RARα, RARβ and RARγ). Because these retinoids are selective tools with which to dissect the pleiotropic functions of the natural pan-agonist, retinoic acid, and might constitute new therapeutic drugs, we have determined the structural basis of their receptor specificity and compared their activities in animal and yeast cells. There are only three divergent amino acid residues in the ligand binding pockets (LBPs) of RARα, RARβ and RARγ. We demonstrate here that the ability of monospecific (class I) retinoid agonists and antagonists to bind to and induce or inhibit transactivation by a given isotype is directly linked to the nature of these residues. The agonist/antagonist potential of class II retinoids, which bind to all three RARs but depending on the RAR isotype have the potential to act as agonists or antagonists, was also largely determined by the three divergent LBP residues.

These mutational studies were complemented by modeling, on the basis of the three-dimensional structures of the RAR ligand-binding domains, and a comparison of the retinoid agonist/antagonist activities in animal and yeast cells. Our results reveal the rational basis of RAR isotype selectivity, explain the existence of class I and II retinoids, and provide a structural concept of ligand-mediated antagonism. Interestingly, the agonist/antagonist characteristics of retinoids are not conserved in yeast cells, suggesting that yeast co-regulators interact with RARs in a different way than the animal cell homologues do.

ACCESSION NUMBER: 1999:548430 CAPLUS
DOCUMENT NUMBER: 131:281022
TITLE: Structural basis for engineering of retinoic acid receptor isotype-selective agonists and antagonists
AUTHOR(S): Gehin, Martine; Vivat, Valerie; Wurtz, Jean-Marie; Losson, Regine; Chambon, Pierre; Moras, Dino; Gronemeyer, Hinrich
CORPORATE SOURCE: Institut de Genetique et de Biologie Moleculaire et Cellulaire (IGBMC), CNRS/INSERM/ULP/College de France, Illkirch, 67404, Fr.
SOURCE: Chemistry & Biology (1999), 6(8), 519-529
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Current Biology Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 185629-22-5, BMS961
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(structural basis for engineering of RAR isotype-selective agonists and antagonists)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

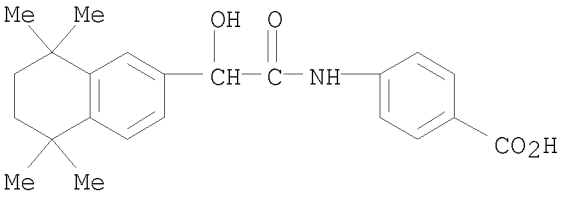


OS.CITING REF COUNT: 56 THERE ARE 56 CAPLUS RECORDS THAT CITE THIS RECORD (56 CITINGS)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

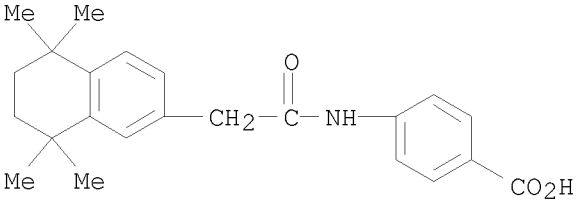
L7 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB Structure-activity relationships were established for 140 synthetic retinoid agonists. Retinoids, natural and synthetic analogs of vitamin A, are activating ligands for retinoic acid receptors (RARα, β, and γ), members of the nuclear receptor superfamily. A QSAR study provides information on the type of intermol. and intramol. interactions the active mols. are exposed to during the course of their interaction with the receptor. Retinoid structures were modeled both by mol. and quantum mechanics and were submitted to a preliminary conformational anal. based on mol. dynamics. Linear and non-linear multivariate analyses were performed, revealing the principal electronic and structural characteristics leading to good affinity for each RAR subtype. Distinct structural features were found for each subtype: this is in agreement with the fact that the selectivity of the RAR subtypes results from the change

of amino acids in the ligand cavity. Indeed, these amino-acids induce subtle changes in terms of steric properties and specific interactions, thus engendering specificity. The predictive ability of these relationships was validated using a large set of compds. which were not used to derive the model. The goal this of work was to detect relationships between structures and affinity for a broad range of retinoids in order that this model could be used in a more general manner, for example to impose constraints in database searching, or for use in automatic structure generation software.

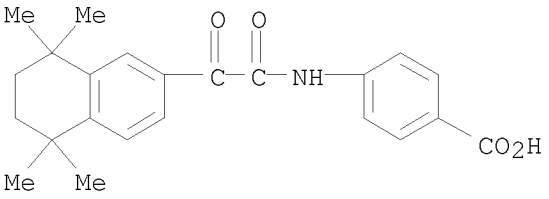
ACCESSION NUMBER: 1999:455007 CAPLUS
DOCUMENT NUMBER: 131:194472
TITLE: Quantitative structure-activity relationship studies of RAR α, β, γ retinoid agonists
AUTHOR(S): Douguet, Dominique; Thoreau, Etienne; Grassy, Gerard
CORPORATE SOURCE: Centre International Recherches Dermatologie GALDERMA, Sophia Antipolis, F-06902, Fr.
SOURCE: Quantitative Structure-Activity Relationships (1999), 18(2), 107-123
CODEN: QSARDI; ISSN: 0931-8771
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139611-80-6 142650-22-4 142650-36-0
241140-07-8 241140-28-3
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR studies of retinoic acid receptors α, β, γ retinoid agonists)
RN 139611-80-6 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



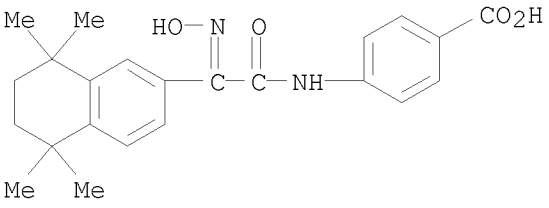
RN 142650-22-4 CAPLUS
CN Benzoic acid, 4-[[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



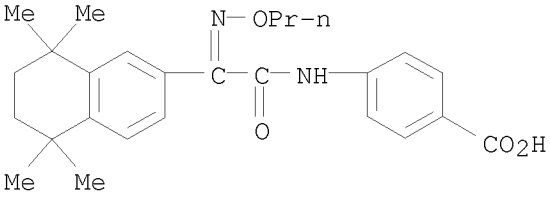
RN 142650-36-0 CAPLUS
CN Benzoic acid, 4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 241140-07-8 CAPLUS
CN Benzoic acid, 4-[[2-(hydroxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 241140-28-3 CAPLUS
CN Benzoic acid, 4-[[2-(propoxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB R4C(:X)NR3ZCRR1R2 [I; R = pyrrolyl, imidazolyl, triazolyl, pyridinyl, etc.; R1 = H, OH, alkyl, aryl; R2 = H, (un)substituted alkyl, (hetero)aryl, etc.; R3 = H, (ar)alkyl, (hetero)aryl, etc.; R4 = H, OH, (un)substituted alkyl, alkoxy, etc.; X = O, S, NR3; Z = 1,4-phenylene] were prepared Thus, 4-(AcHN)C6H4CHRCHMe2 (II; R = OH) was O-mesylated and the product condensed with 1H-1,2,4-triazole to give II (R = 1H-1,2,4-triazol-1-yl). Data for biol. activity of I were given.
ACCESSION NUMBER: 1999:388171 CAPLUS
DOCUMENT NUMBER: 131:44827
TITLE: Preparation of N-[(imidazolyl- and triazolylalkyl)phenyl]acetamides and analogs as retinoid metabolism inhibitors
INVENTOR(S): Mabire, Dominique; Adelinet, Christophe Denis; Csoka, Imre Christian; Venet, Marc Gaston
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929674	A1	19990617	WO 1998-EP8126	19981208
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312720	A1	19990617	CA 1998-2312720	19981208
AU 9921608	A	19990628	AU 1999-21608	19981208
EP 1037880	A1	20000927	EP 1998-965820	19981208
EP 1037880	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200001645	T2	20001221	TR 2000-1645	19981208
HU 2001000860	A2	20010928	HU 2001-860	19981208
HU 2001000860	A3	20030328		
JP 2001525400	T	20011211	JP 2000-524271	19981208
AT 270277	T	20040715	AT 1998-965820	19981208
ES 2224462	T3	20050301	ES 1998-965820	19981208
TW 523503	B	20030311	TW 1998-87120384	19981209
ZA 9811351	A	20000612	ZA 1998-11351	19981210
US 6319939	B1	20011120	US 2000-555775	20000601
BG 104499	A	20010831	BG 2000-104499	20000602
US 20020115653	A1	20020822	US 2001-962551	20010925
US 6936626	B2	20050830		
US 20050165018	A1	20050728	US 2005-81393	20050316
US 7179825	B2	20070220		
US 20070105858	A1	20070510	US 2006-551045	20061019
US 20080058334	A1	20080306	US 2007-926699	20071029
US 7579352	B2	20090825		

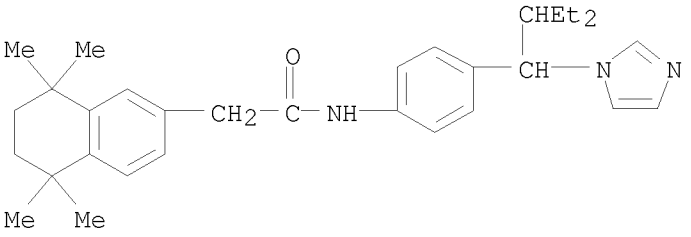
PRIORITY APPLN. INFO.:		
EP 1997-203886	A	19971211
WO 1998-EP8126	W	19981208
US 2000-555775	A3	20000601
US 2001-962551	A3	20010925
US 2005-81393	A3	20050316
US 2006-551045	A1	20061019

OTHER SOURCE(S): MARPAT 131:44827

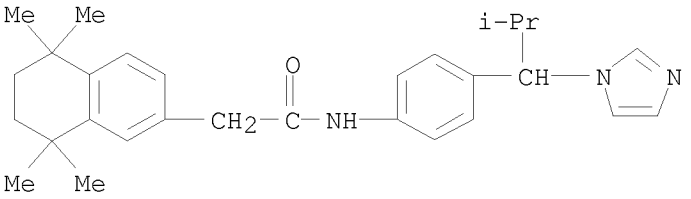
IT 227280-03-7P 227282-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(imidazolyl- and triazolylalkyl)phenyl]acetamides and analogs as retinoid metabolism inhibitors)

RN 227280-03-7 CAPLUS

CN 2-Naphthaleneacetamide, N-[4-[2-ethyl-1-(1H-imidazol-1-yl)butyl]phenyl]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)



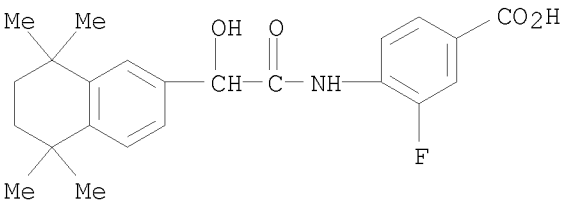
RN 227282-05-5 CAPLUS
CN 2-Naphthaleneacetamide, 5,6,7,8-tetrahydro-N-[4-[1-(1H-imidazol-1-yl)-2-methylpropyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB All-trans and 9-cis retinoic acids (RA) signals are transduced by retinoic acid receptor/retinoid X receptor (RAR/RXR) heterodimers that act as functional units controlling the transcription of RA-responsive genes. With the aim of elucidating the underlying mol. mechanisms, we have developed an in vitro transcription system using a chromatin template made up of a minimal promoter and a direct repeat with 5-spacing-based RA response element. RARα and RXRα were expressed in and purified from baculovirus-infected Sf9 cells, and transcription was carried out by using naked DNA or chromatin templates. Transcription from naked templates was not affected by the presence of RA and/or RAR/RXR heterodimers. In contrast, very little transcription occurred from chromatin templates in the absence of RA or RAR/RXR heterodimers whereas their addition resulted in a dosage-dependent stimulation of transcription that never exceeded that occurring on naked DNA templates. Most importantly, the addition of synthetic agonistic or antagonistic retinoids to the chromatin transcription system mimicked their stimulatory or inhibitory action in vivo, and activation by a RXR-specific retinoid was subordinated to the binding of an agonist ligand to the RAR partner. Moreover, the addition of the p300 coactivator generated a synergistic enhancement of transcription. Thus, the dissection of this transcription system ultimately should lead to the elucidation of the mol. mechanisms by which RAR/RXR heterodimers control transcription in a ligand-dependent manner.
ACCESSION NUMBER: 1999:180902 CAPLUS
DOCUMENT NUMBER: 130:347807
TITLE: Ligand-dependent activation of transcription in vitro by retinoic acid receptor α/retinoid X receptor α heterodimers that mimics transactivation by retinoids in vivo
AUTHOR(S): Dilworth, F. Jeffrey; Fromental-Ramain, Catherine; Remboutsika, Eumorphia; Benecke, Arndt; Chambon,

Pierre
CORPORATE SOURCE: Institut de Genetique et de Biologie Moleculaire et Cellulaire, Centre National de la Recherche Scientifique, Institut National de la Sante et de la Recherche Medicale, Universite Louis Pasteur, Illkirch, 67404, Fr.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1999), 96(5), 1995-2000
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 185629-22-5, BMS 961
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(ligand-dependent activation of transcription in vitro by retinoic acid receptor α/retinoid X receptor α heterodimers that mimics transactivation by retinoids in vivo)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB A method of inhibiting endothelin-1 in a subject, comprising administering to the subject an inhibiting amount of a suitable retinoid or retinoid-related mol., and a method of treating pain and diseases associated with the presence of increased levels of endothelin-1 in subjects, comprising administering an endothelin-1-inhibiting amount of a suitable retinoid or retinoid-related mol., are provided.
ACCESSION NUMBER: 1998:706047 CAPLUS
DOCUMENT NUMBER: 129:310907
ORIGINAL REFERENCE NO.: 129:63301a,63304a
TITLE: Retinoid-related molecules for the inhibition of endothelin-1 overproduction in disease and for treating pain
INVENTOR(S): Pfahl, Magnus; Hsu, Ju-Yu
PATENT ASSIGNEE(S): Sidney Kimmel Cancer Center, USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846076	A1	19981022	WO 1998-US7125	19980410

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

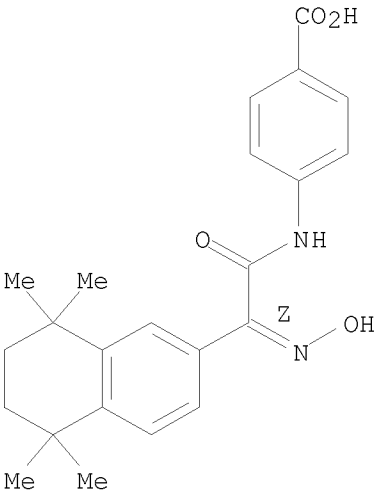
AU 9868951	A	19981111	AU 1998-68951		19980410
EP 973390	A1	20000126	EP 1998-914647		19980410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
BR 9808866	A	20000801	BR 1998-8866		19980410
JP 2001522350	T	20011113	JP 1998-531346		19980410
MX 9909322	A	20000228	MX 1999-9322		19991008
PRIORITY APPLN. INFO.:			US 1997-43293P	P	19970411
			WO 1998-US7125	W	19980410

OTHER SOURCE(S): MARPAT 129:310907

IT 166182-23-6 166182-23-6D, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(retinoid related mols. for the inhibition of endothelin-1 overprodn. in disease and for treating pain)

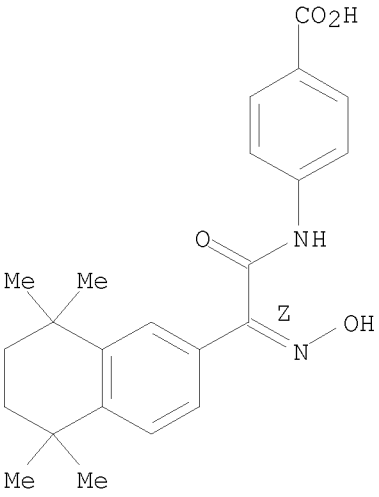
RN 166182-23-6 CAPLUS
CN Benzoic acid, 4-[[(2Z)-(hydroxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 166182-23-6 CAPLUS
CN Benzoic acid, 4-[[(2Z)-(hydroxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

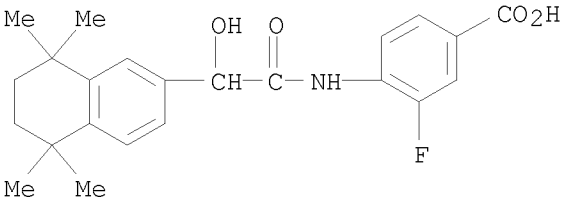
AB The nuclear retinoid receptors RARs and RXRs are transcriptional regulators whose activity is mediated by their ligand-binding domain. The crystal structures of the unliganded human (apo) hRXRa ligand-binding domain and of the all-trans retinoic acid-liganded (holo) hRARγ ligand-binding domain have been described. The authors report the crystal structures of the hRARγ ligand-binding domain bound to either its other natural ligand 9-cis retinoic acid, or an RARγ-selective synthetic agonist (BMS961). The two bound RA stereoisomers exhibit a striking structural resemblance, as their intrinsic flexibility allows them to fit into a unique ligand-binding pocket. The shape of BMS961 is a combination of those of the natural ligands and an addnl. RARγ-specific hydrogen bond is responsible for the RARg isotype selectivity. All three agonist mols. fill almost entirely the ligand cavity and lead to an identical holo-ligand-binding domain protein conformation, thus accounting for their similar effect on RAR transactivation. The selectivity of different RAR ligands can now be explained using BMS961 as a template. The present conclusions are not limited to RARγ and can be extended to the other members of the retinoid family.

ACCESSION NUMBER: 1998:191348 CAPLUS
DOCUMENT NUMBER: 129:1856
ORIGINAL REFERENCE NO.: 129:463a,466a
TITLE: Conformational adaptation of agonists to the human nuclear receptor RARγ
AUTHOR(S): Klaholz, B. P.; Renaud, J.-P.; Mitschler, A.; Zusi, C.; Chambon, P.; Gronemeyer, H.; Moras, D.
CORPORATE SOURCE: Institut de Genetique et de Biologie Moleculaire et Cellulaire, Universite Louis Pasteur, Illkirch, F-67404, Fr.
SOURCE: Nature Structural Biology (1998), 5(3), 199-202
CODEN: NSBIEW; ISSN: 1072-8368
PUBLISHER: Nature America
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 185629-22-5, BMS961
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP

(Properties); BIOL (Biological study); PROC (Process)
(crystal structures of human retinoic acid receptor γ complexes with ligands and agonist BMS961 show conformational adaptation of ligands)

RN 185629-22-5 CAPLUS

CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 97 THERE ARE 97 CAPLUS RECORDS THAT CITE THIS RECORD (97 CITINGS)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

AB Methods and compns. are provided for treating an animal, preferably a human, suffering from or predisposed to a phys. disorder by administering an effective amount of a composition comprising at least one RAR antagonist, preferably an RARα antagonist, and at least one RXR agonist. The combination of an RXR agonist, which has no therapeutic effects alone, with an RAR antagonist allows the use of lower doses of the RAR antagonist than were previously thought to be efficacious; this approach obviates many of the undesirable physiol. side-effects of treatment with RAR antagonists.

ACCESSION NUMBER: 1998:163484 CAPLUS

DOCUMENT NUMBER: 128:213409

ORIGINAL REFERENCE NO.: 128:42141a,42144a

TITLE: Therapeutic combinations of RAR antagonists and RXR agonists

INVENTOR(S): Chambon, Pierre; Gronemeyer, Hinrich; Reczek, Peter R.; Ostrowski, Jacek

PATENT ASSIGNEE(S): Institut National De La Sante Et De La Recherche Medicale, Fr.; Centre National De La Recherche Scientifique; Universite Louis Pasteur; Bristol-Myers Squibb Company

SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

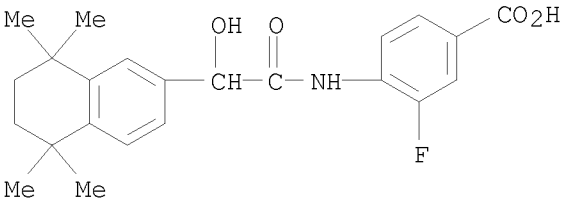
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808546	A2	19980305	WO 1997-US15155	19970828
WO 9808546	A3	19980423		
W: AU, CA, IL, JP, MX, NO				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2263817	A1	19980305	CA 1997-2263817	19970828
AU 9741674	A	19980319	AU 1997-41674	19970828
AU 731060	B2	20010322		
EP 928200	A2	19990714	EP 1997-939631	19970828
EP 928200	B1	20030409		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

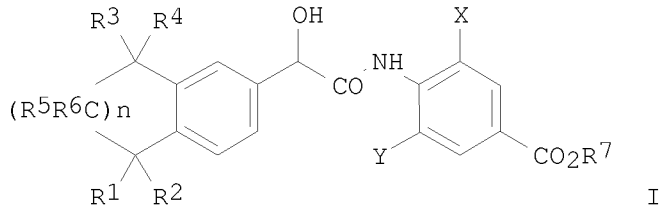
US 6130230	A	20001010	US 1997-919318		19970828
JP 2001500486	T	20010116	JP 1998-511906		19970828
AT 236654	T	20030415	AT 1997-939631		19970828
ES 2196361	T3	20031216	ES 1997-939631		19970828
NO 9900912	A	19990427	NO 1999-912		19990225
US 6653322	B1	20031125	US 2000-619308		20000719
PRIORITY APPLN. INFO.:			US 1996-24772P	P	19960828
			US 1997-919318	A3	19970828
			WO 1997-US15155	W	19970828

IT 185629-22-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(therapeutic combinations of RAR antagonists and RXR agonists)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L7 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Retinobenzoic acid derivs. I (R1 - R6 = independently H, alkyl; R7 = H, carboxy protecting group; X = halo, alkyl, alkyloxy, OH, CF3; Y = H, F, Cl, Me; n = 1 - 4), potentially useful for treating dermatol. disorders, were prepared and tested for retinoid receptor activity. Derivs. I selectively interact with the retinoic acid subtype receptor RARγ and were found to lack the liver toxicity associated with systemic administration of non-selective retinoids. Thus, I [R1 - R4 = Me, (R5R6C)n = (CH2)2, R7 = Y = H, X = F] was prepared from 1,1,4,4-tetramethyltetralin, ClCOCO2Et, and 3-F-4-NO2C6H3Me and tested for retinoid receptor activation activity. I [R1 - R4 = Me, (R5R6C)n = (CH2)2, R7 = X = Y = H] showed transactivation ratios of 66.7, 50 and 6.7, compared with retinoic acid, for the α, β, and γ retinoid receptors, resp.

ACCESSION NUMBER: 1997:72260 CAPLUS
DOCUMENT NUMBER: 126:89602
ORIGINAL REFERENCE NO.: 126:17307a

TITLE: Preparation and RARγ-specific retinoid receptor transactivation of retinobenzoic acid derivatives

INVENTOR(S): Swann, R. Thomas; Smith, Daniel; Tramposch, Kenneth M.; Zusi, Fred Christopher

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 747347	A1	19961211	EP 1996-401097	19960520
EP 747347	B1	19990721		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5624957	A	19970429	US 1995-467429	19950606
CA 2175854	A1	19961207	CA 1996-2175854	19960506
AT 182327	T	19990815	AT 1996-401097	19960520
ES 2136950	T3	19991201	ES 1996-401097	19960520
JP 08333318	A	19961217	JP 1996-142621	19960605
AU 9654717	A	19961219	AU 1996-54717	19960605
AU 693352	B2	19980625		
US 5760084	A	19980602	US 1996-724979	19961004
GR 3031517	T3	20000131	GR 1999-402612	19991013

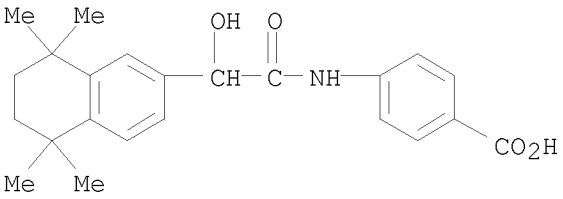
PRIORITY APPLN. INFO.: US 1995-467429 A 19950606

OTHER SOURCE(S): MARPAT 126:89602

IT 139611-80-6P 185629-22-5P 185629-23-6P
185629-24-7P 185629-25-8P 185629-26-9P
185629-27-0P 185629-28-1P 185629-29-2P
185629-30-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and RARγ-specific retinoid receptor transactivation of retinobenzoic acid derivs.)

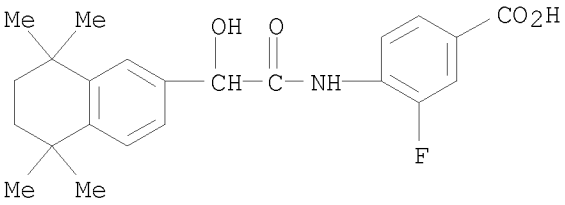
RN 139611-80-6 CAPLUS

CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

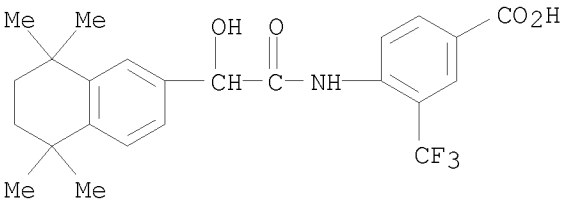


RN 185629-22-5 CAPLUS

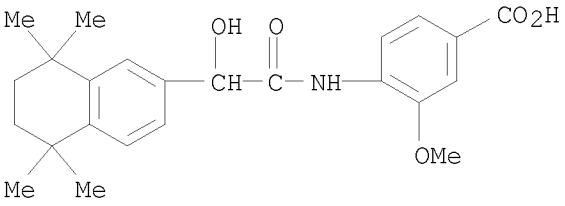
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



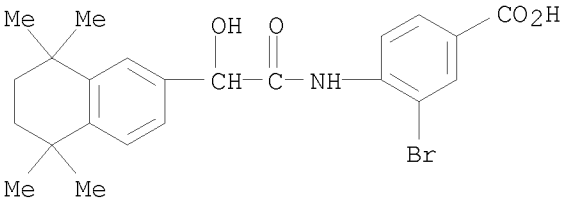
RN 185629-23-6 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-3-(trifluoromethyl)- (CA INDEX NAME)



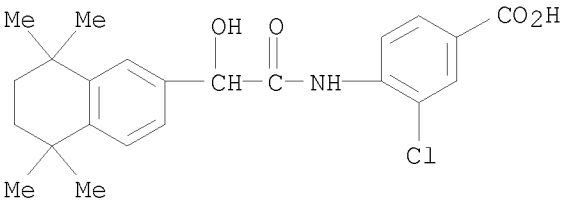
RN 185629-24-7 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-3-methoxy- (CA INDEX NAME)



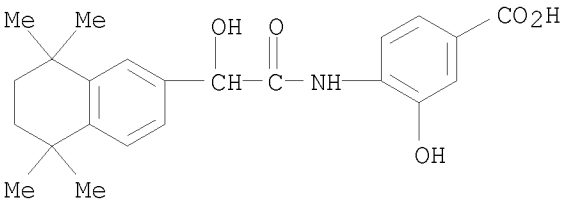
RN 185629-25-8 CAPLUS
CN Benzoic acid, 3-bromo-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



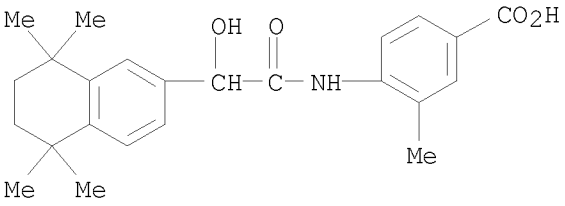
RN 185629-26-9 CAPLUS
CN Benzoic acid, 3-chloro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



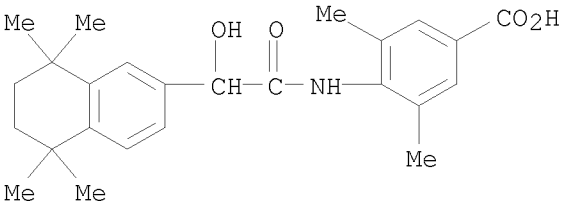
RN 185629-27-0 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



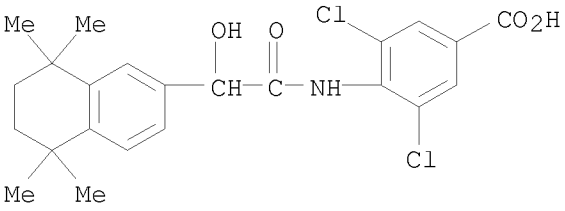
RN 185629-28-1 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-3-methyl- (CA INDEX NAME)



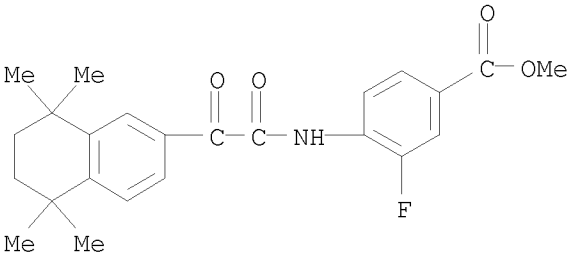
RN 185629-29-2 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-3,5-dimethyl- (CA INDEX NAME)



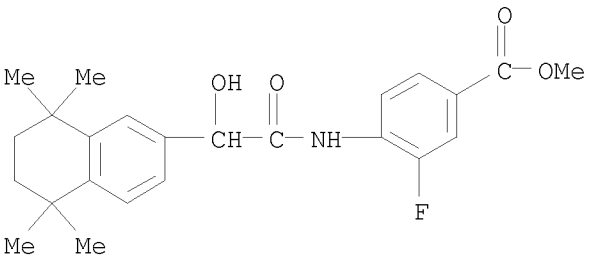
RN 185629-30-5 CAPLUS
CN Benzoic acid, 3,5-dichloro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



IT 185629-33-8P 185629-34-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and RARγ-specific retinoid receptor transactivation of retinobenzoic acid derivs.)
RN 185629-33-8 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)

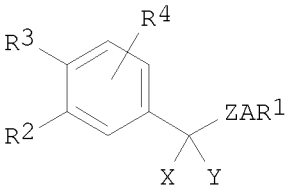


RN 185629-34-9 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L7 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB The title compds. [I; A = (un)substituted phenylene, pyridinediyl, furandiyl, thiophenediyl, etc.; R1 = (un)substituted Me, alkoxy, etc.; R2, R3 = H, (un)branched alkyl, alkoxy, alkylthio, etc.; R4 = H, halogen, alkyl, etc.; X = H, alkyl; Y = (un)substituted alkyl, etc.] [e.g., syn-4-[α-hydroxyhexyloxyimino-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthyl)acetamido]benzoic acid; m.p. 183-186°], useful in pharmaceutical (no data) and cosmetic formulations (no data), are prepared and I-containing formulations presented.

ACCESSION NUMBER: 1996:628360 CAPLUS
DOCUMENT NUMBER: 125:275432
ORIGINAL REFERENCE NO.: 125:51501a,51504a
TITLE: Preparation of biaromatic amides and pharmaceutical and cosmetic compositions containing them
INVENTOR(S): Bernardon, Jean-Michel; Vigne, Laurence
PATENT ASSIGNEE(S): Centre International De Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

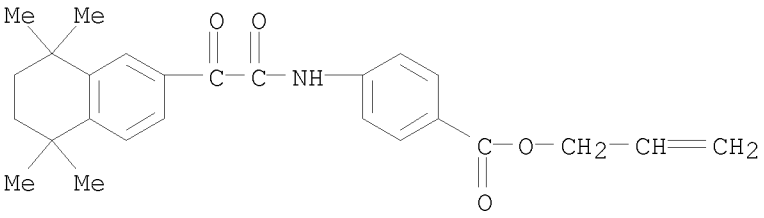
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 728739	A1	19960828	EP 1996-400251	19960206
EP 728739	B1	19971105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2730995	A1	19960830	FR 1995-2133	19950223
FR 2730995	B1	19970404		
AT 159931	T	19971115	AT 1996-400251	19960206
ES 2113220	T3	19980416	ES 1996-400251	19960206
AU 9644447	A	19960926	AU 1996-44447	19960212
AU 680162	B2	19970717		
ZA 9601147	A	19960823	ZA 1996-1147	19960213
CA 2170065	A1	19960824	CA 1996-2170065	19960222
CA 2170065	C	20010213		
JP 08291122	A	19961105	JP 1996-35257	19960222
JP 2957123	B2	19991004		
BR 9600612	A	19971230	BR 1996-612	19960223
US 5935585	A	19990810	US 1996-605960	19960223
US 6171603	B1	20010109	US 1999-246715	19990209

PRIORITY APPLN. INFO.: FR 1995-2133 A 19950223
US 1996-605960 A3 19960223

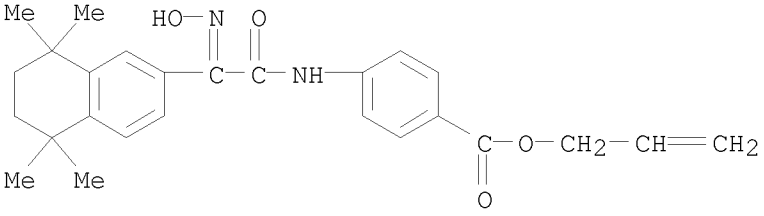
OTHER SOURCE(S): MARPAT 125:275432

IT 142650-89-3P 166182-61-2P 182206-01-5P
182206-02-6P 182206-03-7P 182206-04-8P
182206-05-9P 182206-06-0P 182206-07-1P
182206-09-3P 182206-10-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarom. amides and pharmaceutical and cosmetic compns. containing them)

RN 142650-89-3 CAPLUS
CN Benzoic acid, 4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

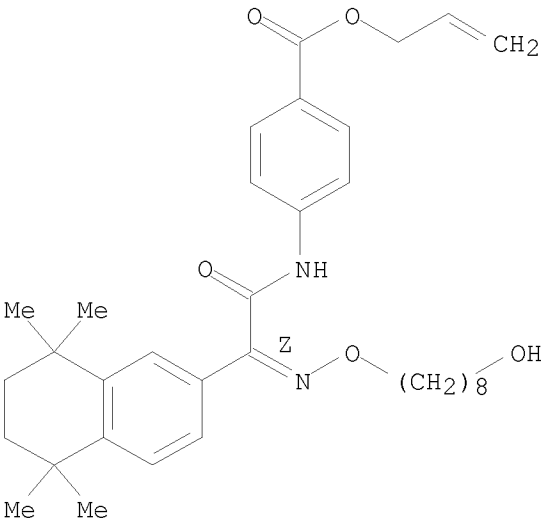


RN 166182-61-2 CAPLUS
CN Benzoic acid, 4-[[2-(hydroxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



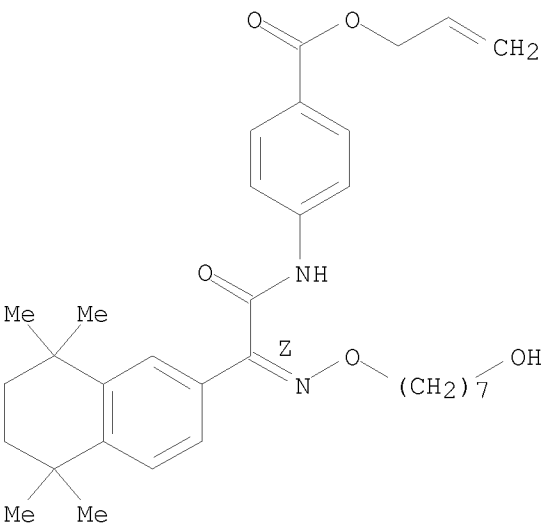
RN 182206-01-5 CAPLUS
CN Benzoic acid, 4-[[[[(8-hydroxyoctyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



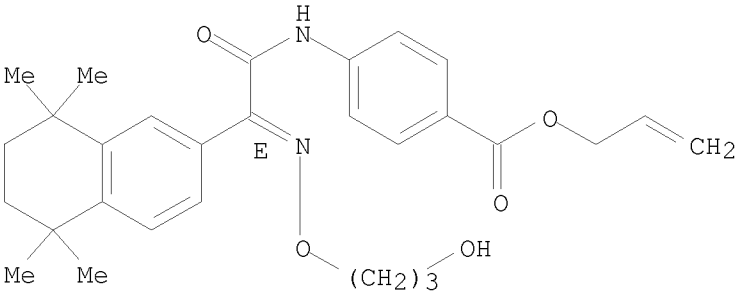
RN 182206-02-6 CAPLUS
CN Benzoic acid, 4-[[[[(7-hydroxyheptyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



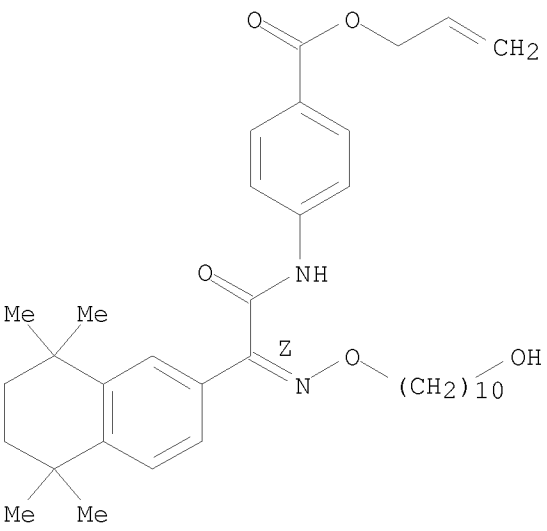
RN 182206-03-7 CAPLUS
CN Benzoic acid, 4-[[[(3-hydroxypropoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



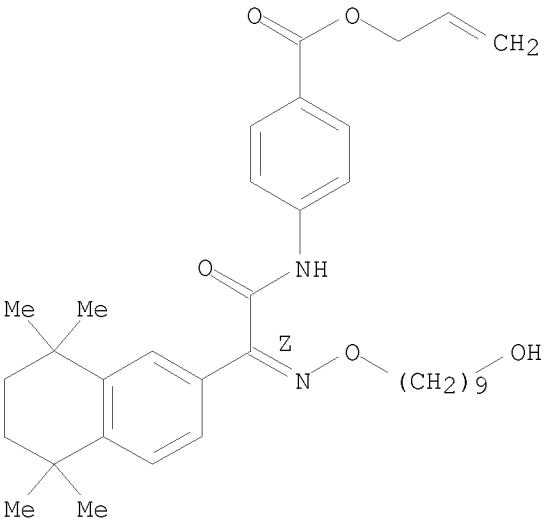
RN 182206-04-8 CAPLUS
CN Benzoic acid, 4-[[[[(10-hydroxydecyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



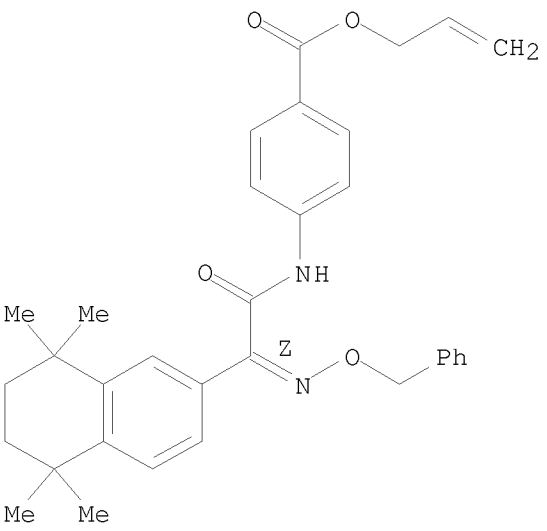
RN 182206-05-9 CAPLUS
CN Benzoic acid, 4-[[[[(9-hydroxynonyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



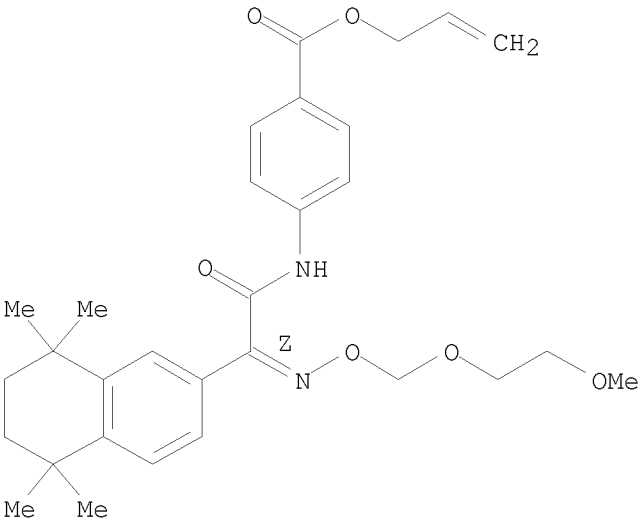
RN 182206-06-0 CAPLUS
CN Benzoic acid, 4-[[[(phenylmethoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



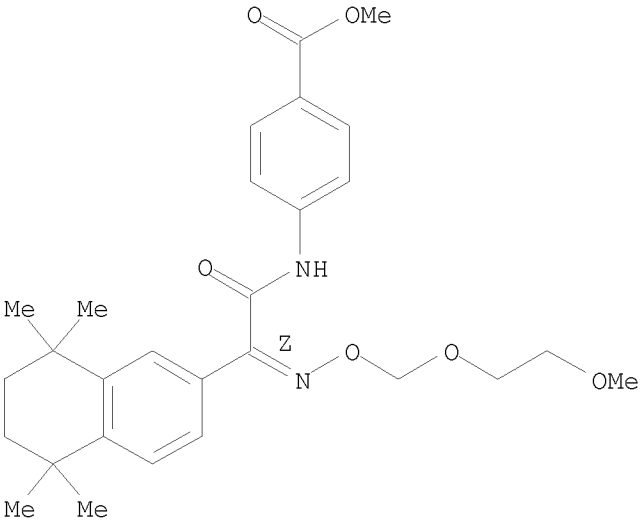
RN 182206-07-1 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-4,6,9-trioxa-3-azadec-2-en-1-yl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



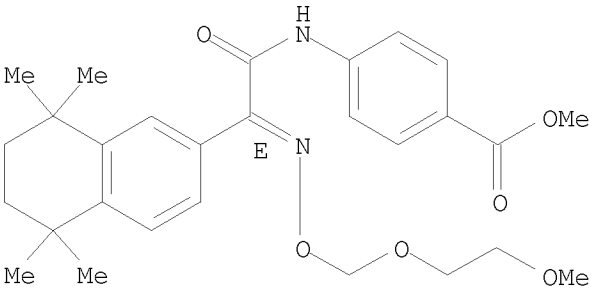
RN 182206-09-3 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-4,6,9-trioxa-3-azadec-2-en-1-yl]amino]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



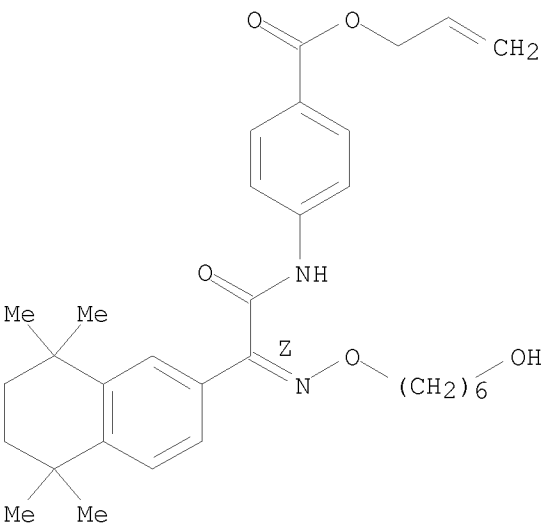
RN 182206-10-6 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-4,6,9-trioxa-3-azadec-2-en-1-yl]amino]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



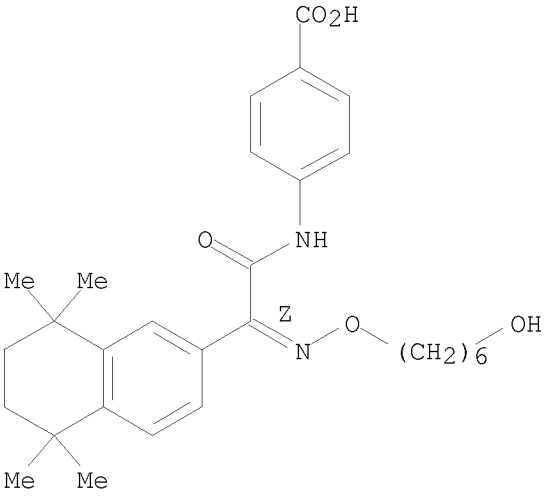
IT 182205-81-8P 182205-82-9P 182205-83-0P
182205-84-1P 182205-85-2P 182205-86-3P
182205-87-4P 182205-88-5P 182205-89-6P
182205-90-9P 182205-91-0P 182205-92-1P
182205-93-2P 182205-94-3P 182205-95-4P
182205-96-5P 182205-97-6P 182205-98-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biarom. amides and pharmaceutical and cosmetic compns. containing them)
RN 182205-81-8 CAPLUS
CN Benzoic acid, 4-[[[[(6-hydroxyhexyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



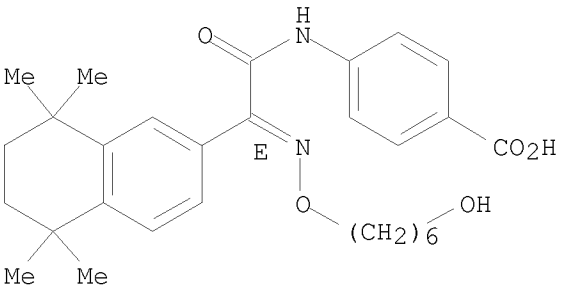
RN 182205-82-9 CAPLUS
CN Benzoic acid, 4-[[[[(6-hydroxyhexyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



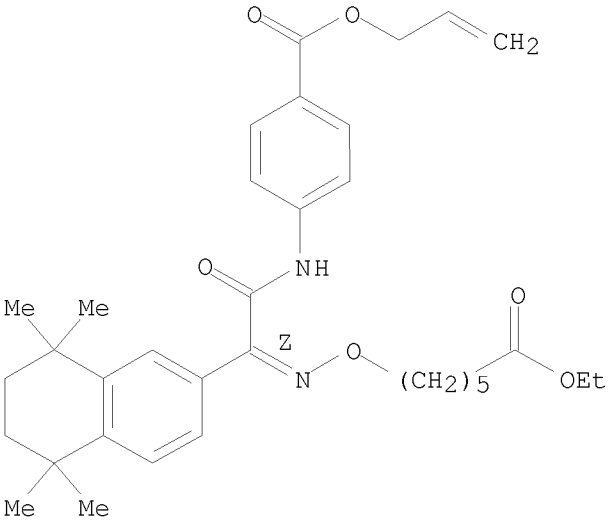
RN 182205-83-0 CAPLUS
CN Benzoic acid, 4-[[[[(6-hydroxyhexyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



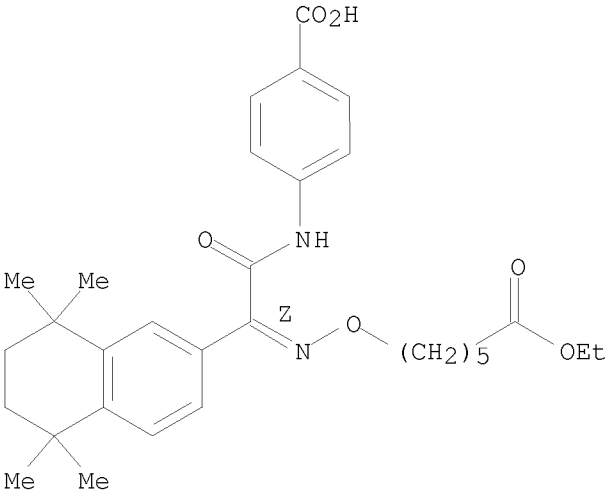
RN 182205-84-1 CAPLUS
CN Benzoic acid, 4-[[[[(6-ethoxy-6-oxohexyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



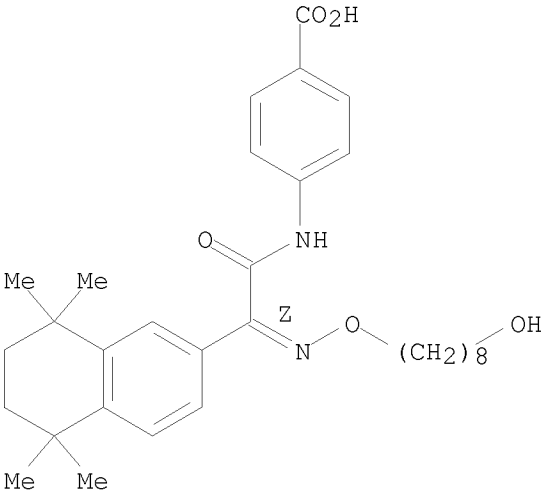
RN 182205-85-2 CAPLUS
CN Benzoic acid, 4-[[[[(6-ethoxy-6-oxohexyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



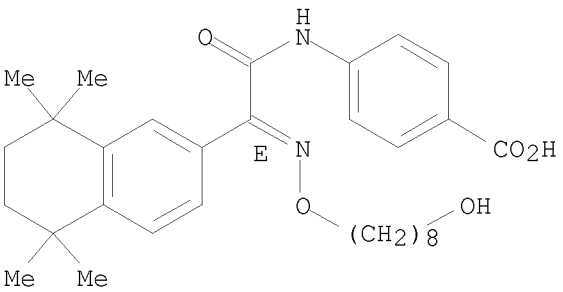
RN 182205-86-3 CAPLUS
CN Benzoic acid, 4-[[[[(8-hydroxyoctyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



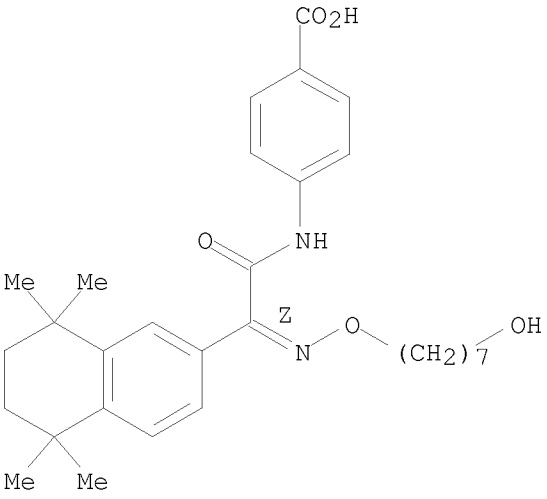
RN 182205-87-4 CAPLUS
CN Benzoic acid, 4-[[(2E)-[[(8-hydroxyoctyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



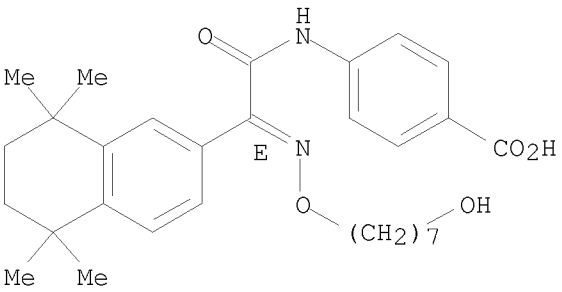
RN 182205-88-5 CAPLUS
CN Benzoic acid, 4-[[[[(7-hydroxyheptyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



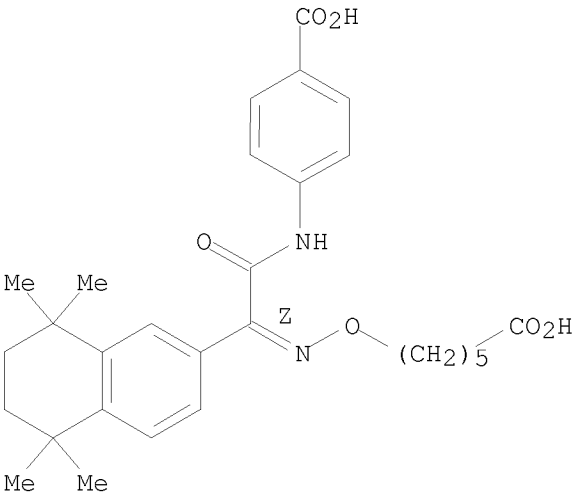
RN 182205-89-6 CAPLUS
CN Benzoic acid, 4-[[(2E)-[[(7-hydroxyheptyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



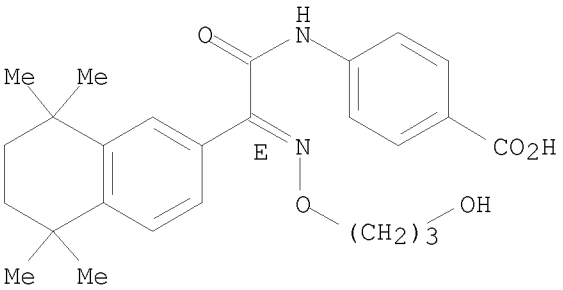
RN 182205-90-9 CAPLUS
CN Benzoic acid, 4-[[[[(5-carboxypentyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



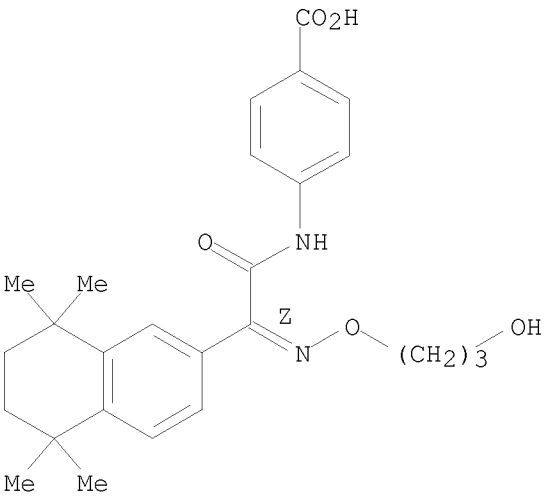
RN 182205-91-0 CAPLUS
CN Benzoic acid, 4-[[[(3-hydroxypropoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



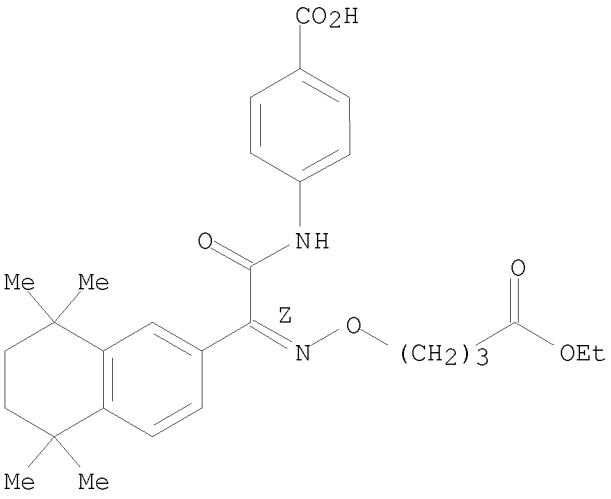
RN 182205-92-1 CAPLUS
CN Benzoic acid, 4-[[[(3-hydroxypropoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



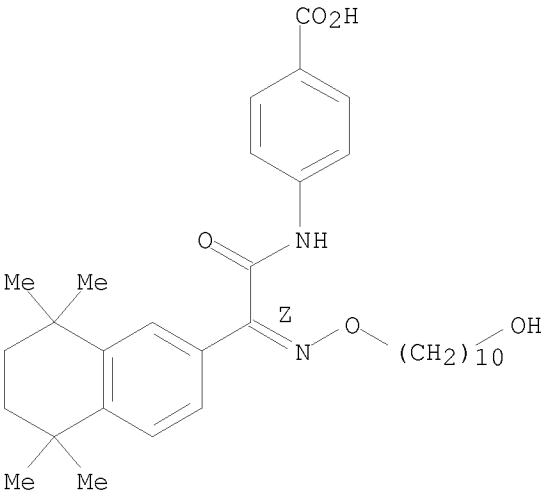
RN 182205-93-2 CAPLUS
CN Benzoic acid, 4-[[[(4-ethoxy-4-oxobutoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



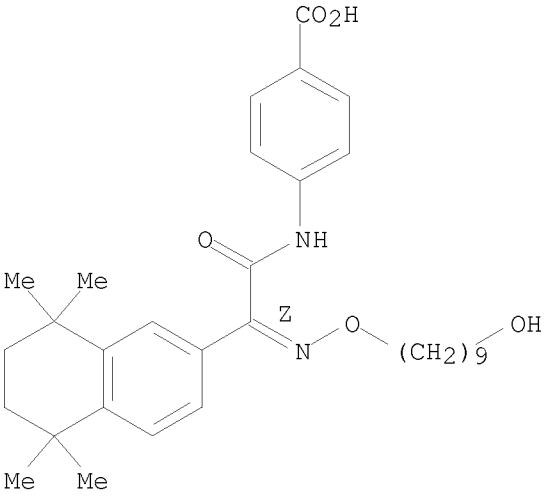
RN 182205-94-3 CAPLUS
CN Benzoic acid, 4-[[[[(10-hydroxydecyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



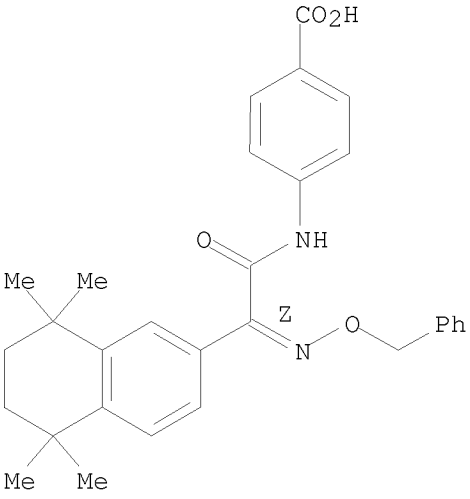
RN 182205-95-4 CAPLUS
CN Benzoic acid, 4-[[[[(9-hydroxynonyl)oxy]imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



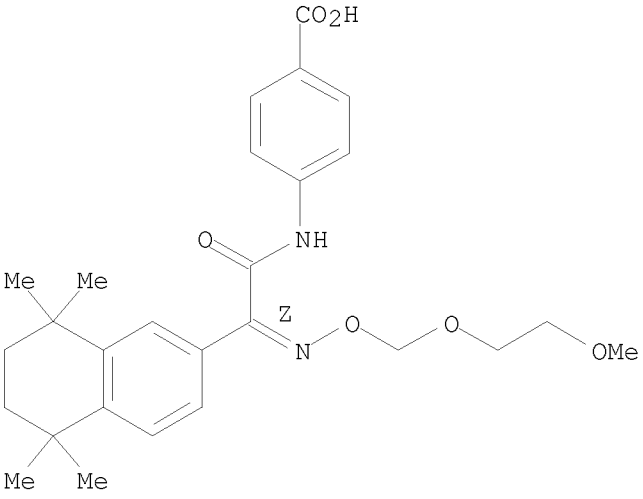
RN 182205-96-5 CAPLUS
CN Benzoic acid, 4-[[[(phenylmethoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



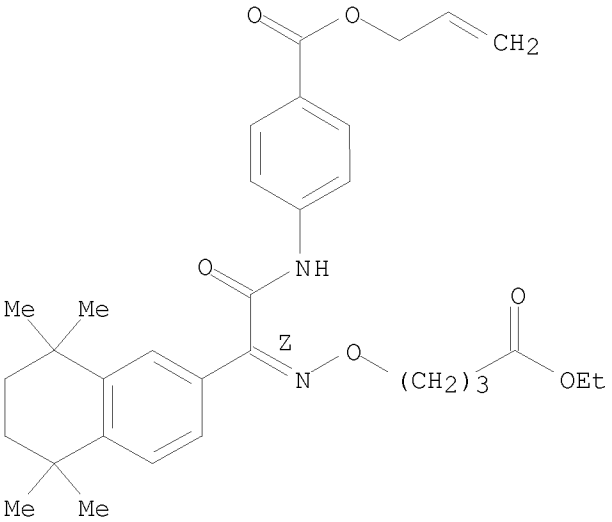
RN 182205-97-6 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-4,6,9-trioxa-3-azadec-2-en-1-yl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 182205-98-7 CAPLUS
CN Benzoic acid, 4-[[[(4-ethoxy-4-oxobutoxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

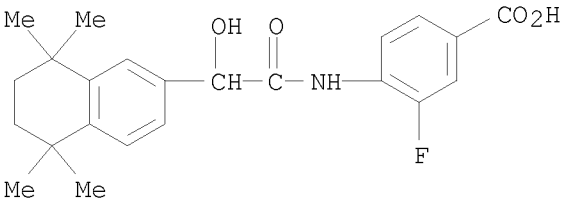


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L7 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB By using RAR type (α, β, or γ)-specific synthetic retinoids and a pan-retinoic X receptor (RXR)-specific ligand, the authors have investigated the contribution of RARs and RXRs in the activation of RA target genes and the differentiation of embryonal carcinoma cells. The authors demonstrate cell-type- and promoter context-dependent functional redundancies that differ between the three RAR types for mediating the induction of RARβ2 and Hoxa-1 in wild-type, RARγ-/- and RARα-/- F9 cells and in P19 cells. The extent of redundancy between RARs is further modulated by the synergistic activation of RXRs with a pan-RXR agonist. The authors also demonstrate that the expression of RARβ2 is auto-inducible in RARγ-/- but not in wild-type F9

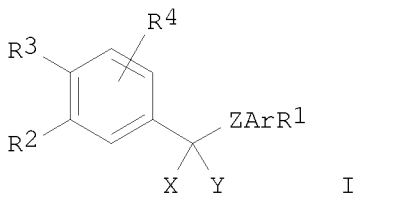
cells, indicating that the functional redundancies observed between RARs in gene disruption studies can be artifactually generated. Thus, even though all three RARs can functionally substitute each other for inducing the expression of RA target genes and cell differentiation, one RAR can cell-specifically override the activity of the other RARs. Interestingly, only RARγ can mediate the retinoic acid-induced differentiation of wild-type F9 cells, whereas the differentiation of P19 cells can be mediated by either RARα or RARγ.

ACCESSION NUMBER: 1996:367068 CAPLUS
DOCUMENT NUMBER: 125:104411
ORIGINAL REFERENCE NO.: 125:19259a,19262a
TITLE: Cell-type and promoter-context dependent retinoic acid receptor (RAR) redundancies for RARβ2 and Hoxa-1 activation in F9 and P19 cells can be artifactually generated by gene knockouts
AUTHOR(S): Taneja, Reshma; Roy, Bidyut; Plassat, Jean-Luc; Zusi, Chris F.; Ostrowski, Jacek; Reczek, Peter R.; Chambon, Pierre
CORPORATE SOURCE: Inst. Genet. Biol. Mol. Cell., Univ. Louis Pasteur, Illkirch, 67404, Fr.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1996), 93(12), 6197-6202
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 185629-22-5, BMS 961
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(retinoic acid receptor (RAR) redundancies for RARβ2 and Hoxa-1 activation by retinoids in embryonal carcinoma cells in relation to differentiation can be artifactually generated by gene knockouts)
RN 185629-22-5 CAPLUS
CN Benzoic acid, 3-fluoro-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

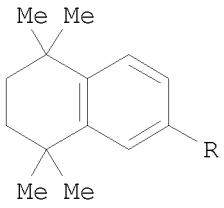


OS.CITING REF COUNT: 86 THERE ARE 86 CAPLUS RECORDS THAT CITE THIS RECORD (88 CITINGS)

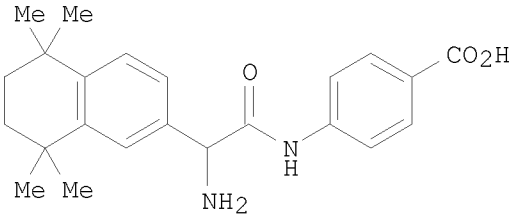
L7 ANSWER 38 OF 41 CAPLUS
GI



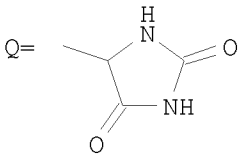
I



II



III



AB Thirty-five title compds. were prepared, claimed under formula I [Z = CONH, NHCO; Ar = (un)substituted bivalent bridge selected from (un)substituted benzene, pyridine, furan, thiophene, or 1-substituted pyrrole nuclei; R1 = H, Me, CH2OH, OH, formyl, SH, or their derivs.; X = H, alkyl; Y = NH2, CH2OH, formyl, carboxyalkyl, or their derivs.; R2, R3 = H, alkyl, OH, SH, or their derivs.; or R2R3 forms a carbo- or heterocyclic ring; R4 = H, halo, alkyl, OH or derivs.]. The compds. are said to show marked effects on cellular differentiation and proliferation, and are useful for treating a variety of conditions, particularly dermatol. disorders (no data). For example, naphthaldehyde derivative II (R = CHO) was treated with NaCN and (NH4)2CO3 to give 87% imidazolinedione derivative II (R = Q), which was hydrolyzed with NaOH to give 64% glycine derivative II [R = CH(NH2)CO2H]. This underwent a sequence of protection as the N-BOC derivative (82%), amidation of the acid function with benzyl 4-aminobenzoate using DCC and DMAP (64%), removal of the BOC group with Me3SiI (99%), and ester hydrolysis with NaOH in MeOH-THF (83%), to give title compound III. Syntheses of all 35 I, four oral formulations of I, and six topical formulations are described.

ACCESSION NUMBER: 1995:731782 CAPLUS
DOCUMENT NUMBER: 123:111685
ORIGINAL REFERENCE NO.: 123:19944h,19945a
TITLE: New bi-aromatic compounds derived from amides, pharmaceutical compositions and cosmetic compositions containing them, and their use.
INVENTOR(S): Bernardon, Jean-Michel
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma, (CIRD GALDERMA), Fr.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 661260	A1	19950705	EP 1994-402551	19941110
EP 661260	B1	19970312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2713637	A1	19950616	FR 1993-15066	19931215
FR 2713637	B1	19960105		
AT 150007	T	19970315	AT 1994-402551	19941110

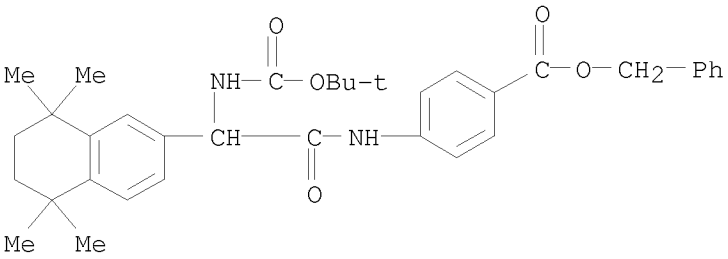
ES 2103116	T3	19970816	ES 1994-402551		19941110
AU 9478962	A	19950629	AU 1994-78962		19941122
AU 669456	B2	19960606			
CA 2137897	A1	19950616	CA 1994-2137897		19941212
JP 08027085	A	19960130	JP 1994-309324		19941213
US 5709867	A	19980120	US 1994-356680		19941215
US 6051243	A	20000418	US 1997-969762		19971113
PRIORITY APPLN. INFO.:			FR 1993-15066	A	19931215
			US 1994-356680	A3	19941215

OTHER SOURCE(S): MARPAT 123:111685

IT 166182-57-6P 166182-58-7P 166182-59-8P
166182-60-1P 166182-61-2P 166182-65-6P
166182-71-4P 166182-72-5P 166182-80-5P
166182-81-6P 166182-82-7P 166182-83-8P
166182-84-9P 166182-85-0P 166182-86-1P
166182-87-2P 166182-88-3P 166182-96-3P
166182-97-4P 166182-99-6P 166183-00-2P
166183-01-3P 166183-03-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of new bi-aromatic amide derivs. as pharmaceuticals
and cosmetics)

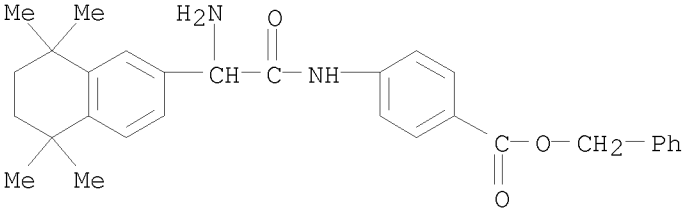
RN 166182-57-6 CAPLUS

CN Benzoic acid, 4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, phenylmethyl ester (CA INDEX NAME)



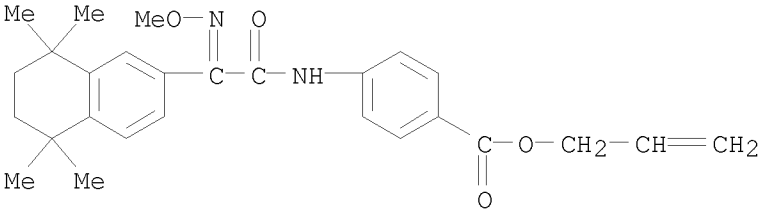
RN 166182-58-7 CAPLUS

CN Benzoic acid, 4-[[2-amino-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, phenylmethyl ester (CA INDEX NAME)

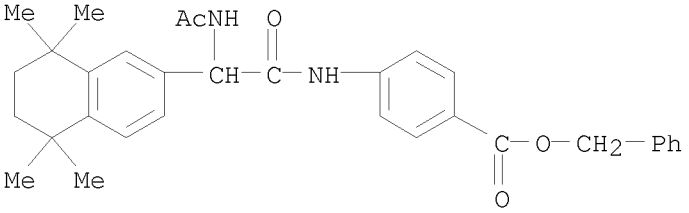


RN 166182-59-8 CAPLUS

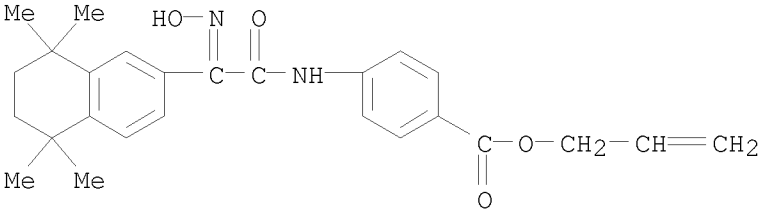
CN Benzoic acid, 4-[[2-(methoxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



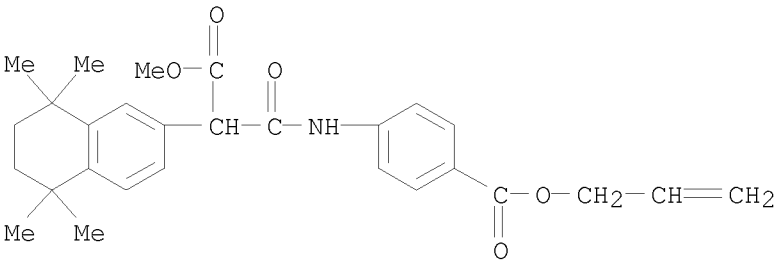
RN 166182-60-1 CAPLUS
CN Benzoic acid, 4-[[2-(acetylamino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, phenylmethyl ester (CA INDEX NAME)



RN 166182-61-2 CAPLUS
CN Benzoic acid, 4-[[2-(hydroxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

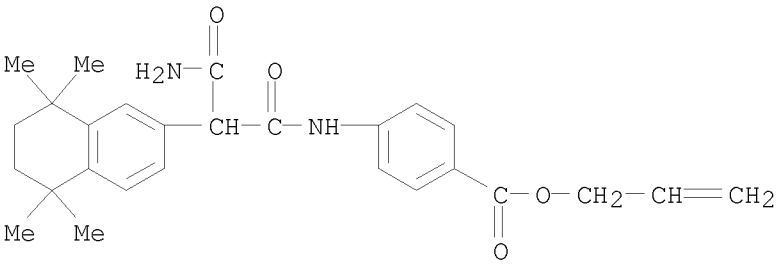


RN 166182-65-6 CAPLUS
CN 2-Naphthaleneacetic acid, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-α-[[[4-[(2-propen-1-yloxy)carbonyl]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)

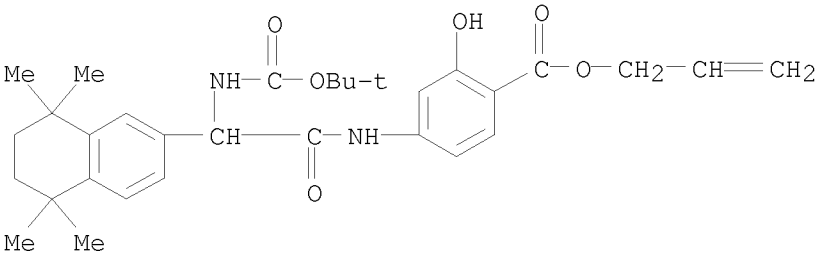


RN 166182-71-4 CAPLUS
CN Benzoic acid, 4-[[3-amino-1,3-dioxo-2-(5,6,7,8-tetrahydro-5,5,8,8-

tetramethyl-2-naphthalenyl)propyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

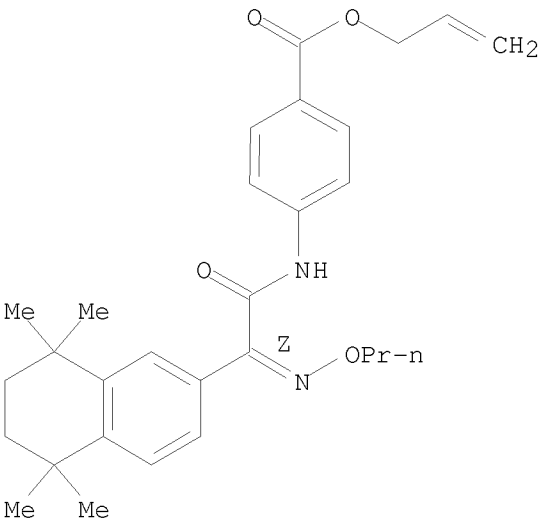


RN 166182-72-5 CAPLUS
CN Benzoic acid, 4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-2-hydroxy-, 2-propen-1-yl ester (CA INDEX NAME)



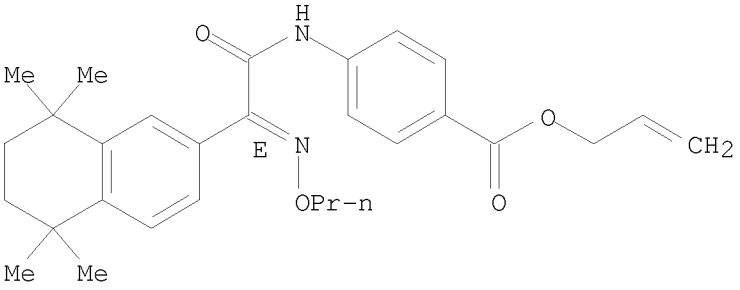
RN 166182-80-5 CAPLUS
CN Benzoic acid, 4-[[(propoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



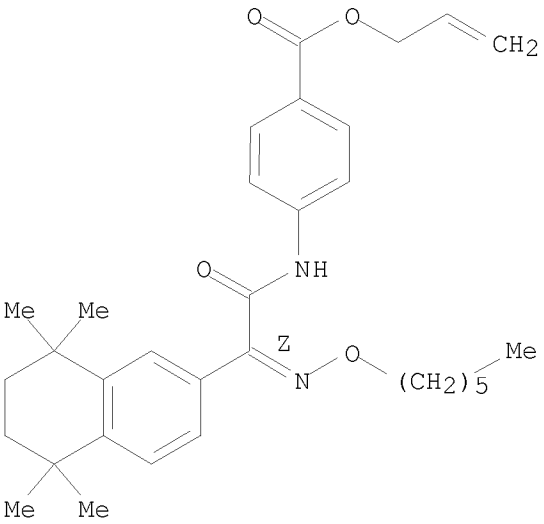
RN 166182-81-6 CAPLUS
CN Benzoic acid, 4-[[(propoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



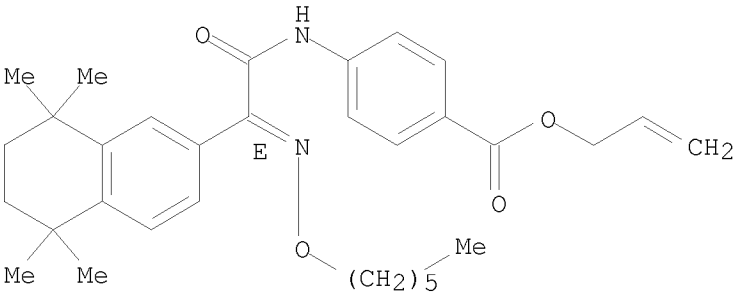
RN 166182-82-7 CAPLUS
CN Benzoic acid, 4-[[[(hexyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 166182-83-8 CAPLUS
CN Benzoic acid, 4-[[[(hexyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (E)- (9CI) (CA INDEX NAME)

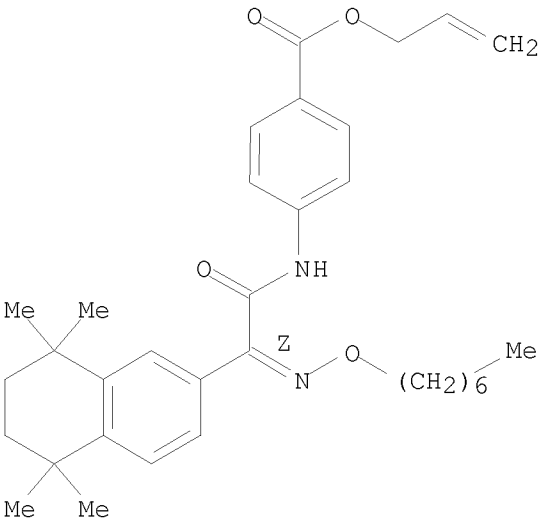
Double bond geometry as shown.



RN 166182-84-9 CAPLUS
CN Benzoic acid, 4-[[[(heptyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-

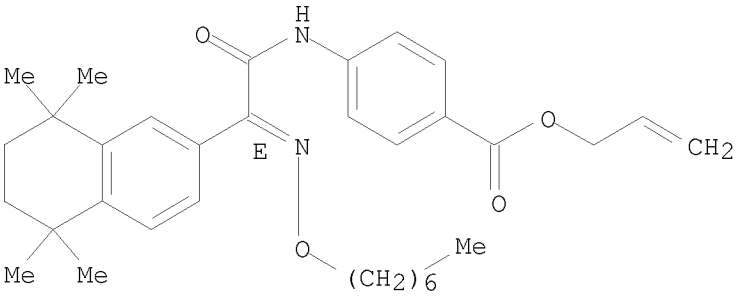
tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



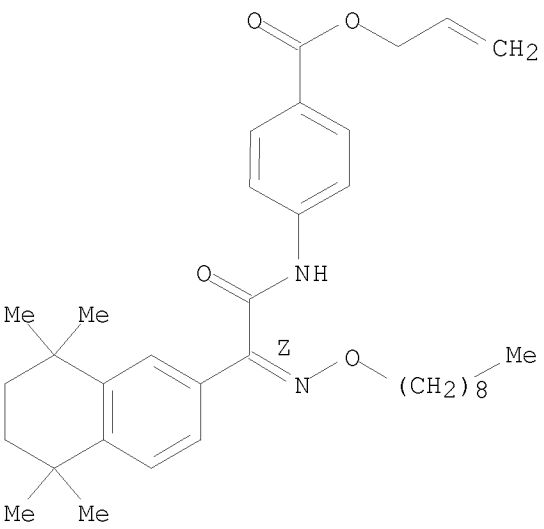
RN 166182-85-0 CAPLUS
CN Benzoic acid, 4-[[[(heptyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



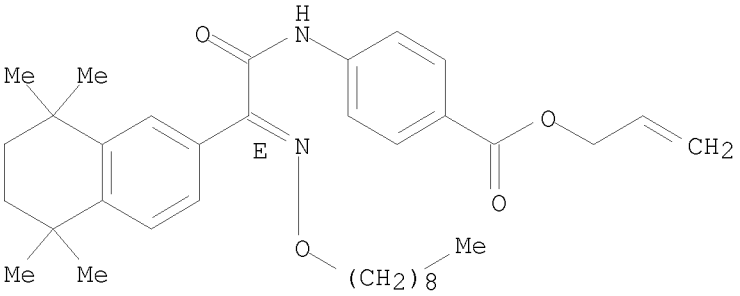
RN 166182-86-1 CAPLUS
CN Benzoic acid, 4-[[[(nonyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



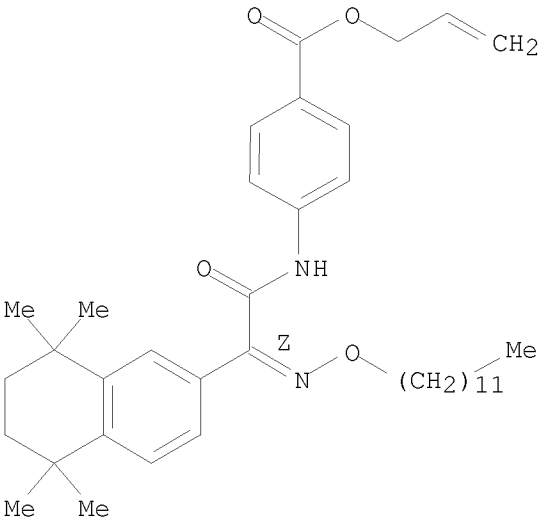
RN 166182-87-2 CAPLUS
CN Benzoic acid, 4-[[[(nonyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

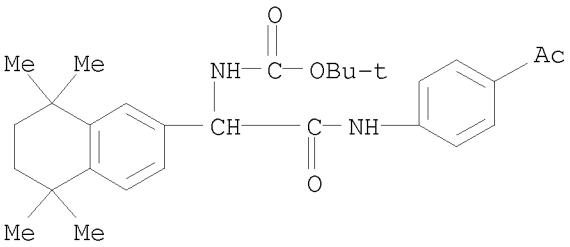


RN 166182-88-3 CAPLUS
CN Benzoic acid, 4-[[[(dodecyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propenyl ester, (Z)- (9CI) (CA INDEX NAME)

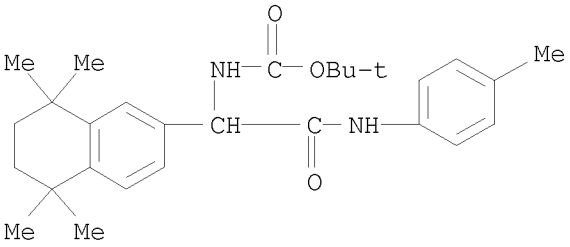
Double bond geometry as shown.



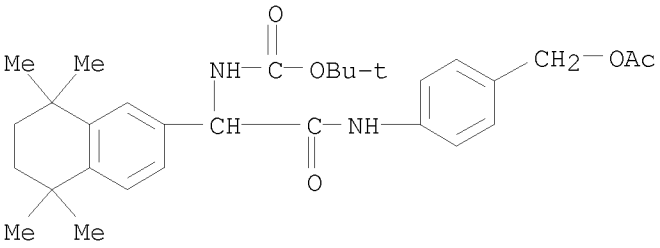
RN 166182-96-3 CAPLUS
CN Carbamic acid, [2-[(4-acetylphenyl)amino]-2-oxo-1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



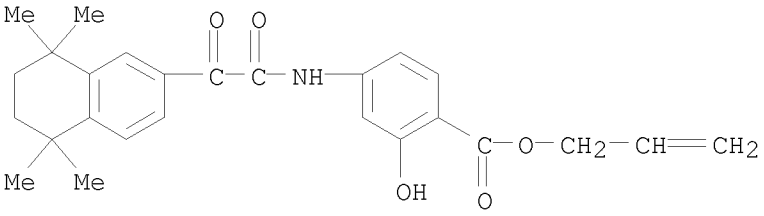
RN 166182-97-4 CAPLUS
CN Carbamic acid, [2-[(4-methylphenyl)amino]-2-oxo-1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



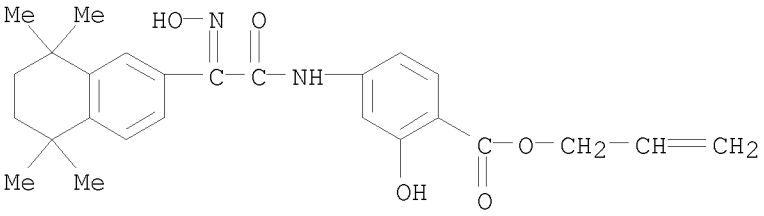
RN 166182-99-6 CAPLUS
CN Carbamic acid, [2-[[4-[(acetyloxy)methyl]phenyl]amino]-2-oxo-1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



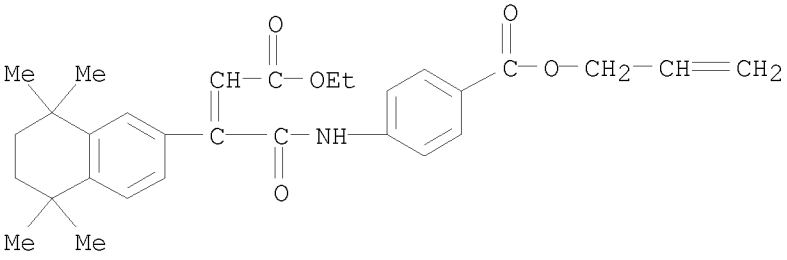
RN 166183-00-2 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



RN 166183-01-3 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[2-(hydroxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



RN 166183-03-5 CAPLUS
CN Benzoic acid, 4-[[4-ethoxy-1,4-dioxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-2-buten-1-yl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

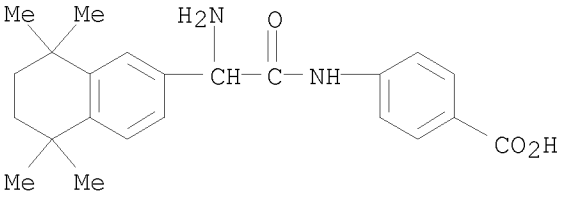


IT 166182-19-0P 166182-27-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of new bi-aromatic amide derivs. as pharmaceuticals and cosmetics)

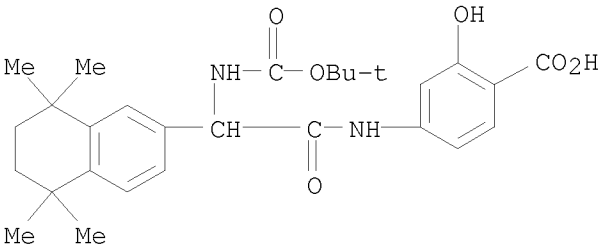
RN 166182-19-0 CAPLUS

CN Benzoic acid, 4-[[2-amino-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 166182-27-0 CAPLUS

CN Benzoic acid, 4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-2-hydroxy- (CA INDEX NAME)

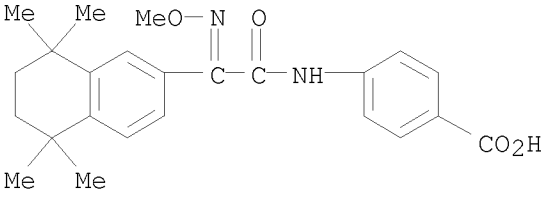


IT 166182-20-3P 166182-21-4P 166182-22-5P
166182-23-6P 166182-24-7P 166182-25-8P
166182-26-9P 166182-28-1P 166182-33-8P
166182-34-9P 166182-35-0P 166182-36-1P
166182-37-2P 166182-38-3P 166182-39-4P
166182-40-7P 166182-41-8P 166182-46-3P
166182-47-4P 166182-49-6P 166182-50-9P
166182-51-0P 166182-52-1P 166182-53-2P

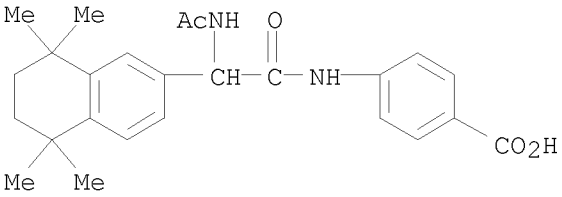
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new bi-aromatic amide derivs. as pharmaceuticals and cosmetics)

RN 166182-20-3 CAPLUS

CN Benzoic acid, 4-[[2-(methoxyimino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)

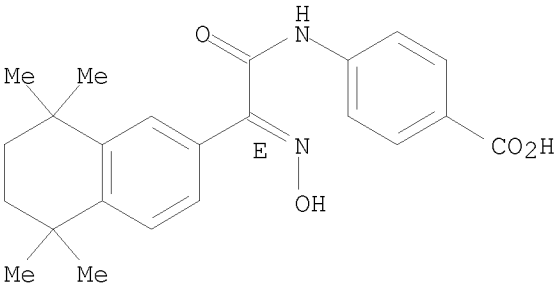


RN 166182-21-4 CAPLUS
CN Benzoic acid, 4-[[2-(acetylamino)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



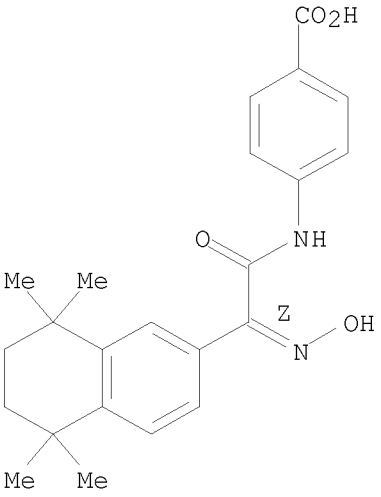
RN 166182-22-5 CAPLUS
CN Benzoic acid, 4-[[(hydroxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

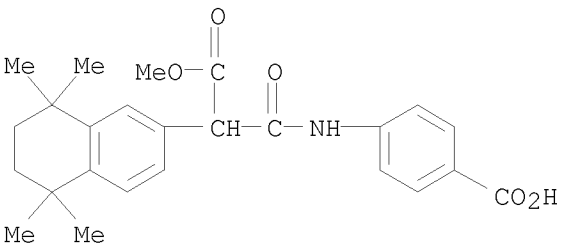


RN 166182-23-6 CAPLUS
CN Benzoic acid, 4-[[(2Z)-(hydroxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

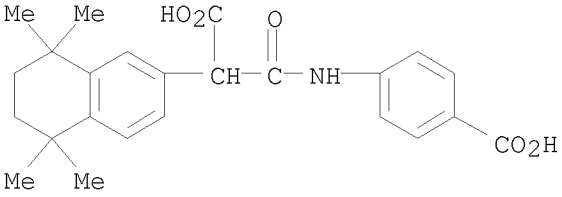
Double bond geometry as shown.



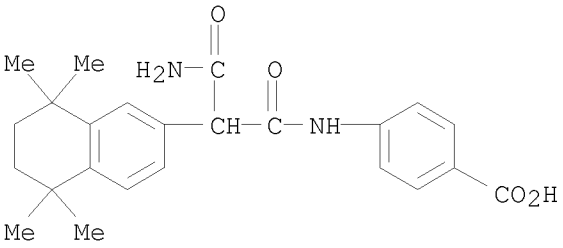
RN 166182-24-7 CAPLUS
CN 2-Naphthaleneacetic acid, α-[[(4-carboxyphenyl)amino]carbonyl]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-, 2-methyl ester (CA INDEX NAME)



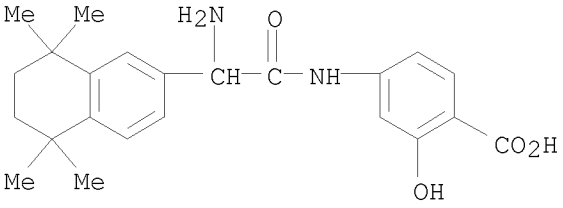
RN 166182-25-8 CAPLUS
CN 2-Naphthaleneacetic acid, α-[[(4-carboxyphenyl)amino]carbonyl]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 166182-26-9 CAPLUS
CN Benzoic acid, 4-[[3-amino-1,3-dioxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)propyl]amino]- (CA INDEX NAME)

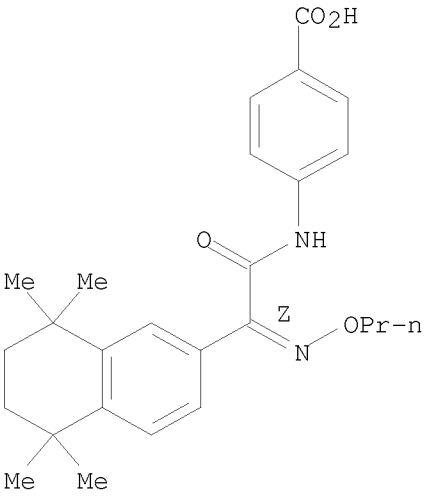


RN 166182-28-1 CAPLUS
CN Benzoic acid, 4-[[2-amino-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-2-hydroxy- (CA INDEX NAME)



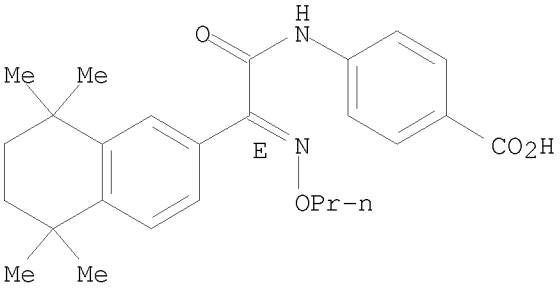
RN 166182-33-8 CAPLUS
CN Benzoic acid, 4-[[(propoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



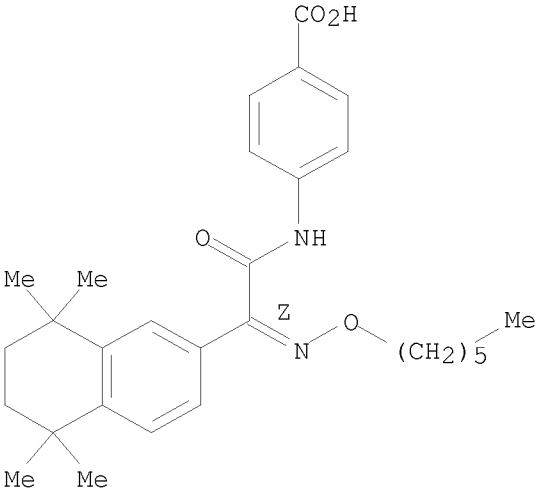
RN 166182-34-9 CAPLUS
CN Benzoic acid, 4-[[(propoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



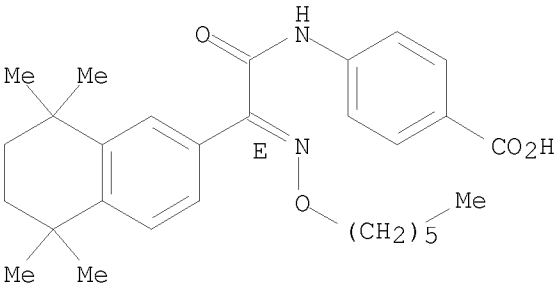
RN 166182-35-0 CAPLUS
CN Benzoic acid, 4-[[[(hexyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



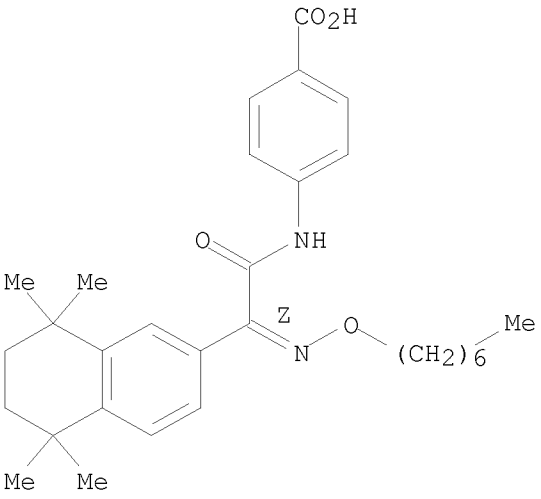
RN 166182-36-1 CAPLUS
CN Benzoic acid, 4-[[[(hexyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



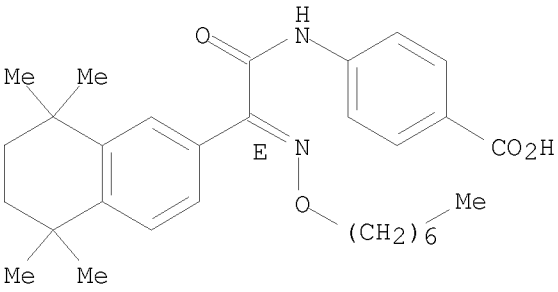
RN 166182-37-2 CAPLUS
CN Benzoic acid, 4-[[[(heptyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



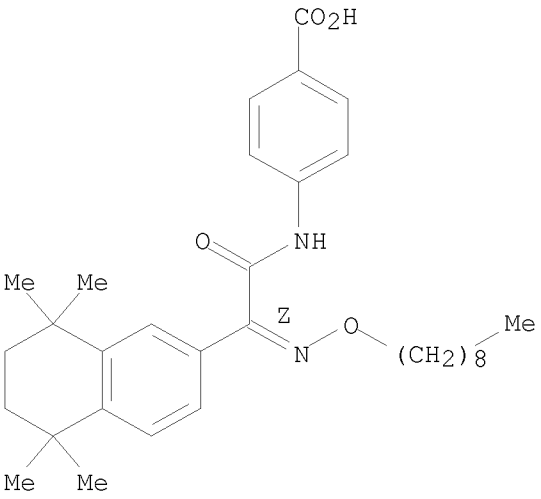
RN 166182-38-3 CAPLUS
CN Benzoic acid, 4-[[[(heptyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



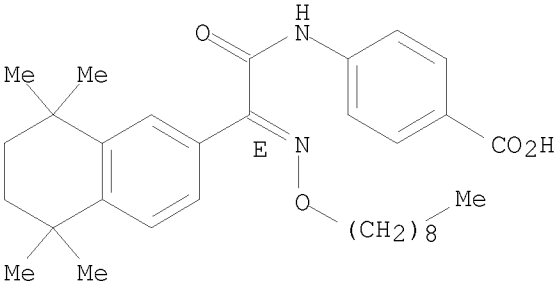
RN 166182-39-4 CAPLUS
CN Benzoic acid, 4-[[[(nonyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



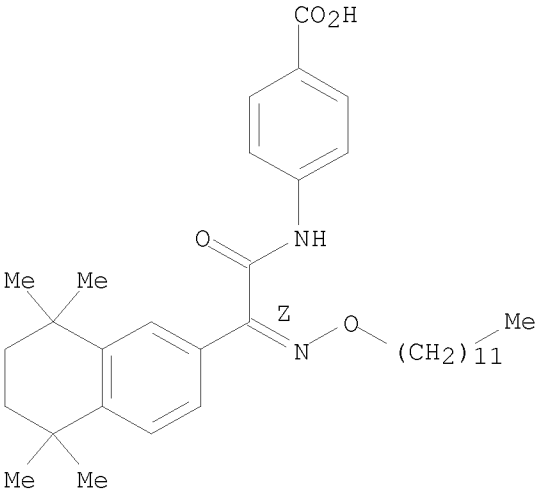
RN 166182-40-7 CAPLUS
CN Benzoic acid, 4-[[[(nonyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

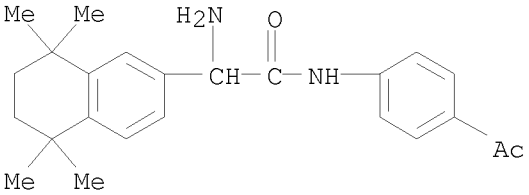


RN 166182-41-8 CAPLUS
CN Benzoic acid, 4-[[[(dodecyloxy)imino](5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

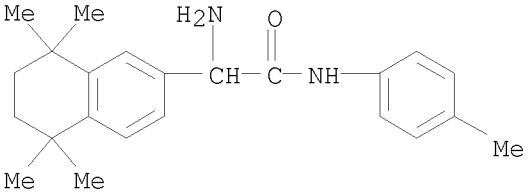
Double bond geometry as shown.



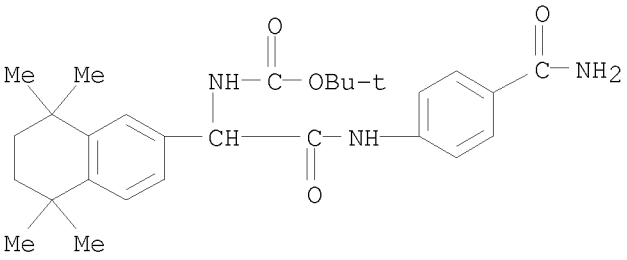
RN 166182-46-3 CAPLUS
CN 2-Naphthaleneacetamide, N-(4-acetylphenyl)-α-amino-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)



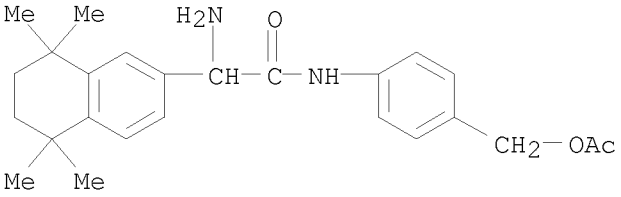
RN 166182-47-4 CAPLUS
CN 2-Naphthaleneacetamide, α-amino-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-N-(4-methylphenyl)- (CA INDEX NAME)



RN 166182-49-6 CAPLUS
CN Carbamic acid, [2-[[4-(aminocarbonyl)phenyl]amino]-2-oxo-1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

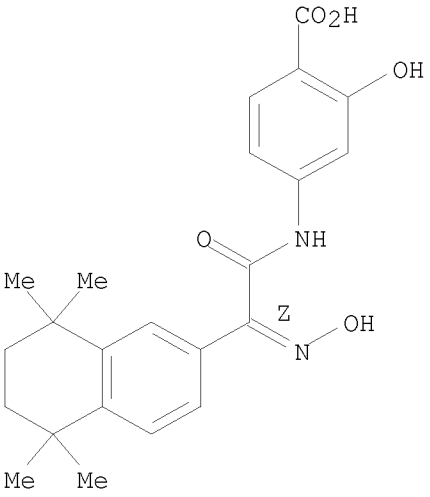


RN 166182-50-9 CAPLUS
CN 2-Naphthaleneacetamide, N-[4-[(acetyloxy)methyl]phenyl]-α-amino-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)

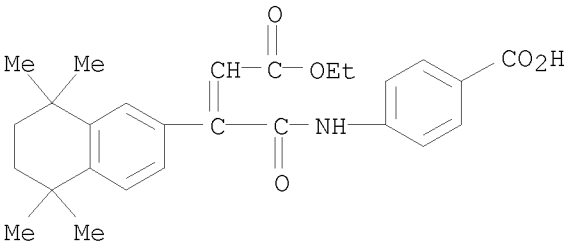


RN 166182-51-0 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[(hydroxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, (Z)- (9CI) (CA INDEX NAME)

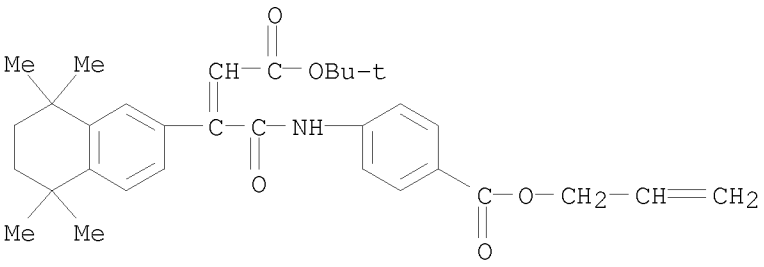
Double bond geometry as shown.



RN 166182-52-1 CAPLUS
CN Benzoic acid, 4-[[4-ethoxy-1,4-dioxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-2-buten-1-yl]amino]- (CA INDEX NAME)

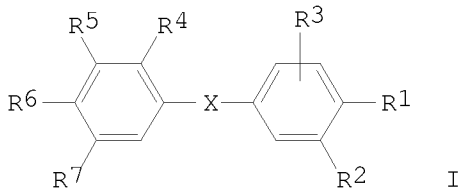


RN 166182-53-2 CAPLUS
CN Benzoic acid, 4-[[4-(1,1-dimethylethoxy)-1,4-dioxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-2-buten-1-yl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L7 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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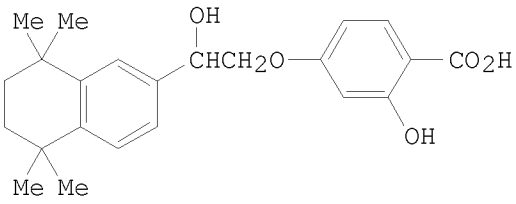


II

AB Title compds. [I; R1 = Me, CH2OH, CHO, CO2H, alkoxycarbonyl, etc.; R2, R3 = OH, alkoxy, alkansyloxy, etc.; R3 may addnl. = H; R4 = H, OH, alkyl, alkoxy, etc.; R5, R7 = H, OH, alkoxy, substituted alkyl, etc.; R6 = H, OH, (cyclo)alkyl, alkoxy, etc.; R5R6, R6R7 = atoms to complete a ring; X = (substituted)-CH2CH2W, -CH2WCH2, -(CH2)3, -CH:CHCH2, etc.; W = O, NH, SO0-2, etc.] were prepared as agents affecting cell differentiation and proliferation (no data). Thus, 2,4-(HO)2C6H4CO2CH2Ph was condensed with 2-bromoacetyl-5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene to give, after reduction, title compound II.

ACCESSION NUMBER: 1993:191364 CAPLUS
DOCUMENT NUMBER: 118:191364
ORIGINAL REFERENCE NO.: 118:32857a,32860a
TITLE: Preparation and formulation of 4-(2-aryl-2-hydroxyethoxy)salicylates and analogs as drugs
INVENTOR(S): Bernardon, Jean Michel
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma, (CIRD galderma), Fr.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 514264	A1	19921119	EP 1992-401306	19920513
EP 514264	B1	19951115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE				
FR 2676439	A1	19921120	FR 1991-5747	19910513
FR 2676439	B1	19941028		
CA 2103044	A1	19921114	CA 1992-2103044	19920513
CA 2103044	C	20010417		
WO 9220643	A1	19921126	WO 1992-FR414	19920513
W: AU, CA, JP, US				
AU 9217688	A	19921230	AU 1992-17688	19920513
AU 656777	B2	19950216		
ZA 9203470	A	19930428	ZA 1992-3470	19920513
JP 06511475	T	19941222	JP 1992-509849	19920513
JP 3244271	B2	20020107		
AT 130291	T	19951215	AT 1992-401306	19920513
ES 2080457	T3	19960201	ES 1992-401306	19920513
US 5476860	A	19951219	US 1993-140171	19931208

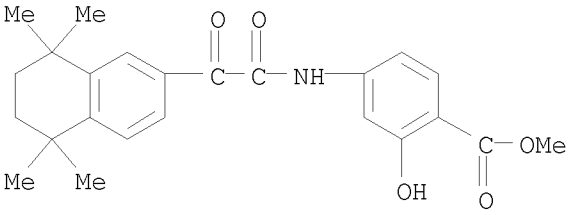
US 5654331	A	19970805	US 1995-450078	19950525
PRIORITY APPLN. INFO.:			FR 1991-5747	A 19910513
			WO 1992-FR414	A 19920513
			US 1993-140171	A3 19930503

OTHER SOURCE(S): MARPAT 118:191364

IT 142651-09-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of dermatol., ophthalmic, and respiratory drug)

RN 142651-09-0 CAPLUS

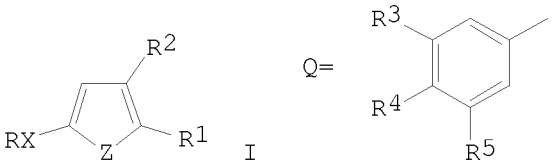
CN Benzoic acid, 2-hydroxy-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L7 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN

GI



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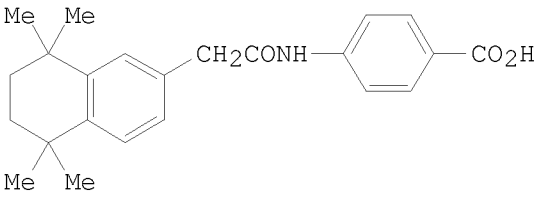


II

AB Title compds. [I; R = aryl group Q; R1 = H, OH, Me, CH2OH, CO2H, alkanoyl, etc.; R2 = H, OH, alkyl, alkoxy, F, Cl, CF3, CH2OH, etc.; R3, R5 = H, OH, (cyclo) alkyl, alkoxy, etc.; R4 = groups cited for R3, F, Cl, alkylthio, etc.; R3R4 = CMe2(CH2)nCMe2; X = CH2CONH, CO2CH2, O2CO, O2CNH, COCH2O, etc.; Z = O, S, CH:CH, N:CH, etc.; n = 1, 2] were prepared as ophthalmic, dermatol., and respiratory agents, etc. (no data). Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene was acylated by ClCOCO2Et and the saponified product subjected to Wolff-Kishner reduction to give, after SOCl2 treatment, the naphthylacetyl chloride which was condensed with 4-H2NC6H4CO2CH2CH:CH2 (preparation given) to give, after (Ph3P)4Pd/morpholine treatment, title compound II.

ACCESSION NUMBER: 1992:511282 CAPLUS

DOCUMENT NUMBER: 117:111282

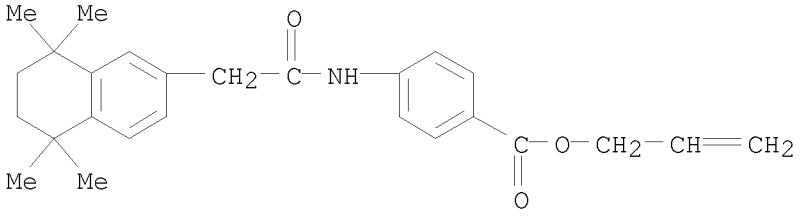
ORIGINAL REFERENCE NO.: 117:19403a,19406a
TITLE: Preparation and formulation of (5,6,7,8-tetrahydronaphthylacetamido)benzoates and analogs as drugs
INVENTOR(S): Bernardon, Jean Michel; Pilgrim, William Robert
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma, Fr.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9206948	A1	19920430	WO 1991-FR793	19911011
W: AU, CA, FI, HU, JP, KP, KR, NO, PL, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9188720	A	19920520	AU 1991-88720	19911011
AU 646314	B2	19940217		
ZA 9108126	A	19920624	ZA 1991-8126	19911011
EP 552282	A1	19930728	EP 1991-919625	19911011
EP 552282	B1	19940824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
JP 06502408	T	19940317	JP 1991-518163	19911011
JP 3197011	B2	20010813		
ES 2060413	T3	19941116	ES 1991-919625	19911011
CA 2093789	C	20020101	CA 1991-2093789	19911011
US 5387594	A	19950207	US 1992-859522	19920804
US 5439925	A	19950808	US 1993-167145	19931216
US 5567721	A	19961022	US 1995-430622	19950428
US 5597839	A	19970128	US 1995-430615	19950428
US 5668156	A	19970916	US 1995-430613	19950428
US 5688817	A	19971118	US 1995-430612	19950428

PRIORITY APPLN. INFO.:

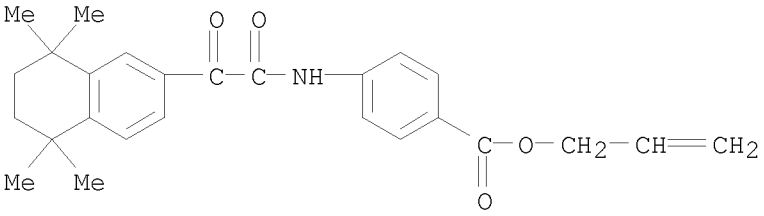
LU 1990-87821	A	19901012
WO 1991-FR793	A	19911011
US 1992-859522	A3	19920804
US 1993-167145	A3	19931216

OTHER SOURCE(S): MARPAT 117:111282
IT 142650-48-4P 142650-89-3P 142650-90-6P
142651-02-3P 142651-07-8P 142651-09-0P
142651-10-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of drugs)
RN 142650-48-4 CAPLUS
CN Benzoic acid, 4-[[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)

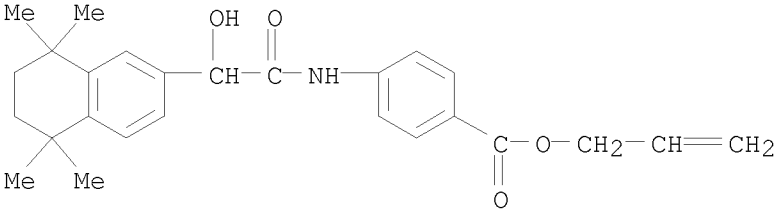


RN 142650-89-3 CAPLUS

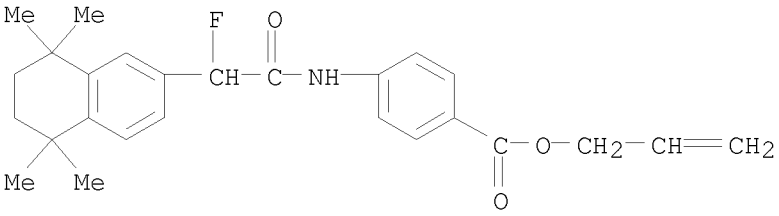
CN Benzoic acid, 4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



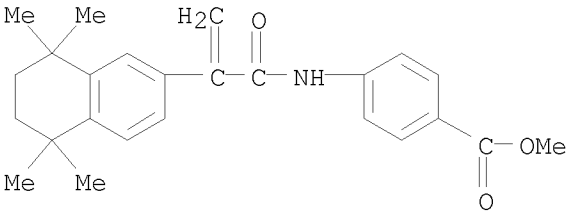
RN 142650-90-6 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



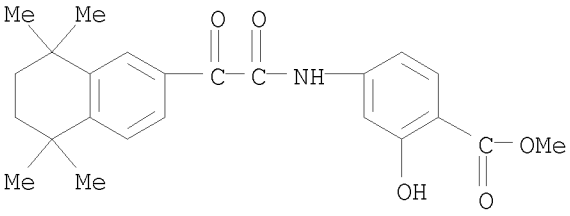
RN 142651-02-3 CAPLUS
CN Benzoic acid, 4-[[2-fluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, 2-propen-1-yl ester (CA INDEX NAME)



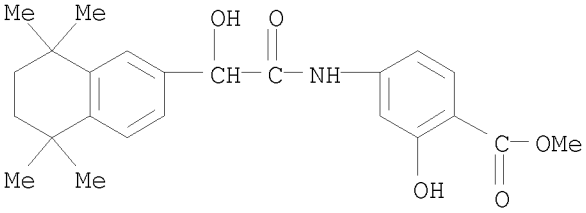
RN 142651-07-8 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-2-propen-1-yl]amino]-, methyl ester (CA INDEX NAME)



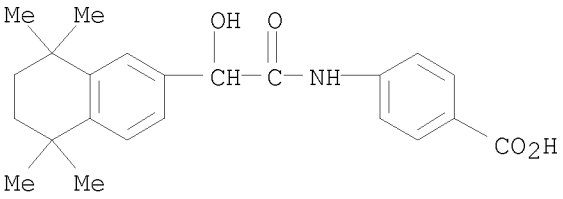
RN 142651-09-0 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)



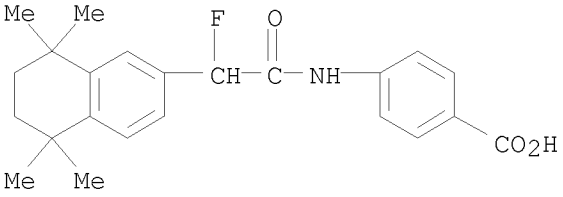
RN 142651-10-3 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]-, methyl ester (CA INDEX NAME)



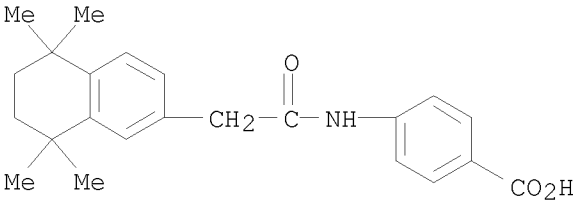
IT 139611-80-6P 139611-81-7P 142650-22-4P
142650-36-0P 142650-39-3P 142650-42-8P
142651-08-9P 142651-11-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as drug)
RN 139611-80-6 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



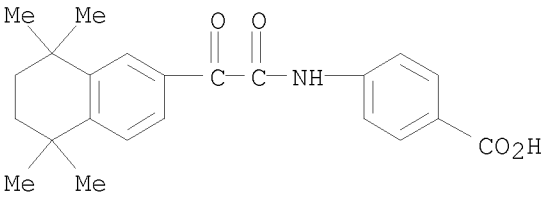
RN 139611-81-7 CAPLUS
CN Benzoic acid, 4-[[2-fluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



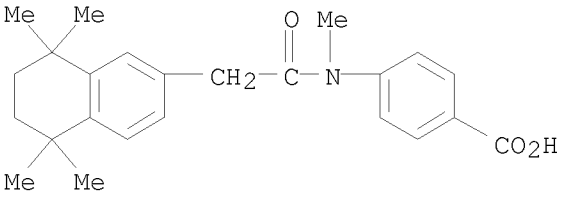
RN 142650-22-4 CAPLUS
CN Benzoic acid, 4-[[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



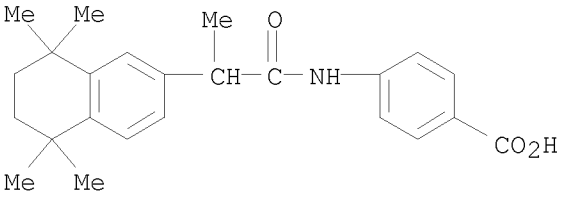
RN 142650-36-0 CAPLUS
CN Benzoic acid, 4-[[2-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



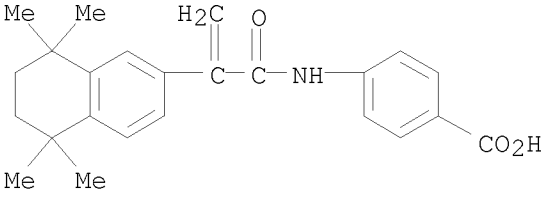
RN 142650-39-3 CAPLUS
CN Benzoic acid, 4-[methyl[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



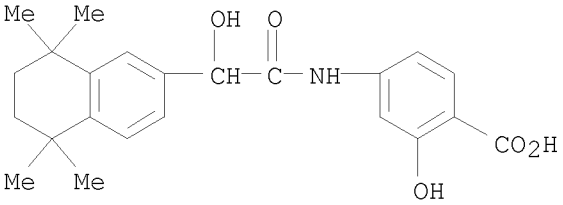
RN 142650-42-8 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)propyl]amino]- (CA INDEX NAME)



RN 142651-08-9 CAPLUS
CN Benzoic acid, 4-[[1-oxo-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-2-propen-1-yl]amino]- (CA INDEX NAME)



RN 142651-11-4 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

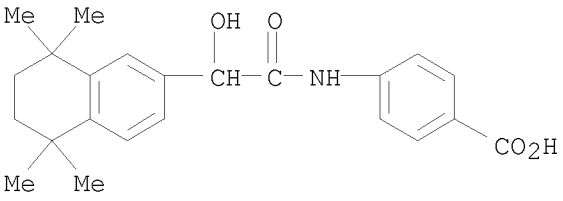
L7 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2009 ACS on STN
AB A topical preparation contains a mixture of retinoids and a sterol to inhibit the biosynthesis of cholesterol. The mixture has a synergistic effect in the treatment of epidermal keratinization disorders, epidermal or epithelial proliferation and sebaceous disorders. A gel contained polyethylene 59.58, EtOH 30, isoPrOH 10, BHT 0.05, retinoic acid 0.01, and 25-hydroxycholesterol 0.05 g.
ACCESSION NUMBER: 1992:158918 CAPLUS
DOCUMENT NUMBER: 116:158918
ORIGINAL REFERENCE NO.: 116:26749a,26752a
TITLE: Topical compositions containing a mixture of a retinoid and a sterol
INVENTOR(S): Reichert, Uwe; Schmidt, Rainer; Shroot, Braham
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques (CIRD), Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 465343	A1	19920108	EP 1991-401805	19910702
EP 465343	B1	19940615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
FR 2663850	A1	19920103	FR 1990-8344	19900702
FR 2663850	B1	19940114		
WO 9200076	A1	19920109	WO 1991-FR526	19910702
W: AU, CA, JP, US				
AU 9181836	A	19920123	AU 1991-81836	19910702

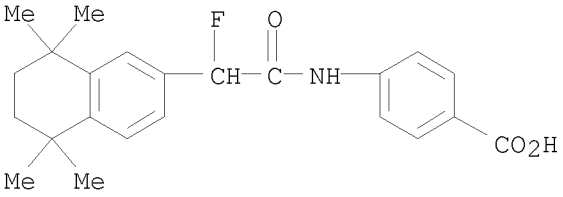
JP 06501458	T	19940217	JP 1991-513004		19910702
JP 3224228	B2	20011029			
ES 2055972	T3	19940901	ES 1991-401805		19910702
CA 2086429	C	19990921	CA 1991-2086429		19910702
US 5556844	A	19960917	US 1993-962596		19930302
US 5587367	A	19961224	US 1995-447776		19950523
PRIORITY APPLN. INFO.:			FR 1990-8344	A	19900702
			WO 1991-FR526	A	19910702
			US 1993-962596	A3	19930302

IT 139611-80-6D, mixts. with sterols 139611-81-7D, mixts. with sterols
RL: BIOL (Biological study)
(topical prepns. containing, for skin disease treatment)

RN 139611-80-6 CAPLUS
CN Benzoic acid, 4-[[2-hydroxy-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



RN 139611-81-7 CAPLUS
CN Benzoic acid, 4-[[2-fluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

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FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009
L1 1 S US20070129368/PN

FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009
L2 1 S WO2005058803/PN
L3 STRUCTURE UPLOADED
S L3

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     FILE 'REGISTRY' ENTERED AT 18:15:03 ON 20 OCT 2009
L4             7 S L3

     FILE 'CAPLUS' ENTERED AT 18:15:04 ON 20 OCT 2009
L5             5 S L4
                 S L3

     FILE 'REGISTRY' ENTERED AT 18:15:09 ON 20 OCT 2009
L6           126 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 18:15:10 ON 20 OCT 2009
L7            41 S L6 FULL

     FILE 'REGISTRY' ENTERED AT 18:15:39 ON 20 OCT 2009
L8             0 S L7 AND PY<=2004

     FILE 'CAPLUS' ENTERED AT 18:17:41 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:18:01 ON 20 OCT 2009

=> s l7 and hdac
            25 HDAC
L9           0 L7 AND HDAC

=> s hdac
L10         25 HDAC

=> s histone deacetylase
          8665 HISTONE
          1340 HISTONES
          8665 HISTONE
                 (HISTONE OR HISTONES)
          3030 DEACETYLASE
            21 DEACETYLASES
          3030 DEACETYLASE
                 (DEACETYLASE OR DEACETYLASES)
L11        558 HISTONE DEACETYLASE
                 (HISTONE(W)DEACETYLASE)

=> s l11 and compounds
           902 COMPOUNDS
L12          0 L11 AND COMPOUNDS

=> s l11 and inhibitor
         17489 INHIBITOR
            37 INHIBITORS
         17521 INHIBITOR
                 (INHIBITOR OR INHIBITORS)
L13          2 L11 AND INHIBITOR

=> d l13 abs ibib hitstr 10
      2 ANSWERS ARE AVAILABLE.  SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
The answer numbers requested are not in the answer set.
ENTER ANSWER NUMBER OR RANGE (1):
ENTER ANSWER NUMBER OR RANGE (1):end

=> d l13 abs ibib hitstr 1-
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
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The following are valid formats:

Substance information can be displayed by requesting individual
fields or predefined formats. The predefined substance formats
are: (RN = CAS Registry Number)

REG   - RN
SAM   - Index Name, MF, and structure - no RN
FIDE   - All substance data, except sequence data
IDE   - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD   - Protein sequence data, includes RN
SQD3   - Same as SQD, but 3-letter amino acid codes are used
SQN   - Protein sequence name information, includes RN

EPROP - Table of experimental properties
PPROP - Table of predicted properties
PROP   - EPROP, ETAG, PPROP and SPEC

Any CA File format may be combined with any substance format to
obtain CA references citing the substance. The substance formats
must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.

For additional information, please consult the following help
messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):end

=> d his

     (FILE 'HOME' ENTERED AT 18:06:31 ON 20 OCT 2009)

     FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009

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L1            1 S US20070129368/PN

     FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009
L2            1 S WO2005058803/PN
L3              STRUCTURE UPLOADED
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:03 ON 20 OCT 2009
L4            7 S L3

     FILE 'CAPLUS' ENTERED AT 18:15:04 ON 20 OCT 2009
L5            5 S L4
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:09 ON 20 OCT 2009
L6          126 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 18:15:10 ON 20 OCT 2009
L7           41 S L6 FULL

     FILE 'REGISTRY' ENTERED AT 18:15:39 ON 20 OCT 2009
L8            0 S L7 AND PY<=2004

     FILE 'CAPLUS' ENTERED AT 18:17:41 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:18:01 ON 20 OCT 2009
L9            0 S L7 AND HDAC
L10          25 S HDAC
L11         558 S HISTONE DEACETYLASE
L12           0 S L11 AND COMPOUNDS
L13           2 S L11 AND INHIBITOR

=> d l13 abs ibib hitstr 1-
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual
fields or predefined formats.  The predefined substance formats
are:  (RN = CAS Registry Number)

REG    - RN
SAM    - Index Name, MF, and structure - no RN
FIDE   - All substance data, except sequence data
IDE    - FIDE, but only 50 names
SQIDE  - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD    - Protein sequence data, includes RN
SQD3   - Same as SQD, but 3-letter amino acid codes are used
SQN    - Protein sequence name information, includes RN

EPROP  - Table of experimental properties
PPROP  - Table of predicted properties
PROP   - EPROP, ETAG, PPROP and SPEC
```

Any CA File format may be combined with any substance format to
obtain CA references citing the substance. The substance formats
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=> d his

     (FILE 'HOME' ENTERED AT 18:06:31 ON 20 OCT 2009)

     FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009
L1   1 S US20070129368/PN

     FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

     FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009
L2   1 S WO2005058803/PN
L3   STRUCTURE UPLOADED
                S L3

     FILE 'REGISTRY' ENTERED AT 18:15:03 ON 20 OCT 2009
L4   7 S L3

     FILE 'CAPLUS' ENTERED AT 18:15:04 ON 20 OCT 2009
L5   5 S L4
                S L3

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     FILE 'REGISTRY' ENTERED AT 18:15:09 ON 20 OCT 2009
L6          126 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 18:15:10 ON 20 OCT 2009
L7           41 S L6 FULL

     FILE 'REGISTRY' ENTERED AT 18:15:39 ON 20 OCT 2009
L8            0 S L7 AND PY<=2004

     FILE 'CAPLUS' ENTERED AT 18:17:41 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:18:01 ON 20 OCT 2009
L9            0 S L7 AND HDAC
L10          25 S HDAC
L11         558 S HISTONE DEACETYLASE
L12           0 S L11 AND COMPOUNDS
L13           2 S L11 AND INHIBITOR

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FILE LAST UPDATED: 19 Oct 2009  (20091019/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2009
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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s hdac
          3444 HDAC
          1266 HDACS
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L14       3840 HDAC
                (HDAC OR HDACS)

=> s histone deacetylase
          42210 HISTONE
          30807 HISTONES
          48712 HISTONE
                (HISTONE OR HISTONES)
          10706 DEACETYLASE
           2455 DEACETYLASES
          11304 DEACETYLASE
                (DEACETYLASE OR DEACETYLASES)
L15        9278 HISTONE DEACETYLASE
                (HISTONE(W)DEACETYLASE)

=> s l14 or l15
L16        9668 L14 OR L15

=> s l15 and (compound or inhibitor)
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         970603 COMPOUNDS
        1102423 COMPOUND
                (COMPOUND OR COMPOUNDS)
         629770 INHIBITOR
         619456 INHIBITORS
         972957 INHIBITOR
                (INHIBITOR OR INHIBITORS)
L17        5931 L15 AND (COMPOUND OR INHIBITOR)

=> s l17 and tetrahydro?
         226621 TETRAHYDRO?
L18         110 L17 AND TETRAHYDRO?

=> d scan l18

L18   110 ANSWERS   CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2005:516308   BIBLIOGRAPHIC DATA NOT AVAILABLE

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L18   110 ANSWERS   CAPLUS  COPYRIGHT 2009 ACS on STN
IC   ICM  A61K
CC   28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1, 63
TI   Pyrazole derivatives as protein kinase modulators, their preparation,
     pharmaceutical compositions, and use in therapy
ST   pyrazole amine prepn protein kinase inhibitor
IT   Cytokines
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (-activating agents, codrugs; preparation of pyrazole derivs. as protein
        kinase modulators useful as anticancer agents in combination
        chemotherapy)
IT   Apoptosis
     Cell differentiation
        (-associated diseases; preparation of pyrazole derivs. as protein kinase
        modulators useful as anticancer agents in combination chemotherapy)
IT   Antibodies and Immunoglobulins
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (anti-CD20, codrugs; preparation of pyrazole derivs. as protein kinase
        modulators useful as anticancer agents in combination chemotherapy)
```

IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-CD22, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-CD33, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-CD52, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binders, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chimeric, monoclonal, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Interleukin 2
Retinoids
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Alkylating agents, biological
Antiandrogens
Antiestrogens
Antimetabolites
Cytotoxic agents
Hormone antagonists
(codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Anthracyclines
Cytokines
Hormones, animal
Taxanes
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Carcinoma
Colon neoplasm
(colon carcinoma; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Signal transduction
(inhibitors, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monoclonal, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)
IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(monoclonal, human, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT Antitumor agents
Combination chemotherapy
Freeze-dried drug delivery systems
Human
Neoplasm
Pharmaceutical capsules
Pharmaceutical injections
Pharmaceutical tablets
Prophylaxis
(preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT Hormone receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT Disease, animal
(proliferative; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT Pharmaceutical injections
(s.c. injections; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT Alkaloids
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vinca, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α, codrug; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 857531-18-1P, (R)-N-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]methylamine 857531-19-2P, (S)-N-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]methylamine 857531-20-5P, (R)-2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethylamine 857531-21-6P, (S)-2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethylamine
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chiral drug candidate; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 50-18-0, Cyclophosphamide 51-21-8, 5-FU 58-05-9, Leucovorin 302-79-4, Tretinoin 1605-68-1, Taxane 15663-27-1, Cisplatin 33069-62-4, Paclitaxel 41575-94-4, Carboplatin 53714-56-0, Leuprorelin 61825-94-3, Oxaliplatin 63612-50-0, Nilutamide 65807-02-5, Goserelin 90357-06-5, Bicalutamide 95058-81-4, Gemcitabine 97682-44-5, Irinotecan 107868-30-4, Exemestane 112809-51-5, Letrozole 114977-28-5, Docetaxel 120511-73-1, Anastrazole 129453-61-8, Fulvestrant 137281-23-3, Pemetrexed 152459-95-5, Imatinib 154361-50-9, Capecitabine 180288-69-1, Trastuzumab 183321-74-6, Erlotinib 184475-35-2, Gefitinib 205923-56-4, Cetuximab 216503-57-0, Alemtuzumab 216974-75-3, Bevacizumab 475207-59-1, Nexavar
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 55-86-7, Nitrogen mustard 151-56-4D, Aziridine, derivs. 7440-06-4D,

Platinum, compds. 7689-03-4D, Camptothecin, compds. 9034-40-6, Gonadotropin-releasing hormone 13010-20-3D, Nitrosourea, agents 174722-31-7, Rituximab 208921-02-2, Tositumomab 220578-59-6, Gemtuzumab ozogamicin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 857530-77-9P, 3-Phenyl-2-[3-(1H-pyrazol-4-yl)phenyl]propionitrile 857532-40-2P, 4-(4-Chlorophenyl)-4-[4-(3-methyl-1H-pyrazol-4-yl)phenyl]piperidine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 857530-76-8P, 2-Phenyl-2-[4-(1H-pyrazol-4-yl)phenyl]ethylamine 857530-79-1P, 2-[4-(3,5-Dimethyl-1H-pyrazol-4-yl)phenyl]-2-phenylethylamine 857530-82-6P, 2-[3-(3,5-Dimethyl-1H-pyrazol-4-yl)phenyl]-1-phenylethylamine 857530-84-8P, 3-Phenyl-2-[3-(1H-pyrazol-4-yl)phenyl]propylamine 857530-85-9P, 3-Phenyl-2-[4-(1H-pyrazol-4-yl)phenyl]propylamine 857530-86-0P, [3-(4-Chlorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propyl]methylamine 857530-91-7P, [3-(3,4-Difluorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propyl]methylamine 857530-94-0P, [3-(3-Chlorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propyl]methylamine 857530-95-1P, 3-(4-Chlorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propionamide 857530-96-2P, 3-(4-Chlorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propylamine 857530-99-5P, 3-(3,4-Dichlorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propylamine 857531-00-1P, 4-(4-Chlorophenyl)-4-[4-(1H-pyrazol-4-yl)phenyl]piperidine 857531-03-4P, 4-(4-Methoxyphenyl)-4-[4-(1H-pyrazol-4-yl)phenyl]piperidine 857531-04-5P, 4-(4-Chlorophenyl)-1-methyl-4-[4-(1H-pyrazol-4-yl)phenyl]piperidine 857531-07-8P, 4-Phenyl-4-[4-(1H-pyrazol-4-yl)phenyl]piperidine 857531-08-9P, 4-[4-(3,5-Dimethyl-1H-pyrazol-4-yl)phenyl]-4-phenylpiperidine 857531-09-0P, Dimethyl[3-[4-(1H-pyrazol-4-yl)phenyl]-3-pyridin-2-ylpropyl]amine 857531-10-3P, [2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]dimethylamine 857531-11-4P, 4-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]morpholine 857531-12-5P, 4-[4-[1-(4-Chlorophenyl)-2-pyrrolidin-1-ylethyl]phenyl]-1H-pyrazole 857531-13-6P, N-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]isopropylamine 857531-14-7P, Dimethyl[2-phenyl-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]amine 857531-15-8P, [2,2-Bis[4-(1H-pyrazol-4-yl)phenyl]ethyl]dimethylamine 857531-16-9P, [2,2-Bis[4-(1H-pyrazol-4-yl)phenyl]ethyl]methylamine 857531-22-7P, 2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]acetamide 857531-23-8P, 1-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]piperazine 857531-25-0P, 1-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]piperidine 857531-26-1P, 4-[4-[2-Azetidin-1-yl-1-(4-chlorophenyl)ethyl]phenyl]-1H-pyrazole 857531-29-4P, 1-Phenyl-2-[4-(1H-pyrazol-4-yl)phenyl]ethylamine 857531-33-0P, [3-(1H-Pyrazol-4-yl)phenyl]acetonitrile 857531-34-1P, 2-(4-Chlorophenyl)-N-methyl-2-[4-(1H-pyrazol-4-yl)phenyl]acetamide 857531-35-2P, N-Methyl-2,2-bis[4-(1H-pyrazol-4-yl)phenyl]acetamide 857531-37-4P, N-[2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]ethylamine 857531-38-5P, 4-[4-[1-(4-Chlorophenyl)-2-imidazol-1-ylethyl]phenyl]-1H-pyrazole 857531-39-6P, Methyl[2-(4-phenoxyphenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]amine 857531-41-0P, [2-(4-Methoxyphenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]methylamine 857531-45-4P, Methyl[2-[4-(pyrazin-2-yloxy)phenyl]-2-[4-(1H-pyrazol-4-

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Methyl[2-phenoxy-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]amine 857531-51-2P,
2-[(4-Chlorophenyl)[4-(1H-pyrazol-4-yl)phenyl]methoxy]ethylamine
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1H-pyrazole 857531-55-6P, 4-[4-[3-Azetidin-1-yl-1-(4-
chlorophenyl)propyl]phenyl]-1H-pyrazole 857531-56-7P,
Methyl[3-naphthalen-2-yl-3-[4-(1H-pyrazol-4-yl)phenyl]propyl]amine
857531-57-8P, Dimethyl[4-[3-methylamino-1-[4-(1H-pyrazol-4-
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[3-(4-Fluorophenyl)-3-[4-(1H-pyrazol-4-yl)phenyl]propyl]methylamine
857531-59-0P, 4-[4-[4-(4-Chlorophenyl)piperidin-4-yl]phenyl]-1H-pyrazole-3-
carbonitrile 857531-61-4P, 3-(4-Phenoxyphenyl)-3-[4-(1H-pyrazol-4-
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1-[(4-Chlorophenyl)[4-(1H-pyrazol-4-yl)phenylmethyl]piperazine
857531-63-6P, 1-Methyl-4-[phenyl[4-(1H-pyrazol-4-
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[3-(3-Chlorophenoxy)-3-[4-(1H-pyrazol-4-yl)phenyl]propyl]methylamine
857531-66-9P, Methyl[2-phenyl-2-[6-(1H-3-methylpyrazol-4-yl)pyridin-3-
yl]ethyl]amine 857531-70-5P, 4-[4-[1-(4-Chlorophenyl)-3-imidazol-1-
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yl]phenoxy]acetic acid methyl ester 857532-06-0P,
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 329900-75-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors, codrug; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 9037-42-7, DNA methylase 9076-57-7, Histone deacetylase 140879-24-9 142008-29-5, Protein kinase A 142805-56-9, Topoisomerase II 148640-14-6, Protein kinase B 150428-23-2, Cyclin dependent kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, codrugs; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 5359-38-6P, Bis(4-chlorophenyl)acetic acid methyl ester 7496-20-0P, 2-(3-Bromophenyl)-3-phenyl-2-propenenitrile 18164-50-6P, Bis(4-chlorophenyl)acetaldehyde 18861-58-0P, 3-(4-Bromophenyl)-2-cyanopropenoic acid ethyl ester 25574-19-0P, 1-(4-Bromophenyl)-3-chloropropan-1-ol 40587-07-3P, 1-(4-Bromophenyl)-2-methylaminoethanol 54646-36-5P, 3-Methoxypropyl p-toluenesulfonate 63953-36-6P, 2,2-Bis(4-chlorophenyl)-N,N-dimethylacetamide 90531-02-5P, [2,2-Bis(4-chlorophenyl)ethyl]dimethylamine 100865-80-3P, 2,2-Bis(4-chlorophenyl)propionic acid 105901-10-8P, (4-Bromophenyl)(4-chlorophenyl)methanol 405551-72-6P, 2,2-Bis(4-chlorophenyl)propionic acid methyl ester 566949-43-7P, [2-(4-Bromophenyl)-2-hydroxyethyl]methylcarbamic acid tert-butyl ester 857530-78-0P, 2-(3-Bromophenyl)-3-phenylpropionitrile 857530-83-7P, 2-(3-Bromophenyl)-1-phenylethylamine 857530-87-1P, 3-(4-Bromophenyl)-3-(4-chlorophenyl)-2-cyanopropanoic acid ethyl ester 857530-88-2P, 3-(4-Bromophenyl)-3-(4-chlorophenyl)propionic acid

857530-89-3P, 3-(4-Bromophenyl)-3-(4-chlorophenyl)-N-methylpropionamide
857530-90-6P, [3-(4-Bromophenyl)-3-(4-chlorophenyl)propyl]methylamine
857530-92-8P, 3-(4-Bromophenyl)-3-(3,4-difluorophenyl)-N-
methylpropionamide 857530-93-9P,
3-(3,4-Difluorophenyl)-N-methyl-3-[4-(1H-pyrazol-4-yl)phenyl]propionamide
857530-97-3P, 3-(4-Bromophenyl)-3-(4-chlorophenyl)propionamide
857530-98-4P, 3-(4-Bromophenyl)-3-(4-chlorophenyl)propylamine
857531-01-2P, 4-(4-Bromophenyl)-4-(4-chlorophenyl)piperidine
857531-02-3P, N-[2-(4-Bromophenyl)-2-(4-methoxyphenyl)ethyl]-N-
methylcarbamate tert-butyl ester 857531-05-6P,
4-(4-Bromophenyl)-4-(4-chlorophenyl)piperidine-1-carboxylic acid ethyl
ester 857531-06-7P, 4-(4-Bromophenyl)-4-(4-chlorophenyl)-1-
methylpiperidine 857531-24-9P, 4-[2,2-Bis(4-
chlorophenyl)ethyl]piperazine-1-carboxylic acid tert-butyl ester
857531-27-2P, 2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethanol
857531-28-3P, 2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]acetaldehyde
857531-31-8P, 4-Bromo-5-methyl-1-(tetrahydropyran
-2-yl)-3-trifluoromethyl-1H-pyrazole 857531-32-9P,
4-(4-Bromophenyl)-3-methyl-1H-pyrazole 857531-36-3P,
[2-(4-Bromophenyl)-2-(4-chlorophenyl)ethyl]methylamine 857531-40-9P,
[2-(4-Bromophenyl)-2-(4-phenoxyphenyl)ethyl]methylamine 857531-42-1P,
[2-(4-Bromophenyl)-2-(4-methoxyphenyl)ethyl]methylamine 857531-43-2P,
[2-(4-Bromophenyl)-2-(2-methoxyphenyl)ethyl]methylamine 857531-44-3P,
[2-(4-Bromophenyl)-2-(4-methoxyphenyl)ethyl]methylamine hydrochloride
857531-46-5P, 4-[1-(4-Bromophenyl)-2-(methylamino)ethyl]phenol
857531-47-6P, [2-(4-Bromophenyl)-2-(4-hydroxyphenyl)ethyl]methylcarbamic
acid tert-butyl ester 857531-48-7P,
N-[2-(4-Bromophenyl)-2-[4-(pyrazin-2-yloxy)phenyl]ethyl]methylamine
857531-50-1P, [2-(4-Bromophenyl)-2-phenoxyethyl]methylamine
857531-52-3P, 2-[2-[(4-Bromophenyl)(4-chlorophenyl)methoxy]ethyl]isoindole-
1,3-dione 857531-53-4P, N-[2-[(4-Chlorophenyl)[4-(1H-pyrazol-4-
yl)phenyl]methoxy]ethyl]phthalamic acid 857531-65-8P,
[3-(4-Bromophenyl)-3-(3-chlorophenoxy)propyl]methylamine 857531-67-0P,
6-(3-Methyl-1-trityl-1H-pyrazol-4-yl)nicotinonitrile 857531-68-1P,
(4-Chlorophenyl)[6-(3-methyl-1-trityl-1H-pyrazol-4-yl)pyridin-3-
yl]methanone 857531-71-6P, 1-(4-Bromophenyl)-3-imidazol-1-ylpropan-1-ol
857531-72-7P, 1-[3-(4-Bromophenyl)-3-(4-chlorophenyl)propyl]-1H-imidazole
857531-74-9P, 1-[3-(4-Bromophenyl)-3-phenoxypropyl]-1H-imidazole
857531-77-2P, [2-(4-Bromophenyl)-2-(4-fluorophenyl)ethyl]carbamic acid
benzyl ester 857531-79-4P, N-[2-(4-Fluorophenyl)-2-[4-(1H-pyrazol-4-
yl)phenyl]ethyl]carbamic acid benzyl ester 857531-82-9P,
4-(4-Bromophenyl)-4-(4-hydroxyphenyl)piperidine-1-carboxylic acid
tert-butyl ester 857531-83-0P, 4-(4-Bromophenyl)-4-[4-(2-
methoxyethoxy)phenyl]piperidine-1-carboxylic acid tert-butyl ester
857531-84-1P, 4-[4-(2-Methoxyethoxy)phenyl]-4-[4-(1H-pyrazol-4-
yl)phenyl]piperidine-1-carboxylic acid tert-butyl ester 857531-86-3P,
4-(4-Bromophenyl)-4-[4-(3-methoxypropoxy)phenyl]piperidine-1-carboxylic
acid tert-butyl ester 857531-96-5P,
4-(4-Chlorophenyl)-4-[4-(3-methyl-1-trityl-1H-pyrazol-4-
yl)phenyl]piperidine 857532-00-4P,
2-(4-Chlorophenyl)-2-(4-iodophenyl)oxirane 857532-01-5P,
1-(4-Chlorophenyl)-2-(2-hydroxyethylamino)-1-(4-iodophenyl)ethanol
857532-02-6P, 2-(4-Chlorophenyl)-2-(4-iodophenyl)morpholine
857532-05-9P, [4-[4-(4-Bromophenyl)piperidin-4-yl]phenoxy]acetic acid
ethyl ester hydrochloride 857532-07-1P,
4-(4-Chlorophenyl)-4-(4-iodophenyl)piperidine 857532-08-2P,
4-[4-(4-Chlorophenyl)piperidin-4-yl]benzonitrile 857532-10-6P,
2,2-Bis(4-chlorophenyl)-N-methylpropionamide 857532-11-7P,
[2,2-Bis(4-chlorophenyl)propyl]methylamine 857532-14-0P,
2-[2-(4-Chlorophenyl)-2-hydroxy-2-(4-iodophenyl)ethyl]isoindole-1,3-dione
857532-15-1P, N-[2-(4-Chlorophenyl)-2-hydroxy-2-[4-(1H-pyrazol-4-
yl)phenyl]ethyl]phthalamic acid 857532-19-5P,

4-(4-Chloro-3-fluorophenyl)-4-hydroxypiperidine-1-carboxylic acid tert-butyl ester 857532-20-8P, 4-(4-Bromophenyl)-4-(4-chloro-3-fluorophenyl)piperidine hydrochloride 857532-22-0P, 4-(4-Carboxyphenyl)-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester 857532-23-1P, 4-(4-Bromophenyl)-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester 857532-24-2P, 4-(4-Carboxyphenyl)-4-[4-(1H-pyrazol-4-yl)phenyl]piperidine-1-carboxylic acid tert-butyl ester 857532-26-4P, 4-(4-Chlorophenyl)-3,4,5,6-tetrahydro-2H-[4,4']bipyridinyl-1-carboxylic acid tert-butyl ester 857532-31-1P, 2,2-Bis(4-chlorophenyl)-2-fluoroethylamine 857532-36-6P, 2-(4-Chlorophenyl)-N-methyl-2-[4-(3-methyl-1-trityl-1H-pyrazol-4-yl)phenyl]acetamide 857532-37-7P, 2-(4-Chlorophenyl)-N-methyl-2-[4-(3-methyl-1H-pyrazol-4-yl)phenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 21771-88-0 39512-49-7, 4-(4-Chlorophenyl)piperidin-4-ol 568565-46-8 1153819-03-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 857531-76-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 857531-17-0P, [2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethyl]methylamine
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(racemic drug candidate; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 857530-81-5P, 2-(4-Chlorophenyl)-2-[4-(1H-pyrazol-4-yl)phenyl]ethylamine
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(racemic drug candidate; preparation of pyrazole derivs. as protein kinase modulators useful as anticancer agents in combination chemotherapy)

IT 83-05-6, Bis(4-chlorophenyl)acetic acid 95-50-1, 1,2-Dichlorobenzene 100-47-0, Benzonitrile, reactions 100-51-6, Benzyl alcohol, reactions 100-52-7, Benzaldehyde, reactions 100-66-3, Anisole, reactions 101-84-8, Diphenyl ether 105-56-6, Ethyl cyanoacetate 106-48-9, 4-Chlorophenol 108-43-0, 3-Chlorophenol 108-86-1, Bromobenzene, reactions 108-90-7, Chlorobenzene, reactions 108-95-2, Phenol, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 156-87-6, 3-Aminopropan-1-ol 288-32-4, Imidazole, reactions 352-13-6, 4-Fluorophenylmagnesium bromide 503-29-7, Azetidine 541-41-3, Ethyl chloroformate 591-50-4, Iodobenzene 766-51-8, 2-Chloroanisole 873-77-8, 4-Chlorophenylmagnesium bromide 980-71-2, Brompheniramine maleate 1074-82-4, Potassium phthalimide 1122-91-4, 4-Bromobenzaldehyde 1589-49-7, 3-Methoxypropanol 1982-36-1, 1-((4-Chlorophenyl)(phenyl)methyl)-4-methyl-1,4-diazacycloheptane dihydrochloride 2516-47-4, Cyclopropylmethylamine 2555-49-9, Ethyl phenoxyacetate 2642-82-2, 2,2-Bis(4-chlorophenyl)ethanol 3891-07-4, N-(2-Hydroxyethyl)phthalimide 4409-11-4, 4-(4-Chlorobenzyl)pyridine 6186-22-7, 4-Bromophenylacetone 6316-74-1, 2,2-Bis(4-chlorophenyl)-N-methylacetamide 6482-24-2, 2-Bromoethyl methyl ether 7353-91-5, 4-(N,N-Dimethyl)anilinemagnesium bromide 13139-86-1, 4-Anisylmagnesium bromide 14508-49-7, 2-Chloropyrazine 16532-79-9,

4-Bromophenylacetonitrile 21473-01-8, 2-Naphthylmagnesium bromide
21473-02-9, 4-Phenoxyphenylmagnesium bromide 21998-50-5,
2-(4-Chlorophenyl)-2-phenylethylamine hydrochloride 27469-61-0,
1-(Bis(4-chlorophenyl)methyl)piperazine 31736-73-9,
1-(4-Bromophenyl)-3-chloropropan-1-one 31938-07-5,
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33252-28-7, 6-Chloronicotinonitrile 33252-30-1, 2-Chloro-4-cyanopyridine
36229-42-2, 3-Chlorophenylmagnesium bromide 40292-15-7,
(4-Bromophenyl)(4-nitrophenyl)methanone 41147-82-4,
2-Amino-1-(4-bromophenyl)ethanol 57260-71-6, N-BOCpiperazine
57988-58-6, 4-(4-Bromophenyl)piperidin-4-ol 58811-89-5,
2-Amino-1,1-bis(4-chlorophenyl)ethanol 60061-68-9,
4-Bromo-5-methyl-3-trifluoromethyl-1H-pyrazole 79099-07-3,
4-Oxopiperidine-1-carboxylic acid tert-butyl ester 79175-35-2,
3,4-Dichlorophenylmagnesium bromide 85336-82-9,
2,2-Bis(4-chlorophenyl)ethylamine 90897-92-0,
3,4-Difluorophenylmagnesium bromide 91983-26-5,
4-(Cyanomethylphenyl)boronic acid 92206-72-9, 4-Bromobenzylmagnesium
bromide 99847-42-4, (4-Chlorophenyl)(4-iodophenyl)methanone
107549-22-4, 3-Bromobenzylmagnesium bromide 118753-70-1,
Bis(2-chloroethyl)carbamic acid tert-butyl ester 170793-00-7,
3-Fluoro-4-chlorophenylmagnesium bromide 246148-31-2,
4-(4-Chlorophenyl)-4-phenylpiperidine 269410-08-4,
4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole 288246-16-2,
4-Bromo-1H-pyrazole-3-carbonitrile 312501-30-7,
4-[4-(4-Bromophenyl)piperidin-4-yl]phenol 329214-79-1,
3-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)pyridine 474706-57-5
857530-80-4, 3,5-Dimethyl-4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-
1H-pyrazole 857531-60-3, 4-(4-Chlorophenyl)-4-[4-(4,4,5,5-tetramethyl-
[1,3,2]dioxaborolan-2-yl)phenyl]piperidine 857531-97-6,
4-(4-Bromophenyl)-4-(4-chlorophenyl)piperidine hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyrazole derivs. as protein kinase
modulators useful as anticancer agents in combination chemotherapy)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d his

(FILE 'HOME' ENTERED AT 18:06:31 ON 20 OCT 2009)

FILE 'CAPLUS' ENTERED AT 18:06:45 ON 20 OCT 2009
L1 1 S US20070129368/PN

FILE 'REGISTRY' ENTERED AT 18:08:02 ON 20 OCT 2009

FILE 'CAPLUS' ENTERED AT 18:08:06 ON 20 OCT 2009

FILE 'REGISTRY' ENTERED AT 18:08:06 ON 20 OCT 2009

FILE 'CAPLUS' ENTERED AT 18:08:14 ON 20 OCT 2009
L2 1 S WO2005058803/PN
L3 STRUCTURE UPLOADED
S L3

FILE 'REGISTRY' ENTERED AT 18:15:03 ON 20 OCT 2009
L4 7 S L3

FILE 'CAPLUS' ENTERED AT 18:15:04 ON 20 OCT 2009
L5 5 S L4
S L3

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     FILE 'REGISTRY' ENTERED AT 18:15:09 ON 20 OCT 2009
L6          126 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 18:15:10 ON 20 OCT 2009
L7           41 S L6 FULL

     FILE 'REGISTRY' ENTERED AT 18:15:39 ON 20 OCT 2009
L8            0 S L7 AND PY<=2004

     FILE 'CAPLUS' ENTERED AT 18:17:41 ON 20 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:18:01 ON 20 OCT 2009
L9            0 S L7 AND HDAC
L10          25 S HDAC
L11         558 S HISTONE DEACETYLASE
L12           0 S L11 AND COMPOUNDS
L13           2 S L11 AND INHIBITOR

     FILE 'CAPLUS' ENTERED AT 18:24:38 ON 20 OCT 2009
L14        3840 S HDAC
L15        9278 S HISTONE DEACETYLASE
L16        9668 S L14 OR L15
L17        5931 S L15 AND (COMPOUND OR INHIBITOR)
L18         110 S L17 AND TETRAHYDRO?

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      24038560 PY<=2003
L19         17 L18 AND PY<=2003

=> s l18 and py<=2004
      25144169 PY<=2004
L20         26 L18 AND PY<=2004

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YOU HAVE REQUESTED DATA FROM 26 ANSWERS - CONTINUE? Y/(N):y

L20  ANSWER 1 OF 26  CAPLUS  COPYRIGHT 2009 ACS on STN
AB   There is increasing evidence that administration of histone
     deacetylase (HDAC) inhibitors can exert neuroprotective
     effects by a variety of mechanisms.  Phenylbutyrate is a well-known HDAC
     inhibitor, which increases gene transcription of a number of genes,
     and also exerts neuroprotective effects.  These include several
     antioxidant enzymes, chaperones, and genes involved in cell survival.  We
     examined whether administration of phenylbutyrate could exert significant
     neuroprotective effects against 1-methyl-4-phenyl-1,2,3,6-
     tetrahydropyridine (MPTP), which has been used to model
     Parkinson's disease.  Administration of phenylbutyrate significantly
     attenuated MPTP-induced depletion of striatal dopamine and loss of
     tyrosine hydroxylase-pos. neurons in the substantia nigra.  These findings
     provide further evidence that administration of phenylbutyrate may be a
     useful approach for the treatment of neurodegenerative diseases.
ACCESSION NUMBER:        2005:82680  CAPLUS
DOCUMENT NUMBER:         142:291263
TITLE:                   Neuroprotective effects of phenylbutyrate against MPTP
                         neurotoxicity
AUTHOR(S):               Gardian, Gabriella; Yang, Lichuan; Cleren, Carine;
                         Calingasan, Noel Y.; Klivenyi, Peter; Beal, M. Flint
CORPORATE SOURCE:        Department of Neurology and Neuroscience, New
                         York-Presbyterian Hospital, Weill Medical College of
                         Cornell University, New York, NY, 10021, USA
SOURCE:                  NeuroMolecular Medicine (2004), 5(3),
                         235-242
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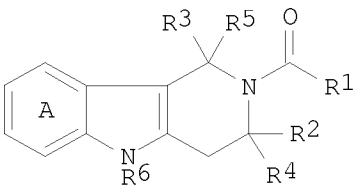
CODEN: NMEEAN; ISSN: 1535-1084
PUBLISHER: Humana Press Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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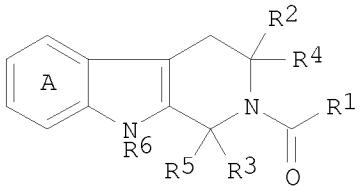
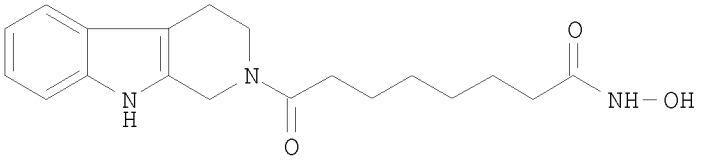


II



III

AB Title compds. represented by the formula I & II [wherein fused ring A is optionally substituted; R1 = (alkyl)-Xm-(alkyl)-Z; X = (un)substituted Ph or heteroaryl; Z = CONH(OH), N(OH)COY; Y = H, (cyclo)alkyl, Ph, heterocyclyl; m = 0 or 1; R4-R5 = H, R2-R3 = independently H, (un)substituted (alkyl)carbocyclic or (alkyl)heterocyclic group; R2R4, R3R5 = independently (un)substituted carbocyclic or heterocyclic ring; R6 = H or alkyl; and their salts, hydrates or solvates thereof] were prepared as histone deacetylase (HDAC) enzyme inhibitors. For example, III was given in a multi-step synthesis starting from the reaction of Me 8-chloro-8-oxooctanoate with chlorotrityl-O-NH2 resin. Most prepared compds. showed inhibition of HDAC and Hela nuclear exts. HDACs with IC50 values of less than 1000 nM. Thus, I & II and their pharmaceutical compns. are useful as HDAC enzyme inhibitors for the treatment of inter alia and cancers (no data).

ACCESSION NUMBER: 2004:1154709 CAPLUS
DOCUMENT NUMBER: 142:93688
TITLE: Preparation of carboline and beta-carboline derivatives as HDAC enzyme inhibitors
INVENTOR(S): Davidson, Alan Hornsby; Yarnold, Christopher John; Charleton, Michael Hugh
PATENT ASSIGNEE(S): Chroma Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113336	A1	20041229	WO 2004-GB2504	20040615 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1633751 A1 20060315 EP 2004-736846 20040615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 20060235012 A1 20061019 US 2006-559626 20060607
PRIORITY APPLN. INFO.: GB 2003-13814 A 20030616
GB 2003-29998 A 20031223
WO 2004-GB2504 W 20040615

OTHER SOURCE(S): MARPAT 142:93688
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB Compds. R1R2CH-C6H4-L-COR3 (R1 = lower alkyl optionally substituted with one or more suitable substituent(s), aryl optionally substituted with one or more suitable substituent(s), fused ring; R2 = acylamino, optionally protected OH; L = lower alkenylene; R3 = hydroxyamino), or salts thereof, are disclosed. The compds. are useful as inhibitors of histone deacetylase and may be used to treat a variety of diseases, e.g., inflammatory disorders, diabetes, cirrhosis, acute promyelocytic leukemia, protozoal infections, etc. Thus, over 100 compds. were synthesized and 4 were shown to inhibit histone deacetylase and to inhibit T cell growth.
ACCESSION NUMBER: 2004:698117 CAPLUS
DOCUMENT NUMBER: 141:202277
TITLE: Dialkylbenzene hydroxylamide histone deacetylase inhibitors for use in therapeutics
INVENTOR(S): Urano, Yasuharu; Hosaka, Mitsuru; Kamijo, Kazunori
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071401	A2	20040826	WO 2004-JP1437	20040210 <--
WO 2004071401	A3	20041014		

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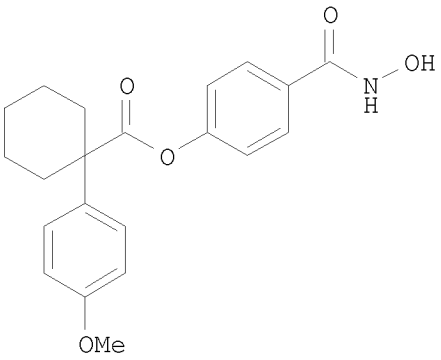
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2003-900587 A 20030211
OTHER SOURCE(S): MARPAT 141:202277

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB This invention pertains to title N-hydroxybenzamides CyQ1JQ2CONHOH [I; wherein J = independently OCO, CO2, CO; Cy = independently (un)substituted carbocyclyl, heterocyclyl, aryl; Q1 = independently (un)substituted divalent bidentate group; Q2 = independently (un)substituted alkylene(arylene), arylene(alkylene), alkylene-arylene-alkylene; and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chemical protected forms, and prodrugs thereof], which were prepared as histone deacetylase (HDAC) inhibitors. The present invention also pertains to pharmaceutical compns. of I, the use of such compds. and compns. to inhibit HDAC, and the treatment of conditions mediated by HDAC, such as cancer, proliferative conditions, psoriasis, etc. (no clin. data). For example, N-(benzyloxy)-4-hydroxybenzamide was coupled with 1-(4-methoxyphenyl)cyclohexanecarbonyl chloride in THF to give the ester (52%). Deprotection using 5% Pd/C in MeOH provided II (PX118478) in 64% yield. The latter inhibited HDAC in human cervical adenocarcinoma (HeLa) cells with IC50 of 32 nM and demonstrated antiproliferative activity against HeLa cells, HPV E7 transformed human keratinocyte (K11) cells, and human T-cells (JURKAT) with IC50 values of 4.6 μM, 13.6 μM, and 500 nM, resp.

ACCESSION NUMBER: 2004:633904 CAPLUS
DOCUMENT NUMBER: 141:173976
TITLE: Preparation of [(hydroxyamino)carbonyl]phenyl cyclohexanecarboxylates as HDAC inhibitors
INVENTOR(S): Finn, Paul W.; Kalvinsh, Ivars; Loza, Einars; Gutcaits, Aleksandrs; Olutnika, Irena; Serpionova, Ludmila; Gailite, Vija; Bokaldere, Rasma
PATENT ASSIGNEE(S): Topotarget UK Limited, UK
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004065354	A1	20040805	WO 2004-GB147	20040119 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
AU 2004205372	A1	20040805	AU 2004-205372	20040119 <--
CA 2513246	A1	20040805	CA 2004-2513246	20040119 <--
EP 1583736	A1	20051012	EP 2004-703207	20040119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006517532	T	20060727	JP 2006-500216	20040119
US 20060058282	A1	20060316	US 2005-542281	20050715
US 7465719	B2	20081216		
PRIORITY APPLN. INFO.:			US 2003-440616P P	20030117
			WO 2004-GB147 W	20040119

OTHER SOURCE(S): MARPAT 141:173976
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
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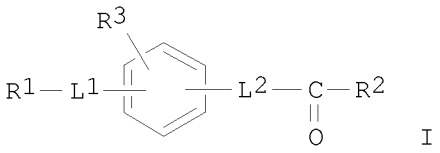

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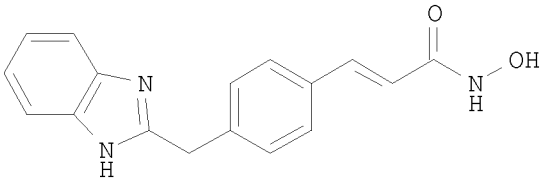


II

AB Title compds. I [R1 = N-containing heterocycle; R2 = hydroxyamino; R3 = H, etc.; L1 = (CH2)n; n = 0-6; L2 = alkenylene] are prepared For instance, 2-(4-iodobenzyl)-1H-benzimidazole (preparation given) is sulfonylated with TsCl, coupled sequentially with acrylic acid (DMF, Pd(OAc)2, (o-tolyl)3P, i-Pr2NEt, 120°, 90 min), O-(tetrahydro -2H-pyran-2-yl)hydroxylamine (DMF, HOBt, EDCI) and deprotected (MeOH, HCl) to give II. II has IC50 = 28 nM for histone deacetylase . I are useful for the treatment of inflammation and diabetes.

ACCESSION NUMBER: 2004:610126 CAPLUS
DOCUMENT NUMBER: 141:157117
TITLE: Preparation of N-hydroxamide carboxylic acid derivatives as histone deacetylase (hdac) inhibitors
INVENTOR(S): Urano, Yasuharu; Satoh, Shigeki; Ishibashi, Naoki; Kamijo, Kazunori
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

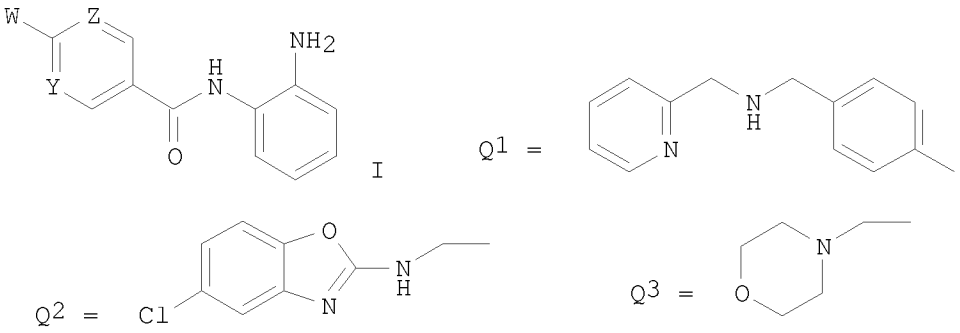
SOURCE: PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063169	A1	20040729	WO 2004-JP157	20040113 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
US 20040229889	A1	20041118	US 2004-754541	20040112 <--
US 7135493	B2	20061114		
CA 2513436	A1	20040729	CA 2004-2513436	20040113 <--
EP 1585735	A1	20051019	EP 2004-701698	20040113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006518341	T	20060810	JP 2006-500390	20040113
MX 2005007561	A	20060208	MX 2005-7561	20050713
IN 2005CN01877	A	20070330	IN 2005-CN1877	20050809

PRIORITY APPLN. INFO.: AU 2003-900116 A 20030113
AU 2003-905406 A 20031006
WO 2004-JP157 W 20040113

OTHER SOURCE(S): MARPAT 141:157117
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared Thus, 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et3N, BOP, and 1,2-phenylenediamine to give 63% 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC50 = 0.4 μM.

ACCESSION NUMBER: 2004:589250 CAPLUS
DOCUMENT NUMBER: 141:140470
TITLE: Preparation of aminophenylbenzamides as inhibitors of histone

deacetylase
INVENTOR(S): Delorme, Daniel; Zhou, Zhihong
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040142953	A1	20040722	US 2003-358556	20030204 <--
US 6897220	B2	20050524		
US 20040106599	A1	20040603	US 2002-242304	20020912 <--
US 7595343	B2	20090929		
AU 2004210016	A1	20040819	AU 2004-210016	20040204 <--
CA 2515338	A1	20040819	CA 2004-2515338	20040204 <--
CA 2515338	C	20080916		
WO 2004069823	A1	20040819	WO 2004-CA139	20040204 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1590340	A1	20051102	EP 2004-707852	20040204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1723207	A	20060118	CN 2004-80001769	20040204
BR 2004007195	A	20060214	BR 2004-7195	20040204
JP 2006514998	T	20060518	JP 2005-518241	20040204
JP 3908773	B2	20070425		
US 20060058298	A1	20060316	US 2005-81095	20050315
JP 2005255683	A	20050922	JP 2005-80310	20050318
US 20050288282	A1	20051229	US 2005-91025	20050325
KR 893804	B1	20090420	KR 2005-709963	20050602
MX 2005008246	A	20051005	MX 2005-8246	20050802
IN 2005KN01604	A	20061103	IN 2005-KN1604	20050810
AU 2006252047	A9	20070111	AU 2006-252047	20061214
AU 2006252047	A1	20070111		

PRIORITY APPLN. INFO.:

US 2001-322402P	P	20010914
US 2002-391728P	P	20020626
US 2002-242304	A2	20020912
AU 2002-327627	A3	20020912
JP 2003-528544	A3	20020912
US 2003-358556	A	20030204
WO 2004-CA139	W	20040204

OTHER SOURCE(S): MARPAT 141:140470
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
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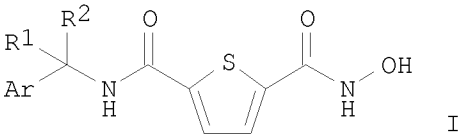

I

AB The (R) and (S) enantiomers of the title compound I [Ar = (un)substituted (hetero)aryl; R1 = H, (un)substituted Ph, alkyl, alkenyl; R2 = H, alkyl; or R1 together with Ar group form a tetrahydronaphthalene, indane or dibenzosuberane ring] which are novel antiproliferative therapeutic agents, were prepared and formulated. E.g., a 2-step synthesis of (R)-thiophene-2,5-dicarboxylic acid 2-hydroxyamide-5-[(1-phenylethyl)amide], starting from Me thiophene-2,5-dicarboxylate and (R)-1-phenylethylamine, was given. The compds. I have HDAC inhibitor activity (data given) and are useful in the treatment of cancer. Also disclosed are methods of making and using compds. I, as well as pharmaceutical compns. containing compds. I.

ACCESSION NUMBER: 2004:513340 CAPLUS
DOCUMENT NUMBER: 141:71436
TITLE: Preparation of thiophene hydroxamic acid derivatives as HDAC inhibitors for treating cancer
INVENTOR(S): Grossmann, Adelbert; Herting, Frank; Koerner, Matthias; Kuenkele, Klaus-Peter; Limberg, Anja; Mundigl, Olaf; Tibes, Ulrich
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122079	A1	20040624	US 2003-732633	20031210 <--
US 7098241	B2	20060829		
CA 2507629	A1	20040701	CA 2003-2507629	20031215 <--
WO 2004054999	A1	20040701	WO 2003-EP14235	20031215 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003293882	A1	20040709	AU 2003-293882	20031215 <--
EP 1575933	A1	20050921	EP 2003-789278	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017348	A	20051116	BR 2003-17348	20031215
CN 1726204	A	20060125	CN 2003-80105956	20031215
CN 100391954	C	20080604		
JP 2006513181	T	20060420	JP 2004-560408	20031215
RU 2348625	C2	20090310	RU 2005-122447	20031215
MX 2005005978	A	20050818	MX 2005-5978	20050603
KR 781929	B1	20071204	KR 2005-711170	20050616

IN 2005CN01553 A 20070427 IN 2005-CN1553 20050708
PRIORITY APPLN. INFO.: EP 2002-28038 A 20021216
WO 2003-EP14235 W 20031215

OTHER SOURCE(S): MARPAT 141:71436
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB The invention discloses a method for delivering a gene product to an animal. The method comprises administering an expression vector comprising a nucleic acid sequence operably linked to a promoter and encoding a gene product, and upregulating transcription of the nucleic acid sequence in the ocular cell. The expression vector can be an adenoviral vector. The invention further provides a method of prophylactically or therapeutically treating an animal for at least one ocular-related disorder. The method comprises contacting an ocular cell with an expression vector comprising a nucleic acid sequence encoding an inhibitor of angiogenesis and/or a neurotrophic agent. In one aspect, the method further comprises upregulating transcription of the nucleic acid sequence. Preferably, if 2x108 adenoviral particles of the inventive method are administered to a mouse, the level of expression of the nucleic acid sequence is not diminished more than ten-fold at 28 days post-administration.
ACCESSION NUMBER: 2004:486381 CAPLUS
DOCUMENT NUMBER: 141:47376
TITLE: Gene product delivery for treating ocular-related disorders
INVENTOR(S): McVey, Duncan L.; Brough, Douglas E.; Kovesdi, Imre; Wei, Lisa
PATENT ASSIGNEE(S): Genvec, Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050027	A2	20040617	WO 2003-US38169	20031201 <--
WO 2004050027	A3	20041202		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507036	A1	20040617	CA 2003-2507036	20031201 <--
AU 2003297607	A1	20040623	AU 2003-297607	20031201 <--
EP 1567198	A2	20050831	EP 2003-812479	20031201
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JP 2006516027	T	20060615	JP 2004-557447	20031201
US 20050220768	A1	20051006	US 2005-138931	20050526
US 20090041759	A1	20090212	US 2008-119258	20080512

PRIORITY APPLN. INFO.: US 2002-430617P P 20021202

WO 2003-US38169 W 20031201
US 2005-138931 B3 20050526

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
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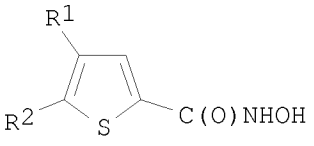
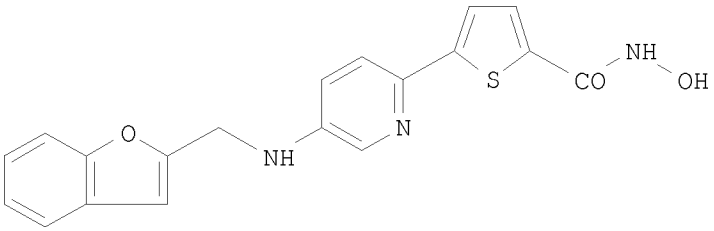


I



II

AB Thiophene-2-hydroxamic acids (shown as I; variables defined below; e.g. II) and corresponding N-oxides, pharmaceutically acceptable salts, solvates and prodrugs of such compds. and their use in the treatment of diseases associated with histone deacetylase enzymic activity (e.g. cancer, psoriasis, fibroproliferative disorders, smooth muscle cell proliferation disorders, etc.) are claimed. Although the methods of preparation are not claimed, >100 example prepns. are included. For example, 5-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yl)thiophene-2-carboxylic acid hydroxyamide was prepared in 96% yield deprotection of 5-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yl)thiophene-2-carboxylic acid (tetrahydropyran-2-yloxy)amide in MeOH using p-toluenesulfonic acid; the reactant was prepared in 78% yield by amide formation of 5-[2-methyl-5-(trifluoromethyl)-2H-pyrazol-3-yl]thiophene-2-carboxylic acid with O-(tetrahydro-2H-pyran-2-yl)hydroxylamine in DMF using diisopropylethylamine and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate. Histone deacetylase inhibitory activity is reported for 6 examples of I, e.g. IC50 0.062 μM for II; 5 of these were tested for their ability to reduce cell proliferation in 2 cell lines (MCF-7 and MDA-MB-231; human mammary gland adenocarcinoma), e.g. IC50 = 0.6 and 2.0 μM, resp. for II. For I: R1 = aryl or heteroaryl, each (un)substituted by ≥1 R3, alkylenedioxy, carboxy, cyano, halo, hydroxy, nitro, haloalkyl, haloalkoxy, -C(O)R3, -C(O)OR3, -C(:Z)NR4R5, -NR4R5, -NR6C(O)OR3, -NR6C(O)NR4R5, -NR6C(:Z)R3, -OC(O)NR4R5, -NR6SO2R3, -OR3, -OC(O)R3, -SH, -SR3, -SOR3, -SO2R3 and -SO2NR4R5; R2 = H, chloro, cyano, fluoro, alkoxy, alkyl, or haloalkyl; R3 = aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl or R7; R4 and R5 = H, alkyl, alkenyl, aryl, heteroaryl, cycloalkyl, cycloalkenyl or heterocycloalkyl, wherein said alkyl or alkenyl are (un)substituted by aryl, heteroaryl, cycloalkyl, cycloalkenyl or heterocycloalkyl; or the group -NR4R5 may form a cyclic amine; R6 = H or lower alkyl; R7 = alkyl, alkenyl and alkynyl, wherein said alkyl, alkenyl or alkynyl are (un)substituted by ≥1 aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl, hydroxy, -C(:Z)NR4R5, -NR4R5, -NR6C(:Z)R8, -OC(O)NR4R5, -NR6C(O)OR8, -NR6C(O)NR4R5, -NR6SO2R8, -OR8, -SOR8, SO2R8 and -SO2NR4R5; R8 = alkyl, alkenyl or alkynyl, (un)substituted by ≥1 aryl, heteroaryl, cycloalkyl, cycloalkenyl,

heterocycloalkyl, hydroxy and halogen; or R8 = aryl, heteroaryl, cycloalkyl, cycloalkenyl or heterocycloalkyl; and Z is O or S.

ACCESSION NUMBER: 2004:120847 CAPLUS
DOCUMENT NUMBER: 140:163701
TITLE: Preparation of substituted thiophene-2-hydroxamic acids as histone deacetylase inhibitors useful against disorders involving increased cell proliferation
INVENTOR(S): Archer, Janet Ann; Bordogna, Walter; Bull, Richard James; Clark, David Edward; Dyke, Hazel Joan; Gill, Matthew Iain Andrew; Harris, Neil Victor; Van Den Heuvel, Marco; Price, Stephen
PATENT ASSIGNEE(S): Argenta Discovery Limited, UK
SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013130	A1	20040212	WO 2003-GB3168	20030724 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494114	A1	20040212	CA 2003-2494114	20030724 <--
AU 2003255724	A1	20040223	AU 2003-255724	20030724 <--
EP 1525199	A1	20050427	EP 2003-766437	20030724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013371	A	20050705	BR 2003-13371	20030724
CN 1684957	A	20051019	CN 2003-823154	20030724
JP 2005539001	T	20051222	JP 2004-525525	20030724
MX 2005001334	A	20050908	MX 2005-1334	20050202
NO 2005001107	A	20050420	NO 2005-1107	20050301
US 20060122234	A1	20060608	US 2005-522873	20051004

PRIORITY APPLN. INFO.:	GB 2002-18040	A	20020802
	GB 2003-10462	A	20030507
	WO 2003-GB3168	W	20030724

OTHER SOURCE(S): MARPAT 140:163701
OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
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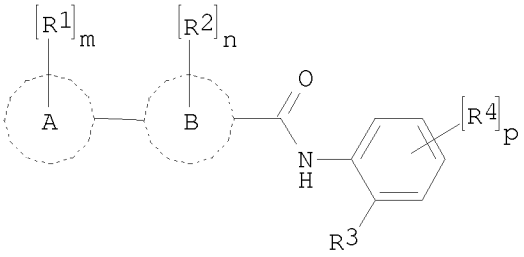

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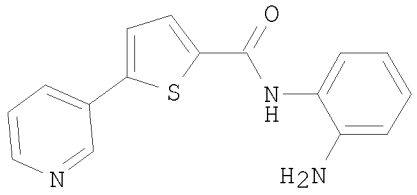

II

AB The title compds. [I; ring A = heterocyclyl; m = 0-4; R1 = OH, halo, CF3, CN; ring B = thienyl, thiadiazolyl, thiazolyl, pyrimidyl, pyrazinyl, pyridazinyl and pyridyl; R2 = halo; n = 0-2; R4 = OH, halo, CF3, CN; p = 0-4; R3 = NH2, OH] or pharmaceutically acceptable salts or in-vivo hydrolysable ester or amide thereof, useful in the treatment of diseases or medical conditions mediated by histone deacetylase such as cancer, were prepared Thus, coupling N-(2-tert-butoxycarbonylaminophenyl)-5-bromothiophene-2-carboxamide with pyridine-3-boronic acid in the presence of Pd(PPh3)4 followed by Boc-group removal afforded II. The compds. I showed IC50 of < 2.5 μM against recombinant human HDAC1 produced in Hi5 insect cells. The pharmaceutical compns. containing the compound I are claimed.

ACCESSION NUMBER: 2003:892611 CAPLUS
DOCUMENT NUMBER: 139:381375
TITLE: Preparation of amides as inhibitors of histone deacetylase
INVENTOR(S): Stokes, Elaine Sophie Elizabeth; Waring, Michael James; Gibson, Keith Hopkinson
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092686	A1	20031113	WO 2003-GB1703	20030417 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2484065	A1	20031113	CA 2003-2484065	20030417	<--
AU 2003226553	A1	20031117	AU 2003-226553	20030417	<--
EP 1501508	A1	20050202	EP 2003-747499	20030417	
EP 1501508	B1	20070221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003009553	A	20050209	BR 2003-9553	20030417	
CN 1662236	A	20050831	CN 2003-814828	20030417	
JP 2005530748	T	20051013	JP 2004-500870	20030417	
AT 354366	T	20070315	AT 2003-747499	20030417	
ES 2280768	T3	20070916	ES 2003-747499	20030417	
IN 2004DN03153	A	20050401	IN 2004-DN3153	20041013	
NO 2004004557	A	20041022	NO 2004-4557	20041022	<--
US 20050222410	A1	20051006	US 2004-512808	20041026	
ZA 2004008666	A	20061025	ZA 2004-8666	20041026	
MX 2004010686	A	20041213	MX 2004-10686	20041027	<--
HK 1072365	A1	20070706	HK 2005-105019	20050615	
PRIORITY APPLN. INFO.:			GB 2002-9715 A	20020427	
			WO 2003-GB1703 W	20030417	

OTHER SOURCE(S): MARPAT 139:381375
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

AB The present invention relates to methods for diagnosing the metastatic potential of hepatocellular carcinoma (HCC) in HCC patients and methods for diagnosing the potential of developing HCC in patients with chronic liver diseases. A computer readable medium, a digital computer, and a system useful for such diagnosis are also provided. Further disclosed are methods for identifying potential therapeutic targets for treating metastasis in HCC patients and methods for preventing HCC in patients with chronic liver diseases. Based on UniGene (UG) database compiled by NCBI, two sets of gene clusters are identified by the gene profiling method: a metastatic gene expression predictor correlated with the diagnosis of metastatic HCC and a HCC gene expression predictor correlated with the diagnosis of patients likely to develop HCC. Among them, osteopontin (OPN) and EpCAM (epithelial cell adhesion mol., also known as TACSTD1, encoded by gene GA733-2) are used as the major therapeutic targets (both sequences claimed but not provided). In addition, the invention provides methods for inhibiting metastasis in HCC patients by suppressing the function of one therapeutic target, osteopontin, and methods for preventing the development of HCC in patients with chronic liver diseases by suppressing the function of one therapeutic target, EpCAM. Pharmaceutical compns. containing agents capable of inhibiting the functions of osteopontin or EpCAM are also disclosed.

ACCESSION NUMBER: 2003:837370 CAPLUS
DOCUMENT NUMBER: 139:333972
TITLE: Gene profiling methods of diagnosing potential for metastasis or developing hepatocellular carcinoma and of identifying therapeutic targets
INVENTOR(S): Wang, Xin Wei; Ye, Qing-hai; Kim, Jin Woo
PATENT ASSIGNEE(S): The Government of the United States of America, as Represented by the Secretary of the Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087766	A2	20031023	WO 2003-US10783	20030404 <--
WO 2003087766	A3	20040729		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003230838	A1	20031027	AU 2003-230838	20030404 <--
CN 1659287	A	20050824	CN 2003-812982	20030404
PRIORITY APPLN. INFO.:			US 2002-370895P P	20020405
			WO 2003-US10783 W	20030404

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

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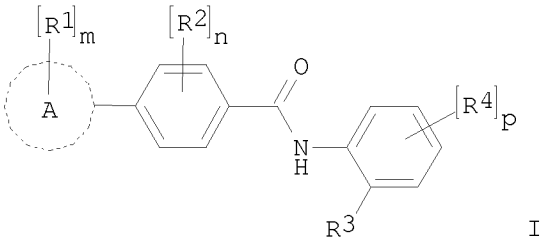

I

AB The title compds. [I; ring A = heterocyclyl; m = 0-4; R1 = OH, halo, CF3, CN, etc.; R2 = halo; n = 0-2; R3 = NH2, OH; R4 = OH, halo, CF3, CN, etc.; p = 0-4; or pharmaceutically-acceptable salts or in-vivo-hydrolysable esters or amides thereof], useful in the treatment of diseases or medical conditions mediated by histone deacetylase such as cancer, were prepared Thus, deprotection of N-(2-tert-butoxycarbonylaminophenyl)-4-(pyridin-4-yl)benzamide (preparation given) with 4M HCl solution in dioxane afforded 46% I.HCl [A = pyridin-4-yl; R2 = H; R3 = NH2; R4 = H]. The compds. I showed IC50 of < 50.0 μM in in vitro enzyme assay of pooled histone deacetylases. Pharmaceutical composition comprising the compound I is claimed.

ACCESSION NUMBER: 2003:837045 CAPLUS
DOCUMENT NUMBER: 139:337995
TITLE: Preparation of benzamides as histone deacetylase inhibitors
INVENTOR(S): Stokes, Elaine Sophie Elizabeth; Roberts, Craig Anthony; Waring, Michael James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087057	A1	20031023	WO 2003-GB1442	20030402 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480356	A1	20031023	CA 2003-2480356	20030402 <--
AU 2003217054	A1	20031027	AU 2003-217054	20030402 <--
AU 2003217054	B2	20090129		
BR 2003008875	A	20050104	BR 2003-8875	20030402
EP 1495002	A1	20050112	EP 2003-712442	20030402
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CN 1642915	A	20050720	CN 2003-807431	20030402
JP 2005533011	T	20051104	JP 2003-584013	20030402
NZ 535143	A	20070427	NZ 2003-535143	20030402
IN 2004DN02719	A	20070302	IN 2004-DN2719	20040915
ZA 2004007502	A	20060426	ZA 2004-7502	20040917
US 20050171103	A1	20050804	US 2004-509941	20041001
MX 2004009689	A	20050111	MX 2004-9689	20041004
NO 2004004444	A	20041228	NO 2004-4444	20041019 <--
US 20090029991	A1	20090129	US 2008-211510	20080916
IN 2008DN07969	A	20090529	IN 2008-DN7969	20080922

PRIORITY APPLN. INFO.:		GB 2002-7863	A	20020405
		GB 2002-29930	A	20021221
		WO 2003-GB1442	W	20030402
		US 2004-509941	B1	20041001

OTHER SOURCE(S): MARPAT 139:337995
OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB This invention relates to the identification and use of gene expression patterns (or profiles or "signatures") which are correlated with (and thus able to discriminate between) cells in various stages and/or grades of breast cancer. Broadly defined, these stages are non-malignant vs. malignant, but may also be viewed as normal vs. atypical (optionally including reactive and pre-neoplastic) vs. cancerous. Another definition of the stages is normal vs. precancerous (e.g. atypical ductal hyperplasia or atypical lobular hyperplasia) vs. cancerous (e.g., carcinoma in situ such as ductal carcinoma in situ (DCIS) and/or lobular carcinoma in situ (LCIS)) vs. invasive (e.g. carcinomas such as invasive ductal carcinoma and/or invasive lobular carcinoma). The signature profiles are identified based upon multiple sampling of reference breast tissue samples from independent cases of breast cancer and provide a reliable set of mol. criteria for identification of cells as being in one or more particular stages and/or grades of breast cancer. The gene CRIP1 is especially prominent and thus may be a potential biomarker for the detection of breast cancer including the pre-malignant stage of atypical ductal hyperplasia. The epithelium-specific transcription factor ELF5 is also noteworthy since it

maps to chromosome 11p13-15, a region subject to frequent loss of heterzygosity and rearrangement in multiple carcinoma including breast cancer.

ACCESSION NUMBER: 2003:836498 CAPLUS
DOCUMENT NUMBER: 139:336483
TITLE: Gene expression profiles for diagnostic and prognostic grading of breast cancer and for drug screening
INVENTOR(S): Erlander, Mark G.; Ma, Xiao-Jun; Sgroi, Dennis C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 28,018.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030198972	A1	20031023	US 2002-211015	20020801 <--
US 20040002067	A1	20040101	US 2001-28018	20011221 <--
US 20030236632	A1	20031225	US 2002-282596	20021028 <--
WO 2003060164	A1	20030724	WO 2002-US41216	20021220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2003060470	A2	20030724	WO 2002-US41347	20021220 <--
WO 2003060470	A3	20031113		
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AU 2002358279	A1	20030730	AU 2002-358279	20021220 <--
AU 2002360769	A1	20030730	AU 2002-360769	20021220 <--
US 20060263806	A1	20061123	US 2006-381353	20060502
US 20060234287	A1	20061019	US 2006-426572	20060626
US 20090092973	A1	20090409	US 2007-946835	20071128

PRIORITY APPLN. INFO.:

US 2001-28018	A2	20011221
US 2002-211015	A2	20020801
US 2002-282596	A	20021028
WO 2002-US41216	W	20021220
WO 2002-US41347	W	20021220

L20 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
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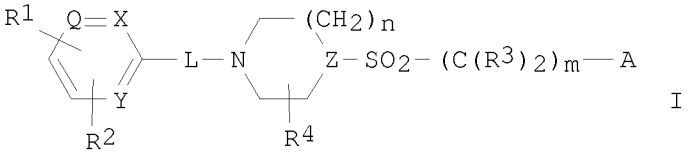

I

AB This invention comprises the novel compds. (I) (wherein n = 1-3, m = 1-4, Q, X, Y = N, CH; Z = N, CH; R1 = (un)substituted amido, acylamido, guandido, and other Zn chelating group, etc.; R2 = H, halo, OH, NH2, NO2, C1-6alkyl, C1-6alkoxy, CF3, di(C1-6alkyl)amino, HONH, naphthalenylsulfonylpyrazinyl; R3 = H aryl; R4 = H, HO, NH2, hydroxyC1-6alkyl, C1-6alkyl, C1-6alkoxy, arylC1-6alkyl, aminocarbonyl, hydroxycarbonyl, aminoC1-6alkyl, aminocarbonylC1-6alkyl, hydroxycarbonylC1-6alkyl, hydroxyaminocarbonyl, C1-6alkoxycarbonyl, C1-6alkylamino, di(C1-6alkyl)aminoC1-6alkyl; L = nul or bivalent radical selected from C1-6alkanediyl, amino, carbonyl or aminocarbonyl; A = aryl, cyclohexyl, heterocyclic derivs.), having histone deacetylase inhibiting enzymic activity; their preparation, compns. containing them and their use as a medicine. For example, 4-(4-(2-naphthylsulfonyl)piperazin-1-yl)-N-hydroxybenzamide in 100% yield was prepared by the hydrogenation of 4-(4-(2-naphthylsulfonyl)piperazin-1-yl)-N-(phenylmethoxy)benzamide (II) in THF by Pd/C as a catalyst. II was prepared from 1,1-dimethylethyl 4-(4-carboxyphenyl)-1-piperazinecarboxylate and O-(phenylmethyl)hydroxylamine hydrochloride in presence of dimethylaminopyridine in CH2Cl2 and diisopropylcarbodiimide, forming 1,1-dimethylethyl 4-[4-[(phenylmethoxy)amino]carbonylphenyl]-1-piperazinecarboxylate which was saponified and subsequently reacted with 2-naphthalenesulfonyl chloride to give the II.

ACCESSION NUMBER: 2003:737742 CAPLUS
DOCUMENT NUMBER: 139:276884
TITLE: Preparation of sulfonyl-derivatives as novel inhibitors of histone deacetylase
INVENTOR(S): Van Emelen, Kristof; Arts, Janine; Backx, Leo Jacobus Jozef; De Winter, Hans Louis Jos; Van Brandt, Sven Franciscus Anna; Verdonck, Marc Gustaaf Celine; Meerpoel, Lieven; Pilatte, Isabelle Noeelle Constance; Poncelet, Virginie Sophie; Dyatkin, Alexey Borisovich
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; et al.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076422	A1	20030918	WO 2003-EP2516	20030311 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2476586	A1	20030918	CA 2003-2476586	20030311	<--
AU 2003218738	A1	20030922	AU 2003-218738	20030311	<--
AU 2003218738	B2	20090108			
EP 1485365	A1	20041215	EP 2003-711982	20030311	<--
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003007575	A	20041221	BR 2003-7575	20030311	<--
CN 1642931	A	20050720	CN 2003-805952	20030311	
CN 100445276	C	20081224			
JP 2005525380	T	20050825	JP 2003-574641	20030311	
NZ 534830	A	20050826	NZ 2003-534830	20030311	
CN 101007803	A	20070801	CN 2007-10005212	20030311	
AT 395343	T	20080515	AT 2003-711982	20030311	
ES 2306859	T3	20081116	ES 2003-711982	20030311	
CN 101450934	A	20090610	CN 2008-10170423	20030311	
MX 2004007775	A	20041015	MX 2004-7775	20040811	<--
IN 2004DN02524	A	20070413	IN 2004-DN2524	20040830	
US 20050113373	A1	20050526	US 2004-507708	20040913	
US 7205304	B2	20070417			
NO 2004004314	A	20041012	NO 2004-4314	20041012	<--
US 20070142393	A1	20070621	US 2007-668906	20070130	
US 20080108601	A1	20080508	US 2007-926759	20071029	

PRIORITY APPLN. INFO.:	US 2002-363799P	P	20020313
	US 2002-420989P	P	20021024
	WO 2002-EP14833	A	20021223
	CN 2003-805921	A3	20030311
	CN 2003-805952	A3	20030311
	WO 2003-EP2516	W	20030311
	US 2004-507708	A3	20040913
	US 2007-668906	A1	20070130

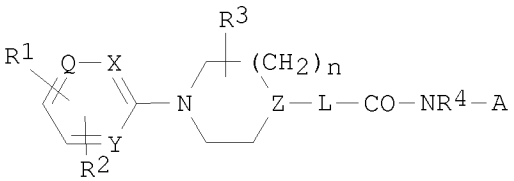
OTHER SOURCE(S): MARPAT 139:276884

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

GI



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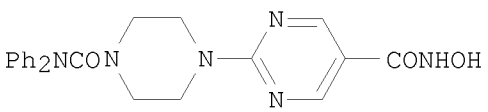

II

AB The title compds. I [Q, X, Y = N, (un)substituted CH; R1 = (un)substituted CONH2, NHCHO, COalkanediylSH, CONHOH, NHCOC:NHOH or other Zn-chelating group; R2 = H, halogen, OH, amino, NO2, alkyl, alkoxy, CF3, dialkylamino, NHOH, naphthalenylsulfonylpyrazinyl; R3 = H, OH, amino, (un)substituted alkyl, alkoxy, CONH2, CO2H; R4 = H, alkyl, cycloalkyl, hydroxyalkyl, alkoxyalkyl, dialkylaminoalkyl, aryl; L = bond, NH, alkanediylamino; A = (un)substituted Ph, cyclohexyl, heterocyclic, heteroaryl, naphthyl; n = 0-3] were prepared for use as histone deacetylase inhibitors in the treatment of proliferative diseases. Thus, the carbamoylpiperazinylpyrimidinecarboxamide II was prepared from piperazine, Et 5-methylsulfonylpyrimidine-2-carboxylate, and Ph2NCOCl in 5 steps. II had pIC50 for inhibition of histone deacetylase of 7.127 and for antiproliferative activity against A2780 cells of 6.114.

ACCESSION NUMBER: 2003:737741 CAPLUS
DOCUMENT NUMBER: 139:261323
TITLE: Preparation of aminocarbonyl derivatives as inhibitors of histone deacetylase
INVENTOR(S): Van Emelen, Kristof; De Winter, Hans Louis Jos; Dyatkin, Alexey Borisovich; Verdonck, Marc Gustaaf Celine; Meerpoel, Lieven
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076421	A1	20030918	WO 2003-EP2511	20030311 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003212335	A1	20030922	AU 2003-212335	20030311 <--
AU 2003212335	B2	20081127		
EP 1485364	A1	20041215	EP 2003-708214	20030311 <--
EP 1485364	B1	20090311		
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CN 1639125	A	20050713	CN 2003-805675	20030311
CN 1642551	A	20050720	CN 2003-805833	20030311
JP 2005523907	T	20050811	JP 2003-574640	20030311
CN 101007803	A	20070801	CN 2007-10005212	20030311
AT 425152	T	20090315	AT 2003-708214	20030311
AT 424395	T	20090315	AT 2003-708216	20030311
CN 101450934	A	20090610	CN 2008-10170423	20030311
ES 2322252	T3	20090618	ES 2003-708216	20030311
ES 2322950	T3	20090702	ES 2003-708214	20030311
ZA 2004007237	A	20050928	ZA 2004-7237	20040909
ZA 2004007235	A	20051004	ZA 2004-7235	20040909

US 20050222414	A1	20051006	US 2004-507271		20040909
US 7501417	B2	20090310			
ZA 2004007232	A	20051006	ZA 2004-7232		20040909
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PRIORITY APPLN. INFO.:			US 2002-363799P	P	20020313
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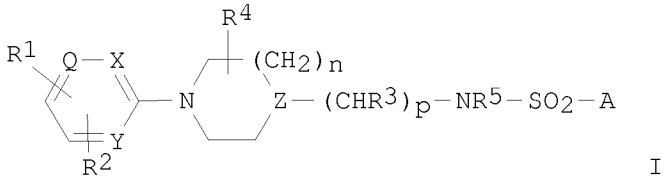
			WO 2002-EP14833	A	20021223
			CN 2003-805921	A3	20030311
			CN 2003-805952	A3	20030311
			WO 2003-EP2511	W	20030311

OTHER SOURCE(S): MARPAT 139:261323
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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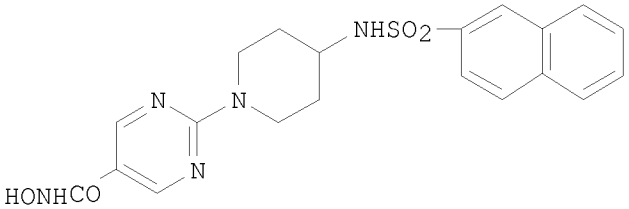

II

AB The title compds. I [Q, X, Y, Z = N, (un)substituted CH; R1 = (un)substituted CONH2, NHCHO, COalkanediylSH, CONHOH, NHCOC:NHOH or other Zn-chelating group; R2 = H, halogen, OH, amino, NO2, alkyl, alkoxy, CF3, dialkylamino, NHOH, naphthalenylsulfonylpyrazinyl; R3 = H, aryl; R4 = H, OH, amino, (un)substituted alkyl, alkoxy, CONH2, CO2H; R5 = H, alkyl, cycloalkyl, hydroxyalkyl, alkoxyalkyl, dialkylaminoalkyl, aryl; A = (un)substituted Ph, cyclohexyl, heterocyclic, heteroaryl, naphthyl; n = 0-3; p = 0-4] were prepared for use as histone deacetylase inhibitors in the treatment of proliferative diseases. Thus, the sulfonylaminopiperidine II was prepared from Et 4-aminopiperidine-1-carboxylate, 2-naphthalenesulfonyl chloride, and Et 2-methylsulfonylpyrimidine-5-carboxylate in 6 steps. II had pIC50 for inhibition of histone deacetylase of 6.523 and for antiproliferative activity against A2780 cells of 5.277.

ACCESSION NUMBER: 2003:737724 CAPLUS
DOCUMENT NUMBER: 139:276820
TITLE: Preparation of sulfonylaminopiperidine derivatives as inhibitors of histone deacetylase
INVENTOR(S): Van Emelen, Kristof; Backx, Leo Jacobus Jozef; Van Brandt, Sven Franciscus Anna; Angibaud, Patrick Rene;

Pilatte, Isabelle Noeelle Constance; Verdonck, Marc Gustaaf Celine; De Winter, Hans Louis Jos

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076401	A1	20030918	WO 2003-EP2517	20030311 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476186	A1	20030918	CA 2003-2476186	20030311 <--
AU 2003209727	A1	20030922	AU 2003-209727	20030311 <--
AU 2003209727	B2	20081016		
EP 1485354	A1	20041215	EP 2003-743874	20030311 <--
EP 1485354	B1	20080528		
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BR 2003007599	A	20050201	BR 2003-7599	20030311
CN 1642912	A	20050720	CN 2003-805951	20030311
CN 1305850	C	20070321		
JP 2005526763	T	20050908	JP 2003-574622	20030311
NZ 534771	A	20060428	NZ 2003-534771	20030311
CN 101007803	A	20070801	CN 2007-10005212	20030311
AT 396971	T	20080615	AT 2003-743874	20030311
ES 2306880	T3	20081116	ES 2003-743874	20030311
CN 101450934	A	20090610	CN 2008-10170423	20030311
TW 280958	B	20070511	TW 2003-92105280	20030312
MX 2004007776	A	20041015	MX 2004-7776	20040811 <--
IN 2004DN02521	A	20070112	IN 2004-DN2521	20040830
US 20050171347	A1	20050804	US 2004-507159	20040908
NO 2004004224	A	20041005	NO 2004-4224	20041005 <--

PRIORITY APPLN. INFO.:	US 2002-363799P	P	20020313
	WO 2002-EP14481	A	20021218
	US 2002-420989P	P	20021024
	WO 2002-EP14833	A	20021223
	CN 2003-805921	A3	20030311
	CN 2003-805952	A3	20030311
	WO 2003-EP2517	W	20030311

OTHER SOURCE(S): MARPAT 139:276820

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 17 OF 26 CAPLUS

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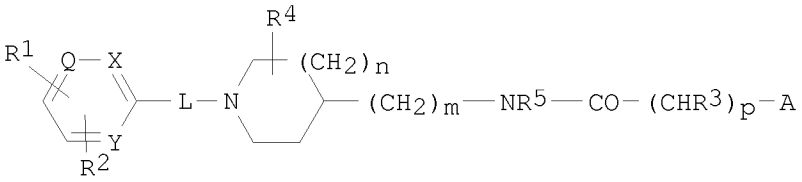

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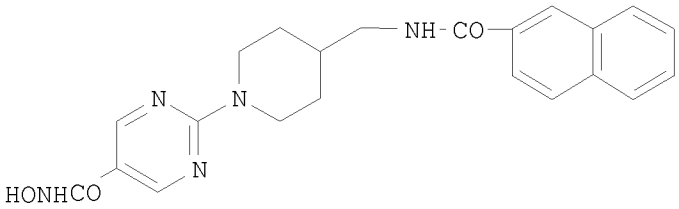

II

AB The title compds. I [Q, X, Y = N, (un)substituted CH; R1 = (un)substituted CONH2, NHCHO, COalkanediylSH, CONHOH, NHCOC:NHOH or other Zn-chelating group; R2 = H, halogen, OH, amino, NO2, alkyl, alkoxy, CF3, dialkylamino, NHOH, naphthalenylsulfonylpyrazinyl; R3 = H, aryl; R4 = H, OH, amino, (un)substituted alkyl, alkoxy, CONH2, CO2H; R5 = H, alkyl, cycloalkyl, hydroxyalkyl, alkoxyalkyl, dialkylaminoalkyl, aryl; L = bond, alkanediyl, alkanediyloxy, amino, CO, CONH; A = (un)substituted Ph, cyclohexyl, heterocyclic, heteroaryl, naphthyl; m = 0, 1; n = 0-3; p = 0-4] were prepared for use as histone deacetylase inhibitors in the treatment of proliferative diseases. Thus, the naphthoylaminomethylpiperidine II was prepared from 2-naphthoyl chloride and 4-aminomethyl-1-tert.-butxycarbonylpiperidine in 6 steps. II had pIC50 for inhibition of histone deacylase of 8.103 and for antiproliferative activity against A2780 cells of 6.881.

ACCESSION NUMBER: 2003:737718 CAPLUS
DOCUMENT NUMBER: 139:261180
TITLE: Preparation of carbonylamino derivatives as inhibitors of histone deacetylase
INVENTOR(S): Van Emelen, Kristof; Verdonck, Marc Gustaaf Celine; Van Brandt, Sven Franciscus Anna; Backx, Leo Jacobus Jozef
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076395	A1	20030918	WO 2003-EP2512	20030311 <--

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476067		A1	20030918	CA 2003-2476067	20030311 <--
AU 2003212336		A1	20030922	AU 2003-212336	20030311 <--
AU 2003212336		B2	20081218		
EP 1485348		A1	20041215	EP 2003-708215	20030311 <--
EP 1485348		B1	20080611		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007607		A	20041221	BR 2003-7607	20030311 <--
JP 2005519950		T	20050707	JP 2003-574617	20030311
CN 1642907		A	20050720	CN 2003-805884	20030311
CN 100503599		C	20090624		
NZ 534831		A	20070126	NZ 2003-534831	20030311
CN 101007803		A	20070801	CN 2007-10005212	20030311
AT 398105		T	20080715	AT 2003-708215	20030311
ES 2306858		T3	20081116	ES 2003-708215	20030311
CN 101450934		A	20090610	CN 2008-10170423	20030311
IN 2004DN02531		A	20070413	IN 2004-DN2531	20040831
MX 2004008796		A	20041126	MX 2004-8796	20040910 <--
US 20050148613		A1	20050707	US 2004-507788	20040913
US 7446109		B2	20081104		
NO 2004004146		A	20040930	NO 2004-4146	20040930 <--
US 20090042920		A1	20090212	US 2008-233977	20080919
PRIORITY APPLN. INFO.:				US 2002-363799P	P 20020313
				WO 2002-EP14074	A 20021210
				US 2002-420989P	P 20021024
				WO 2002-EP14833	A 20021223
				CN 2003-805921	A3 20030311
				CN 2003-805952	A3 20030311
				WO 2003-EP2512	W 20030311
				US 2004-507788	A3 20040913

OTHER SOURCE(S): MARPAT 139:261180
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
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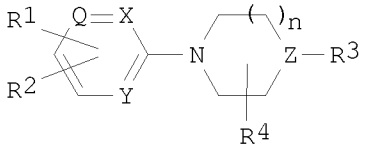

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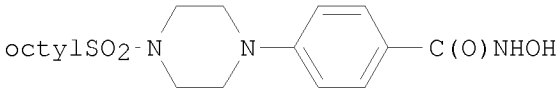

II

AB This invention comprises aryl and heteroaryl hydroxamic acids (shown as I; variables defined below; e.g. II) having histone deacetylase inhibiting enzymic activity; their preparation, compns. containing them and their use as a medicine. Compds. I show excellent in-vitro histone deacetylase inhibiting enzymic activity, have advantageous properties with regard to cellular activity and specific properties with regard to inhibition of cell cycle

progression at both G1 and G2 checkpoints (p21 induction capacity), and show good metabolic stability and high bioavailability and more particular show oral bioavailability. They can also be used for detection and identification of histone deacetylase. General synthetic procedures and characterization data for twenty-seven I are included; also, prepns. of 12 intermediates are included. For example, a 59 % yield of 2-[4-(dimethylaminosulfonyl)piperazin-1-yl]pyrimidine-5-carbohydroxamic acid was obtained by removing the O-tetrahydropyranyl group of its ester using trifluoroacetic acid; the ester was prepared in 61 % yield from N'-(ethylcarbonimidoyl)-N,N-dimethyl-1,3-propanediamine monohydrochloride, sodium 2-[4-(dimethylaminosulfonyl)piperazin-1-yl]pyrimidine-5-carboxylate, O-(tetrahydro-2H-pyran-2-yl)hydroxylamine, and 1-hydroxy-1H-benzotriazole in CH2Cl2/THF. The sodium salt was obtained by base hydrolysis of the Et ester; the ester was prepared in 73 % yield from Et 2-(piperazin-1-yl)pyrimidine-5-carboxylate and dimethylsulfamoyl chloride; Et 2-(piperazin-1-yl)pyrimidine-5-carboxylate was obtained in <96 % yield from Et 2-(4-benzylpiperazin-1-yl)pyrimidine-5-carboxylate by hydrogenation using Pd/C; the benzyl derivative was obtained from 1-(phenylmethyl)piperazine, (135 mL) was added gradually to a solution of potassium carbonate (0.18 mol) and 2-(methylsulfonyl)-5-pyrimidinecarboxylic acid Et ester, K2CO3 in MeCN. For I: n is 0-3; Q, X and Y are N or C; Z is N or CH; R1 is -C(O)NR5R6, -N(H)C(O)R7, -C(O)-C1-6alkanediylSR7, -NR8C(O)N(OH)R7, -NR8C(O)C1-6alkanediylSR7, -NR8C(O)C:N(OH)R7 or another Zn-chelating-group; R2 is H, halo, hydroxy, amino, nitro, C1-6alkyl, C1-6alkyloxy, trifluoromethyl, di(C1-6-alkyl)amino, hydroxyamino or naphthalenylsulfonylpyrazinyl. R3 is H, C1-6-alkyl, arylC2-6alkenediyl, furanylcarbonyl, naphthalenylcarbonyl, -C(O)phenylR9, C1-6alkylaminocarbonyl, aminosulfonyl, arylaminosulfonyl, aminosulfonylamino, di(C1-6-alkyl)aminosulfonylamino, arylaminosulfonylamino, aminosulfonylaminoC1-6-alkyl, di(C1-6-alkyl)aminosulfonylaminoC1-6-alkyl, arylaminosulfonylaminoC1-6alkyl, di(C1-6-alkyl)aminoC1-6alkyl, C11-12-alkylsulfonyl, di(C1-6-alkyl)aminosulfonyl, trihaloC1-6-alkylsulfonyl, di(aryl)C1-6alkylcarbonyl, thiophenylC1-6alkylcarbonyl, pyridinylcarbonyl or arylC1-6alkylcarbonyl. R4 is H, hydroxy, amino, hydroxyC1-6alkyl, C1-6alkyl, C1-6alkyloxy, arylC1-6alkyl, aminocarbonyl, hydroxycarbonyl, aminoC1-6-alkyl, aminocarbonylC1-6-alkyl, hydroxycarbonylC1-6-alkyl, hydroxyaminocarbonyl, C1-6-alkyloxycarbonyl, C1-6-alkylaminoC1-6-alkyl or di(C1-6-alkyl)aminoC1-6-alkyl; when R3 and R4 are present on the same C atom, R3 and R4 together may form -C(O)-NH-CH2-NR10- wherein R10 is H or aryl; when R3 and R4 are present on adjacent C atoms, R3 and R4 together may form :CH-CH:CH-CH: ; addnl. details are given in the claims.

ACCESSION NUMBER: 2003:737586 CAPLUS
DOCUMENT NUMBER: 139:261308
TITLE: Preparation of aryl and heteroaryl hydroxamic acids as inhibitors of histone deacetylase for treating proliferative diseases
INVENTOR(S): Van Emelen, Kristof; Verdonck, Marc Gustaaf Celine; Van Brandt, Sven Franciscus Anna; Angibaud, Patrick Rene; Meerpoel, Lieven; Dyatkin, Alexey Borisovich
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003075929	A1	20030918	WO 2003-EP2515		20030311	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
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CA 2476065	A1	20030918	CA 2003-2476065		20030311	<--
AU 2003218737	A1	20030922	AU 2003-218737		20030311	<--
AU 2003218737	B2	20080410				
EP 1485099	A1	20041215	EP 2003-711981		20030311	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR 2003007624	A	20050111	BR 2003-7624		20030311	
CN 1639125	A	20050713	CN 2003-805675		20030311	
CN 1642551	A	20050720	CN 2003-805833		20030311	
JP 2005525379	T	20050825	JP 2003-574203		20030311	
NZ 534832	A	20050930	NZ 2003-534832		20030311	
CN 101007803	A	20070801	CN 2007-10005212		20030311	
AT 425152	T	20090315	AT 2003-708214		20030311	
AT 424395	T	20090315	AT 2003-708216		20030311	
CN 101450934	A	20090610	CN 2008-10170423		20030311	
ES 2322252	T3	20090618	ES 2003-708216		20030311	
ES 2322950	T3	20090702	ES 2003-708214		20030311	
IN 2004DN02537	A	20070112	IN 2004-DN2537		20040831	
ZA 2004007237	A	20050928	ZA 2004-7237		20040909	
ZA 2004007235	A	20051004	ZA 2004-7235		20040909	
ZA 2004007232	A	20051006	ZA 2004-7232		20040909	
ZA 2004007233	A	20051006	ZA 2004-7233		20040909	
ZA 2004007234	A	20051006	ZA 2004-7234		20040909	
ZA 2004007236	A	20051006	ZA 2004-7236		20040909	
MX 2004008797	A	20041126	MX 2004-8797		20040910	<--
US 20050096468	A1	20050505	US 2004-507785		20040913	
NO 2004004113	A	20040928	NO 2004-4113		20040928	<--
PRIORITY APPLN. INFO.:			US 2002-363799P	P	20020313	
			US 2002-420989P	P	20021024	
			WO 2002-EP14833	A	20021223	
			CN 2003-805921	A3	20030311	
			CN 2003-805952	A3	20030311	
			WO 2003-EP2515	W	20030311	

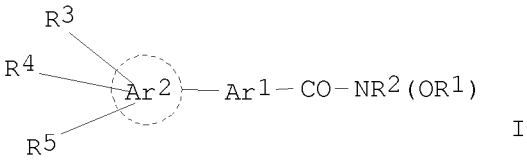
OTHER SOURCE(S): MARPAT 139:261308
OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB A series of N-hydroxy-3-phenyl-2-propenamides were prepared as novel inhibitors of human histone deacetylase (HDAC). These compds. were potent enzyme inhibitors, having IC50s < 400 nM in a partially purified enzyme assay. However, potency in cell growth inhibition assays ranged over 2 orders of magnitude in two human carcinoma cell lines. Selected compds. having cellular IC50 < 750 nM were tested for maximum tolerated dose (MTD) and for efficacy in the HCT116 human colon tumor xenograft assay. Four compds. having an MTD ≥ 100 mg/kg were selected for dose-response studies in the HCT116

xenograft model. One compound, NVP-LAQ824, had significant dose-related activity in the HCT116 colon and A549 lung tumor models, high MTD, and low gross toxicity. On the basis, in part, of these properties, NVP-LAQ824 has entered human clin. trials in 2002.

ACCESSION NUMBER: 2003:726751 CAPLUS
DOCUMENT NUMBER: 139:350601
TITLE: N-Hydroxy-3-phenyl-2-propenamides as Novel Inhibitors of Human Histone Deacetylase with in Vivo Antitumor Activity: Discovery of (2E)-N-Hydroxy-3-[4-[[(2-hydroxyethyl)[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]-2-propenamide (NVP-LAQ824)
AUTHOR(S): Remiszewski, Stacy W.; Sambucetti, Lidia C.; Bair, Kenneth W.; Bontempo, John; Cesarz, David; Chandramouli, Nagarajan; Chen, Ru; Cheung, Min; Cornell-Kennon, Susan; Dean, Karl; Diamantidis, George; France, Dennis; Green, Michael A.; Howell, Kobporn Lulu; Kashi, Rina; Kwon, Paul; Lassota, Peter; Martin, Mary S.; Mou, Yin; Perez, Lawrence B.; Sharma, Sushil; Smith, Troy; Sorensen, Eric; Taplin, Francis; Trogani, Nancy; Versace, Richard; Walker, Heather; Weltchek-Engler, Susan; Wood, Alexander; Wu, Arthur; Atadja, Peter
CORPORATE SOURCE: Oncology Research, Novartis Institute for Biomedical Research, East Hanover, NJ, 07936-1080, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(21), 4609-4624
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:350601
OS.CITING REF COUNT: 72 THERE ARE 72 CAPLUS RECORDS THAT CITE THIS RECORD (72 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB The present invention is directed to certain bicyclic hydroxamic acids (shown as I; variables defined below; e.g. N-hydroxy-4-(3-methoxyphenyl)benzamide) that are inhibitors of histone deacetylase (no data) and are therefore useful in the treatment of diseases associated with histone deacetylase activity. Pharmaceutical compns. (5 examples) and processes for preparing these compds. are also disclosed. For I: Rl is H or alkyl; R2 is H; Arl is phenylene or a six membered heteroarylene ring containing one or two N ring atoms, the rest of the ring atoms being C; wherein said Arl group is (un)substituted with one or two alkyl, halo, hydroxy, alkoxy, haloalkoxy, or haloalkyl; Ar2 is aryl, benzimidazol-2-yl, cycloalkyl or heterocycloalkyl; R3 is H, alkyl, halo, hydroxy, or alkoxy.

R4 and R5 = H, alkyl, halo, haloalkyl, nitro, cyano, carboxy, carboxyalkyl, alkoxycarbonyl, (un)substituted Ph, (un)substituted heteroaryl, (un)substituted heterocycloalkyl, cycloalkyl, heterocycloaminoalkyl, -X-R6, or -(C1-6alkylene)-Y-R7 where X and Y = -O-, -S-, -SO-, -SO2-, -NR8-, -CO-, -NR9CO-, -CONR10-, -NR11SO2-, -SO2NR12-, -NHC(O)O-, -OC(O)NH-, -NR13CONR14-, or -NR15SO2NR16-; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, .apprx.20 example prepns. of I are included.

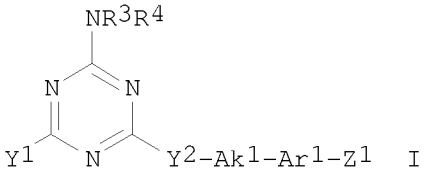
ACCESSION NUMBER: 2003:633649 CAPLUS
DOCUMENT NUMBER: 139:179896
TITLE: Preparation of biphenyl hydroxamic acids as inhibitors of histone deacetylase useful against cancer
INVENTOR(S): Leahy, Ellen M.; Verner, Erik J.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066579	A2	20030814	WO 2003-US3846	20030207 <--
WO 2003066579	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473505	A1	20030814	CA 2003-2473505	20030207 <--
AU 2003215112	A1	20030902	AU 2003-215112	20030207 <--
US 20040091951	A1	20040513	US 2003-360534	20030207 <--
EP 1472216	A2	20041103	EP 2003-710929	20030207 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005517007	T	20050609	JP 2003-565954	20030207
US 20060058553	A1	20060316	US 2005-503508	20051012

PRIORITY APPLN. INFO.: US 2002-355700P P 20020207
WO 2003-US3846 W 20030207

OTHER SOURCE(S): MARPAT 139:179896
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chemical bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chemical bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chemical bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of preparation are not claimed, hundreds of example prepns. are included.

ACCESSION NUMBER: 2003:242160 CAPLUS
DOCUMENT NUMBER: 138:271705
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane

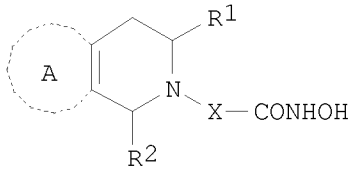
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024448	A2	20030327	WO 2002-US29017	20020912 <--
WO 2003024448	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465978	A1	20030327	CA 2002-2465978	20020912 <--
AU 2002327627	A1	20030401	AU 2002-327627	20020912 <--
AU 2002327627	B2	20060914		
EP 1429765	A2	20040623	EP 2002-763627	20020912 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012510	A	20040824	BR 2002-12510	20020912 <--
CN 1578663	A	20050209	CN 2002-822690	20020912
JP 2005508905	T	20050407	JP 2003-528544	20020912
JP 3795044	B2	20060712		
IN 2004KN00257	A	20061110	IN 2004-KN257	20040225
MX 2004002397	A	20041202	MX 2004-2397	20040312 <--
JP 2005255683	A	20050922	JP 2005-80310	20050318
AU 2006252047	A9	20070111	AU 2006-252047	20061214
AU 2006252047	A1	20070111		

PRIORITY APPLN. INFO.:	US 2001-322402P	P	20010914
	US 2002-391728P	P	20020626
	AU 2002-327627	A3	20020912
	JP 2003-528544	A3	20020912
	WO 2002-US29017	W	20020912

OTHER SOURCE(S): MARPAT 138:271705
OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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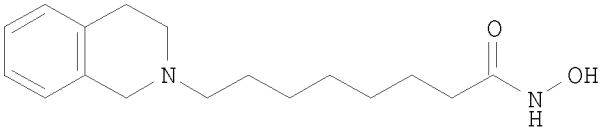


II

AB The title compds. [I; A ring = (un)substituted Ph, indolyl; R1, R2 = H, alkyl, CF3, aryl; X = C5-7 alkylene wherein one CH2 group may be replaced by O or S atom, or wherein 2 carbon atoms from C:C bond, and which is (un)substituted by 1-2 substituents selected form alkyl, halo] with histone deacetylase (HDAC) inhibitor activity and anti-cell proliferation activity, were prepared Thus, amidation of ω-bromooctanoic acid with O-benzylhydroxylamine.HCl (78% yield) followed N-alkylation of 1,2,3,4-tetrahydroisoquinoline with the resulting bromide (84%), and deprotection by hydrogenation (98%) afforded II which showed 54% HDAC inhibition at 10 nM.

ACCESSION NUMBER: 2002:504787 CAPLUS
DOCUMENT NUMBER: 137:78864
TITLE: Preparation of fused tetrahydropyridines as cell proliferation inhibitors
INVENTOR(S): Georges, Guy; Grossmann, Adelbert; Mundigl, Olaf; Von der Saal, Wolfgang; Sattelkau, Tim
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051842	A1	20020704	WO 2001-EP15390	20011221 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431471	A1	20020704	CA 2001-2431471	20011221 <--
AU 2002226397	A1	20020708	AU 2002-226397	20011221 <--
AU 2002226397	B2	20061005		
EP 1353921	A1	20031022	EP 2001-995721	20011221 <--
EP 1353921	B1	20060419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016402	A	20031111	BR 2001-16402	20011221 <--

JP 2004516325	T	20040603	JP 2002-552937		20011221 <--
HU 2004000554	A2	20040628	HU 2004-554		20011221 <--
NZ 526189	A	20041029	NZ 2001-526189		20011221 <--
CN 1213046	C	20050803	CN 2001-821205		20011221
RU 2276140	C2	20060510	RU 2003-122190		20011221
AT 323700	T	20060515	AT 2001-995721		20011221
ES 2261519	T3	20061116	ES 2001-995721		20011221
ZA 2003004264	A	20040830	ZA 2003-4264		20030530 <--
KR 836545	B1	20080610	KR 2003-708028		20030616
NO 2003002830	A	20030804	NO 2003-2830		20030620 <--
MX 2003005713	A	20031006	MX 2003-5713		20030620 <--
IN 2003CN00985	A	20050422	IN 2003-CN985		20030620
US 20040053960	A1	20040318	US 2003-451757		20030623 <--
US 6800638	B2	20041005			
BG 107935	A	20040831	BG 2003-107935		20030623 <--
PRIORITY APPLN. INFO.:			EP 2000-128487	A	20001223
			WO 2001-EP15390	W	20011221

OTHER SOURCE(S): MARPAT 137:78864
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB Compds. having the formula (R4-L2)nL1-CR1R2R3 or therapeutically acceptable salts thereof [wherein n = 1, 2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, (alkylene)-C(O)N(R5)-(alkylene), (alkylene)-O-(alkylene) (wherein each group is drawn with its left-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to the carbon substituted with R1, R2, and R3); L2 =, C2 alkenylene, O, S, SO2, OC(O)NR5, N(R6)C(O), C(O)N(R6), SO2N(R6), N(R6)SO2, C:N-O, N(R6)C(O)N(R6), and C(O)N(R6)N(R6)C(O) (wherein each group is drawn with its left-hand end being the end which attaches to R4, and its right-hand end being the end which attaches to L1); R1 is selected from the group consisting of alkanoyl, alkoxycarbonyl, CONH2, CO2H, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of oxazolyl, dihydrooxazolyl, oxadiazolyl, and tetrazolyl); R2 = R3 = HO; or R2 and R3 together are oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclylalkyl; R5, R6 = H, alkyl, aryl, arylalkyl; or R5 and R6, together with the nitrogen atom to which they are attached, form a heterocycle selected from the group consisting of (un)substituted morpholinyl, piperazinyl, piperidinyl, and thiomorpholinyl], which are histone deacetylase (HDAC) inhibitors (no data), are prepared These compds. are used for the treatment of diseases, possibly e.g. several human cancers associated with malfunction in histone deacetylases. Thus, a mixture of 9,9,9-trifluoro-8-oxononanoic acid (50 mg, 0.22 mmol), HOBt (30 mg, 0.22 mmol), carbodiimide PS resin (720 mg), and 4-phenyl-1,3-thiazol-2-amine (0.27 mmol) in DMF (5 mL) at room temperature was agitated in a Quest 210 parallel synthesizer for 18 h, treated with trisamine PS resin (220 mg), and agitated for 2 h. The solution was decanted, the resin was rinsed with dichloromethane, and the combined solns. were concentrated, followed by purification using preparative HPLC with a gradient system of 0 to 95 % over 10 min of MeCN (containing 0.1% CF3CO2H) in water to give 9,9,9-trifluoro-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide.
ACCESSION NUMBER: 2002:449627 CAPLUS
DOCUMENT NUMBER: 137:33319
TITLE: Preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivatives and related compounds as inhibitors

of histone deacetylase

INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046129	A2	20020613	WO 2001-US50931	20011026 <--
WO 2002046129	A3	20030116		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020103192	A1	20020801	US 2001-808389	20010314 <--
AU 2002043402	A	20020618	AU 2002-43402	20011026 <--

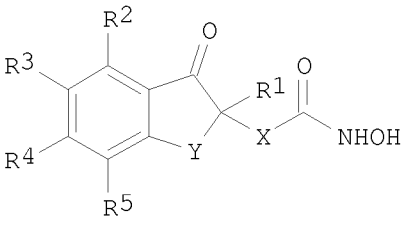
PRIORITY APPLN. INFO.:
US 2000-697387 A 20001026
US 2001-808389 A 20010314
WO 2001-US50931 W 20011026

OTHER SOURCE(S): MARPAT 137:33319

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L20 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

GI



I

AB The title tetralones [I; R1 = H, alkyl, CO2H, CO2alkyl; R2-R5 = H, halo, alkyl, etc.; or R2 and R3 together or R3 and R4 together or R4 and R5 together, resp., can form alkylenedioxy ring or alkylene chain; Y = CH2CH2; X = (un)saturated alkylene which can be (un)branched or interrupted by cycloalkyl ring] having histone deacetylase (HDAC) inhibitory activity which is useful in cancer treatment, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = Me; R2-R5 = H; Y = (CH2)2; X = CH:CH(CH2)3] (starting with 2-methyl-1-tetralone and Me 6-oxohexanoate) which showed HDAC inhibitory effect of 60% at 10 nM vs. suberanilohydroxamic acid (SAHA) demonstrating 42% inhibition at 10 nM, was given.

ACCESSION NUMBER: 2002:409264 CAPLUS

DOCUMENT NUMBER: 136:401544
TITLE: Preparation of (1-oxo-1,2,3,4-tetrahydronaphthalen-2-yl)alkanoic acid hydroxamides as histone deacetylase (HDAC) inhibitors
INVENTOR(S): Georges, Guy; Grossmann, Adelbert; Sattelkau, Tim; Schaefer, Wolfgang; Tibes, Ulrich
PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020065282	A1	20020530	US 2001-6173	20011204 <--
US 6531472	B2	20030311		
CA 2430355	A1	20020613	CA 2001-2430355	20011206 <--
WO 2002046144	A1	20020613	WO 2001-EP14311	20011206 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
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AU 2002016074	A	20020618	AU 2002-16074	20011206 <--
AU 2002216074	B2	20060105		
EP 1349830	A1	20031008	EP 2001-999552	20011206 <--
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BR 2001015988	A	20040113	BR 2001-15988	20011206 <--
JP 2004515488	T	20040527	JP 2002-547883	20011206 <--
JP 4091431	B2	20080528		
HU 2004000579	A2	20040628	HU 2004-579	20011206 <--
NZ 526051	A	20041224	NZ 2001-526051	20011206 <--
RU 2288220	C2	20061127	RU 2003-119658	20011206
CN 100340545	C	20071003	CN 2001-819734	20011206
ZA 2003004262	A	20040830	ZA 2003-4262	20030530 <--
IN 2003CN00853	A	20050422	IN 2003-CN853	20030602
MX 2003004947	A	20030910	MX 2003-4947	20030603 <--
NO 2003002531	A	20030604	NO 2003-2531	20030604 <--
BG 107889	A	20040630	BG 2003-107889	20030606 <--
HK 1060875	A1	20080222	HK 2004-103910	20040601

PRIORITY APPLN. INFO.: EP 2000-126820 A 20001207
WO 2001-EP14311 W 20011206

OTHER SOURCE(S): MARPAT 136:401544
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L20 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB Methods of modulating binding between an α/β protein and a binding partner are provided, along with methods of identifying modulators and their use. The methods comprise contacting the α/β protein with an allosteric effector mol. which binds to an allosteric site of the α/β protein and alters the conformation of the α/β protein such that the binding of the α/β protein to a binding partner is modulated. Thus, a primary screen for inhibitors of

the classical pathway complement protein C2 and alternative pathway complement protein factor B involving modifications of standard hemolytic CH50 and AH50 assays in a microtiter plate format was carried out. Lead compds. identified in this screen were submitted to a second screening using purified complement proteins to determine which stage of complement activation the compds. inhibited. Five diaryl sulfides were identified. Numerous other assays, e.g., to identify inhibitors of integrin αEβy interaction with E cadherin, inhibitors of Rac1 GDP-GTP exchange, or antagonists of E. coli 6-hydroxymethyl-7,8-dihydropterin pyrophosphokinase, were conducted as well.

ACCESSION NUMBER: 2002:293978 CAPLUS
DOCUMENT NUMBER: 136:337341
TITLE: Materials and methods to modulate ligand binding/enzymic activity of α/β proteins containing an allosteric regulatory site
INVENTOR(S): Stauton, Donald E.
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002031511	A2	20020418	WO 2001-US32047	20011012 <--
WO 2002031511	A3	20030313		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425581	A1	20020418	CA 2001-2425581	20011012 <--
AU 2002013196	A	20020422	AU 2002-13196	20011012 <--
US 20030088061	A1	20030508	US 2001-976935	20011012 <--
EP 1325341	A2	20030709	EP 2001-981560	20011012 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004511496	T	20040415	JP 2002-534845	20011012 <--
MX 2003003207	A	20040326	MX 2003-3207	20030411 <--

PRIORITY APPLN. INFO.: US 2000-239750P P 20001012
WO 2001-US32047 W 20011012

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L20 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AB A symposium report. Cyl-2, WF-3161, and trapoxin A are inhibitors of the root growth of lettuce seedlings, cell growth in mouse P-388 leukemia cells, and mammalian histone deacetylase, resp. Unique amino acids (2S,9S)-2-amino-8-oxo-9,10-epoxydecanoic acid (L-Aoe) and pipecolic acid (Pip) are found within these cyclic tetrapeptide inhibitors : cyclo[L-Aoe-D-Tyr(Me)-L-Ile-L-Pip] (Cyl-2), cyclo(L-Aoe-D-Phe-L-Leu-L-Pip) (WF-3161), and cyclo(L-Aoe-L-Phe-L-Phe-D-Pip) (Trapoxin A). In order to study the effects of Pip on the inhibitory activity of these peptides toward histone deacetylase, the authors replaced it with

various imino acids, such as 1,2,3,4-tetrahydroisoquinoline
-3-carboxylic acid (Tic), hexamethyleneimine carboxylic acid (6Mic), and
heptamethyleneimine carboxylic acid (7Mic), to obtain
cyclo[L-Asu(NHOH)-D-Tyr(Me)-L-Ile-Xaa] (Xaa = Tic, 6Mic, 7Mic).

ACCESSION NUMBER: 1999:353258 CAPLUS
DOCUMENT NUMBER: 131:130254
TITLE: Synthesis of cyclic tetrapeptides containing non-natural imino acids
AUTHOR(S): Nishino, Hidekazu; Tomizaki, Kin-Ya; Kato, Tamaki; Nishino, Norikazu; Yoshida, Minoru; Komatsu, Yasuhiko
CORPORATE SOURCE: Department of Applied Chemistry, Faculty of Engineering, Kyushu Institute of Technology, Kitakyushu, 804-8550, Japan
SOURCE: Peptide Science (1999), Volume Date 1998, 35th, 189-192
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Protein Research Foundation
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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E2 1 BERTRAND LAURETTE/AU
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E4 14 BERTRAND LEON/AU
E5 1 BERTRAND LEROUX/AU
E6 1 BERTRAND LEWIS/AU
E7 15 BERTRAND LIONEL/AU
E8 3 BERTRAND LOIC/AU
E9 2 BERTRAND LORETO DAZA/AU
E10 2 BERTRAND LOUIS/AU
E11 2 BERTRAND LOUISE/AU
E12 1 BERTRAND LU ANN L/AU

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E2 21 LEBLOND B/AU
E3 32 --> LEBLOND BERTRAND/AU
E4 17 LEBLOND BOURGET NATHALIE/AU
E5 20 LEBLOND C/AU
E6 204 LEBLOND C P/AU
E7 23 LEBLOND CARL/AU
E8 6 LEBLOND CARL R/AU
E9 17 LEBLOND CHARLES P/AU
E10 13 LEBLOND CHARLES PHILIPPE/AU
E11 1 LEBLOND CHAS P/AU
E12 4 LEBLOND CLAUDE/AU

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=> e beausoleil eric/au
E1 3 BEAUSOLEIL DELGADO IRENE/AU
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E4 1 BEAUSOLEIL F/AU

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E6           5     BEAUSOLEIL HANS ERICK/AU
E7           2     BEAUSOLEIL HARRIS/AU
E8           4     BEAUSOLEIL I/AU
E9           7     BEAUSOLEIL IRENE/AU
E10          2     BEAUSOLEIL J/AU
E11          1     BEAUSOLEIL JAMES/AU
E12          2     BEAUSOLEIL MARIE HELENE/AU

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=> s l22 or l23
L24         26 L22 OR L23

=> s l24 or l21
L25         49 L24 OR L21

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         30807 HISTONES
         48712 HISTONE
                 (HISTONE OR HISTONES)
L26          1 L25 AND HISTONE

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AN   2005:516308   BIBLIOGRAPHIC DATA NOT AVAILABLE
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L27          5 L25 AND TETRAHYDRO?

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YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L27  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2009 ACS on STN
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of formulas I and II, to methods and compns. that affect the GTP-binding activity of members of the Rho family GTPases, preferably Rac GTPases (Rac1, Rac1b, Rac2 and/or Rac3). Compds. of formulas I and II wherein R1, R4 and R12 are independently H, C1-6 alkyl, C2-6 alkenyl and C2-6 alkynyl; R2-R3 and R9-R11 are independently H, OH and C1-6 alkoxy; R2R3, R9R10 and/or R10R11 may be fused together to form -O(CH2)1-6O- linked to the adjacent cycle; A is N, N+, N+-C1-6 alkyl and N+-arylalkyl; B is absent, CH, CH2, C(-Me), CH(-Me), C(-benzyl) and C(-phenyl); D is absent, CH and CH2; with the proviso that at least one of B and D is present; E is C, CH and CH2; F and G are independently CH and CH2; R13-R14, R5 and R16 are independently H, OH and C1-6 alkoxy; R13R14 and/or R16R5 may be fused together to form -O(CH2)1-6O- linked to the adjacent cycle; R15 and R6-R8 are independently H, C1-6 alkyl, C2-6

alkylene and C2-6 alkynyl; H is N, N+, N+-C1-6 alkyl and N+-benzyl; and their tautomers, optical and geometrical isomers, racemates, salts, hydrates and mixts. thereof, are claimed. Example compound III was prepared by demethylation of berberine chloride. All the invention compds. were evaluated for their Rac GTPases inhibitory activity. From the assay, it was determined that III exhibited the inhibition of 100 % against all of the Rac1, Rac1b and Cdc42;.

ACCESSION NUMBER: 2009:45500 CAPLUS
DOCUMENT NUMBER: 150:121499
TITLE: Isoquinoline derivatives as Rac GTPases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric ; Chauvignac, Cedric; Taverne, Thierry; Picard, Virginie; De Oliveira, Catherine; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.
SOURCE: Eur. Pat. Appl., 46pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2014651	A1	20090114	EP 2007-301230	20070712
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
WO 2009007457	A2	20090115	WO 2008-EP59134	20080711
WO 2009007457	A3	20090326		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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PRIORITY APPLN. INFO.: EP 2007-301230 A 20070712
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
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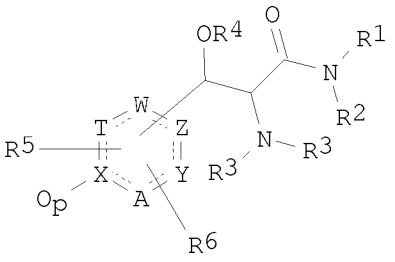

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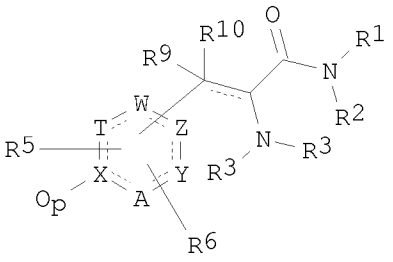

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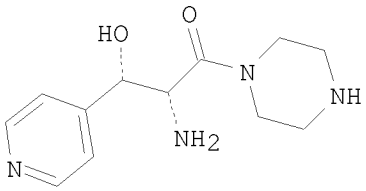

III

AB Amides I [R1 = H, alkyl; R2 = H, alkyl; or NR1R2 = (un)saturated (un)substituted 4-7 membered ring; each R3 = independently H, CHO and derivs., SO2H and derivs., COOH and derivs., (un)substituted cyclo/alkyl, hetero/aryl, etc.; R4 = H, alkyl, CO-alkyl; T = (CH2)m; A = (CH2)n; Z = (CH2)q; m, n, q = independently 0-3 with the proviso that the sum of m, n, and q = 2-3; s = 0 or when X = N, then s = 0-1; W, X, Y = independently CH, CR5, CR6, N, O, S; R5, R6 = independently H, halo, alkyl, alkoxy, Ph; or R5 and R6 together with the atoms to which they are attached jointly form a carbocyclic or a heterocyclic ring; provided that certain compds. are not included] and II [R9 = NOR11 and R10 does not exist; R9 = OR11 and R10 = alkyl; when there is a double bond between C's 2 ans 3 of the propionic acid moiety, then R9 = H, alkyl; and R10 does not exist], and their pharmaceutically acceptable salts, and their related derivs., having analgesic and/or immunostimulant activity in mammals, were prepared Thus, reacting Me isocyanoacetate with piperazine-1-carboxylic acid tert-Bu ester, followed by cyclization with pyridine-4-carboxaldehyde, and treatment with 37% HCl in MeOH for 3 h at 50° gave threo-III•3HCl. Selected I showed analgesic activity in the rat Chung model.

ACCESSION NUMBER: 2006:768556 CAPLUS
DOCUMENT NUMBER: 145:211031
TITLE: Preparation of 3-hetero(aryl)-3-hydroxy-2-aminopropionic acid amides and related compounds having analgesic and/or immunostimulant activity
INVENTOR(S): Leblond, Bertrand; Beausolell, Eric; Taverne, Thierry; Donello, John E.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 238pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081273	A1	20060803	WO 2006-US2557	20060125

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AU 2006209209	A1	20060803	AU 2006-209209		20060125
CA 2595522	A1	20060803	CA 2006-2595522		20060125
EP 1841743	A1	20071010	EP 2006-719422		20060125
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JP 2008528600	T	20080731	JP 2007-553188		20060125
BR 200606111	A2	20090602	BR 2006-6111		20060125
ZA 2007006010	A	20090429	ZA 2007-6010		20070720
MX 2007008955	A	20070918	MX 2007-8955		20070725
IN 2007DN05796	A	20070817	IN 2007-DN5796		20070726
KR 2007098946	A	20071005	KR 2007-719382		20070824
CN 101151248	A	20080326	CN 2006-80009865		20070926
US 20090036436	A1	20090205	US 2008-814598		20080402
PRIORITY APPLN. INFO.:			US 2005-647271P	P	20050126
			WO 2006-US2557	W	20060125

OTHER SOURCE(S): CASREACT 145:211031; MARPAT 145:211031
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:516308 BIBLIOGRAPHIC DATA NOT AVAILABLE
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L27 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
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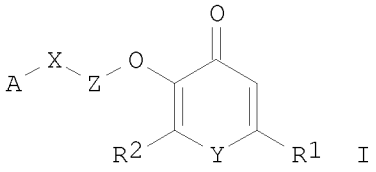

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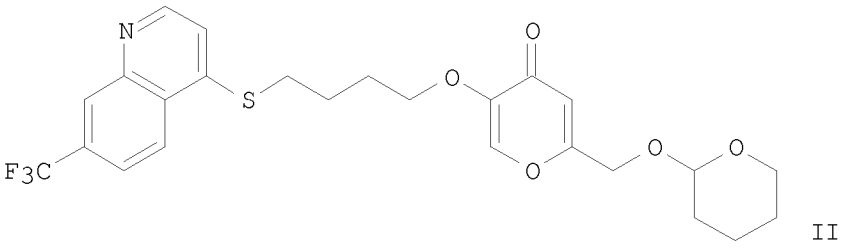

II

AB Title compds. I [wherein R1 = [(tetrahydropyran-2-yl)oxy]methyl, CH2-B, (morpholin-4-yl)methyl, pyrrolidin-1-ylmethyl, etc.; B = halo, OH, OCH2OMe, OCH2OCH2CH2OMe, OSO2-alkyl, OTBDMS; R2 = H, alk(en)yl; X, Y = independently O, S, NH and derivs.; A = quinolin-4-yl, quinolin-8-yl, benzo[b]thiophen-7-yl, quinazolin-4-yl; Z = (CH2)n, optionally interrupted by a heteroatom, C(:O) or aryldialkyl, especially xylenyl, group; n = 1-10; their tautomers, optical and geometrical isomers, racemates, salts, hydrates and mixts.] were prepared as antiproliferative agents and angiogenesis inhibitors. Nine biol. assays are given. For example, II was prepared, in 2 steps, from pyranone III, 1,4-dibromobutane, and 7-(trifluoromethyl)-4-quinolinethiol. In an in vitro cell viability assay, selected I showed an IC50 < 4μM and < 9 μM against HCT116 and MDA-MB-231 tumoral cell lines, demonstrating their cytostatic mode of action. I are useful for treating various diseases associated with abnormal cell proliferation, including cancer, especially leukemia, or associated with unregulated angiogenesis including growth and metastasis of solid tumors, ocular diseases, especially retinopathies, or arthritis.

ACCESSION NUMBER: 2004:740320 CAPLUS
DOCUMENT NUMBER: 141:260557
TITLE: Preparation of novel antiproliferative and antiangiogenic agents, in particular quinoline-derivatized pyranones, for treating cell proliferative diseases, retinopathies and arthritis
INVENTOR(S): Leblond, Bertrand; Petit, Silvere; Picard, Virginie; Taverne, Thierry; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Exonhit Therapeutics Sa, Fr.
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076445	A2	20040910	WO 2004-IB926	20040227
WO 2004076445	A3	20050106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1471063	A1	20041027	EP 2003-290490	20030228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004215577	A1	20040910	AU 2004-215577	20040227
CA 2516239	A1	20040910	CA 2004-2516239	20040227
EP 1597253	A2	20051123	EP 2004-715422	20040227
EP 1597253	B1	20060809		
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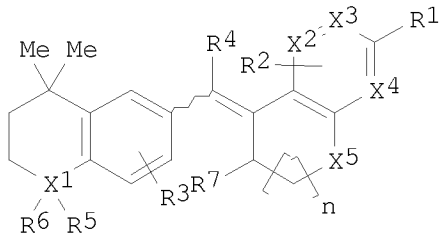
CN 1747952	A	20060315	CN 2004-80003820	20040227
JP 2006519221	T	20060824	JP 2006-502497	20040227
AT 335734	T	20060915	AT 2004-715422	20040227
US 20060183749	A1	20060817	US 2005-541328	20050830
US 7514432	B2	20090407		
US 20090216014	A1	20090827	US 2009-388828	20090219

PRIORITY APPLN. INFO.:	EP 2003-290490	A	20030228
	WO 2004-IB926	W	20040227
	US 2005-541328	A1	20050830

OTHER SOURCE(S): MARPAT 141:260557
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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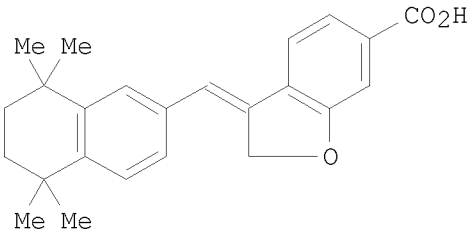

II

AB Title compds. I [R1 = H, alkyl, CH2OH, OH, CHO, COOH, etc.; R2 = H, halo, COOH, perfluoroalkyl, etc.; R3 = H, alkyl, halo, fluoroalkyl, etc.; X1 =

C, O, S; R5, R6 = Me, Et when X1 is C; R5, R6 = nothing when X1 = S or O; R5, R6 = 1 or 2 atoms of O when X1 = S (as in the case of a SO or SO2 group); R4 = H, halo, aryl, aralkyl, etc.; X2, X3 = C, N, or X2-X3 = S, O, N; thus the ring containing X2 and X3 may be benzene, pyridine, thiophene, furan, pyrrole; R7 = H, trifluoromethyl, (un)substituted alkyl; X4 = C, N; X5 = C, O, S, N, etc.; n = 0, 1] are prepared Thus, the title compound II was prepared in 6 steps from Me bromoacetate via reaction with 3-bromophenol, hydrolysis, cyclization, Wittig reaction with (5,6,7,8-tetrahydro -5,5,8,8-tetramethyl-2-naphthenyl)methyltriphenylphosphonium bromide, cyanation, and hydrolysis of the nitrile. A study on the selectivity of transactivation among the retinoic acid receptors showed that this at 1 μM effected a transactivation mediated by RARβ >9 times that mediated by RARα. I are useful as components for dermatol., pharmaceutical, or cosmetic compns.

ACCESSION NUMBER: 1998:619010 CAPLUS
DOCUMENT NUMBER: 129:189505
ORIGINAL REFERENCE NO.: 129:38501a,38504a
TITLE: Preparation of retinoid-type aromatic tetracyclic compounds for pharmaceutical and cosmetic compositions
INVENTOR(S): Leblond, Bertrand; Deyine, Abdallah; Schoofs, Alain Rene; Germain, Pierre; Pourrias, Bernard
PATENT ASSIGNEE(S): Centre Europeen de Bioprospective, Fr.
SOURCE: Fr. Demande, 90 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2758325	A1	19980717	FR 1997-421	19970116
FR 2758325	B1	19990409		
CA 2277042	A1	19980723	CA 1997-2277042	19971205
WO 9831654	A1	19980723	WO 1997-FR2223	19971205
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 968168	A1	20000105	EP 1997-950241	19971205
EP 968168	B1	20010829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 204847	T	20010915	AT 1997-950241	19971205
JP 2002511053	T	20020409	JP 1998-512026	19971205
US 6239284	B1	20010529	US 1999-353926	19990715
PRIORITY APPLN. INFO.:			FR 1997-421 A	19970116
			WO 1997-FR2223 W	19971205

OTHER SOURCE(S): MARPAT 129:189505
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
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L11           558 SEA FILE=REGISTRY SPE=ON  PLU=ON  HISTONE DEACETYLASE
L12             0 SEA FILE=REGISTRY SPE=ON  PLU=ON  L11 AND COMPOUNDS
L13             2 SEA FILE=REGISTRY SPE=ON  PLU=ON  L11 AND INHIBITOR

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L16          9668 SEA FILE=CAPLUS SPE=ON  PLU=ON  L14 OR L15
L17          5931 SEA FILE=CAPLUS SPE=ON  PLU=ON  L15 AND (COMPOUND OR INHIBITOR)

L18           110 SEA FILE=CAPLUS SPE=ON  PLU=ON  L17 AND TETRAHYDRO?
L19            17 SEA FILE=CAPLUS SPE=ON  PLU=ON  L18 AND PY<=2003
L20            26 SEA FILE=CAPLUS SPE=ON  PLU=ON  L18 AND PY<=2004
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L26             1 SEA FILE=CAPLUS SPE=ON  PLU=ON  L25 AND HISTONE
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                    132.12     597.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -24.60     -56.58

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